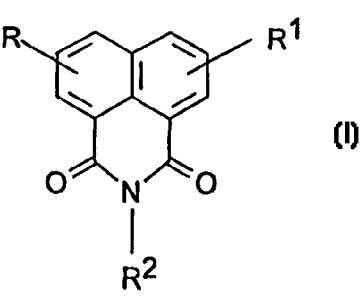




## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<b>(51) International Patent Classification <sup>7</sup> :</b> <b>C07D 221/14, 401/04, 401/12, 405/04,</b> <b>A61K 31/473, A61P 7/00</b>	<b>A2</b>	<b>(11) International Publication Number:</b> <b>WO 00/32577</b> <b>(43) International Publication Date:</b> 8 June 2000 (08.06.00)
<b>(21) International Application Number:</b> PCT/EP99/08561 <b>(22) International Filing Date:</b> 9 November 1999 (09.11.99) <b>(30) Priority Data:</b> 09/199,413                      25 November 1998 (25.11.98)      US 09/398,783                      20 September 1999 (20.09.99)      US <b>(71) Applicant:</b> MERCK PATENT GMBH [DE/DE]; Frankfurter Strasse 250, D-64293 Darmstadt (DE). <b>(72) Inventors:</b> MEDERSKI, Werner; Am Ohlenberg 29, D-64390 Erzhausen (DE). DEVANT, Ralf; Frankfurter Landstrasse 135, D-64291 Darmstadt (DE). BARNICKEL, Gerhard; Emilstrasse 27, D-64298 Darmstadt (DE). BERNO- TAT-DANIELOWSKI, Sabine; Liebigstrasse 5, D-61231 Bad Nauheim (DE). MELZER, Guido; Mörikestrasse 6, D-65719 Hofheim (DE). RADDATZ, Peter; Im Kirschen- sand 27, D-64656 Alsbach (DE). WU, Zhengdong; 311 Norwood House Road, Downtown, PA 19335 (US). DHANOA, Daljit; 2037 Skiles Boulevard, West Chester, PA 19382 (US). SOLL, Richard; 324 Glenn Avenue, Lawrenceville, NJ 08648 (US). RINKER, James; 1115 Fern Avenue, Kenhurst, PA 19607 (US). GRAYBILL, Todd; 1380 Miller Road, Pottstown, PA 19465 (US).		<b>(81) Designated States:</b> AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>Without international search report and to be republished          upon receipt of that report.</i>
<b>(54) Title:</b> SUBSTITUTED BENZO[DE]ISOQUINOLINE-1,3-DIONES  <b>(57) Abstract</b>  Novel compounds of formula (I) in which R, R <sup>1</sup> and R <sup>2</sup> have the meaning indicated, and their salts or solvates as glycoprotein IblX antagonists. <div style="text-align: center; margin-top: 20px;">  <p style="text-align: right; margin-right: 50px;">(I)</p> </div>		

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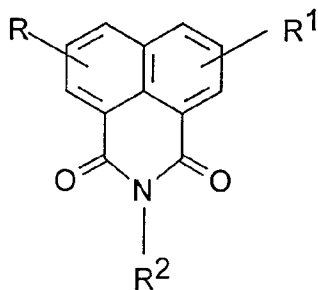
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## Substituted benzo[de]isoquinoline-1,3-diones

This application is a continuation-in-part of  
Serial No. 09/199,413, the entirety of which is  
5 incorporated by reference herein.

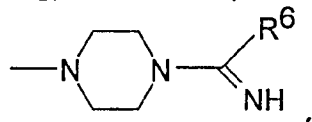
The invention relates to substituted benzo[de]-  
isoquinoline-1,3-diones of the formula I



10 in which

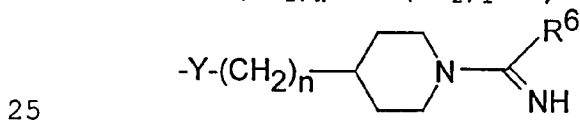
R is H or NO<sub>2</sub>,

R¹ is -Het, -Het-SO<sub>2</sub>-Ar, -Het-R<sup>5</sup>, -Het-(CH<sub>2</sub>)<sub>n</sub>-Ar,  
NO<sub>2</sub>, -N=CH-Ar, NHAlk, NAAalk, NHA', NA'₂,



15 -Y-D-H, -Y-Ar'-R<sup>3</sup>, -Y-(CH<sub>2</sub>)<sub>o</sub>-R<sup>3</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-(CHR<sup>4</sup>)-R<sup>5</sup>, -Y-C[(CH<sub>2</sub>)<sub>o</sub>-OH]<sub>3</sub>, -Y-(CH<sub>2</sub>)<sub>m</sub>-NA<sub>2</sub>,  
-Y-(CH<sub>2</sub>)<sub>m</sub>-NHA', -Y-(CH<sub>2</sub>)<sub>o</sub>-OH, -Y-(CH<sub>2</sub>)<sub>k</sub>-R<sup>6</sup>,  
-Y-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,

20 -Y-(CH<sub>2</sub>)<sub>n</sub>-Het, -Y-(CH<sub>2</sub>)<sub>n</sub>-Ar,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-Ar'-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-D-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-Het-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-NA-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-NH-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-D-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-Ar'-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-NH-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-NA-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,



-Y-[X-O]<sub>t</sub>-[X¹-O]<sub>u</sub>-X²-R<sup>6</sup> or -Y-[X-NH]<sub>u</sub>-X¹-OH,  
R² is -Ar, -Ar'-D-H, -Het¹, -Het¹-Ar, -Ar'-Het¹,  
-Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup>, -Ar'-Y-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup>, -Ar'-Y-C(A)<sub>2</sub>-R<sup>3</sup>, -  
Het¹-R<sup>3</sup>, -Ar'-Het¹-R<sup>3</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>6</sup>, -Ar'-SO<sub>2</sub>-Het,  
30 -Ar'-NH-SO<sub>2</sub>-Het, Ar'-SO<sub>2</sub>-R<sup>7</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-

- $(CH_2)_i-R^6$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-R^{11}$ ,  $-Ar'-(CH_2)_n-CO-Het$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-D-H$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-Ar$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-Het^1$ ,  $-Ar'-(CH_2)_n-(CH(CN))-(CH_2)_i-Ar$ ,  
 5  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-CH(Ar^1)-Ar^2$ ,  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-Ar$ ,  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-R^{11}$ ,  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-Het^1$ ,  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-CH(Ar^1)-Ar^2$  or  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-D-H$ ,  
 10  $R^3$  is C(O)A, CONH<sub>2</sub>, CONHA, CONA<sub>2</sub>, COOH or COOA,  
 $R^4$  is Ph or OH,  
 $R^5$  is CH<sub>3</sub>, CH<sub>2</sub>Cl, CF<sub>3</sub> or Ph,  
 $R^6$  is NH<sub>2</sub>, NHA, NA<sub>2</sub>, NH(D-H) or NH-C(O)A,  
 $R^7$  is NA(D-H), NHA, NH(D-H) or NA<sub>2</sub>,  
 15  $R^8$  is -NH-(C=NH)-NH<sub>2</sub>, -NH-(C=NH)-NHA, -NH-(C=NH)-NA<sub>2</sub>, -NA-(C=NH)-NH<sub>2</sub>, -NA-(C=NH)-NHA or -NA-(C=NH)-NA<sub>2</sub>,  
 $R^{11}$  is -CH(A)-Ph,  
 $Ar'$  is phenylene, biphenylene, naphthylene or pyrazol-4-yl, which is unsubstituted or mono-, di- or  
 20 trisubstituted by A, OH, OA, OCF<sub>3</sub>, Hal, CN, NH<sub>2</sub>, NHA, NA<sub>2</sub>, NO<sub>2</sub>, CF<sub>3</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>Ph, SO<sub>2</sub>NAH, SO<sub>2</sub>NA<sub>2</sub>,  
 $Ar$ ,  $Ar^1$  and  $Ar^2$   
 are each independently phenyl, biphenyl, stilbyl, pyridyl, pyrimidyl, quinolyl, 1-imidazolyl,  
 25 pyrazolyl, indanyl, benzo[1,3]dioxol-5-yl, dibenzofuranyl, 9-H-fluorenyl, 9-H-carbazolyl, [1,1',4',1'']terphenyl, anthracenyl, naphthalen-1-yl, naphthalen-2-yl or fluoren-9-on-2-yl, which is unsubstituted or mono-, di- or trisubstituted by  
 30 A, OH, OA, OCF<sub>3</sub>, O-Ph, O-Ph-CH<sub>3</sub>, CH<sub>2</sub>-Ph, O-CH<sub>2</sub>-Ph, Hal, CN, NH<sub>2</sub>, NHA, NA<sub>2</sub>, NO<sub>2</sub>, CF<sub>3</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>Ph, SO<sub>2</sub>NAH, SO<sub>2</sub>NA<sub>2</sub> or R<sup>8</sup>,  
 $Het$  is a saturated, partially or completely unsaturated mono-, bi- or tricyclic heterocyclic radical  
 35 having 5 to 13 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms can be present and the heterocyclic radical can be mono- or disubstituted by CN, Hal, OH, OA, CF<sub>3</sub>, A, NO<sub>2</sub>, oxo or R<sup>5</sup>, where pyrazole is not bonded via N,

Het<sup>1</sup> is an unsaturated mono-, bi- or tricyclic heterocyclic radical having 5 to 13 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms can be present and/or can be mono- or disubstituted by  
5 Hal, A, OH, OA, oxo or CF<sub>3</sub> or piperidine, morpholine, pyrrolidine or pyrrolidin-2-one,  
A is unbranched or branched alkyl having 1-8 C atoms,  
A' is unbranched or branched alkyl having 2-6 C  
10 atoms,  
Alk is unbranched alkyl having 4-8 C atoms,  
D is cycloalkylene having 4-7 C atoms or cyclohexen-1-yl,  
Hal is F, Cl, Br or I,  
15 X,  
X<sup>1</sup>, X<sup>2</sup> in each case independently of one another are alkylene having 1 to 12 C atoms,  
Y is O, S, NH or NA,  
i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12,  
20 k is 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12,  
m is 0, 1 or 2,  
n is 0, 1, 2, 3 or 4,  
o is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10,  
t is 0, 1 or 2,  
25 u is 1 or 2,  
where if R<sup>2</sup> is 4-chlorophenyl, R<sup>1</sup> is not -NH-CH<sub>2</sub>-CH<sub>2</sub>-OH, and their pharmaceutically tolerable salts and solvates.

Similar compounds having a benzo[de]iso-  
30 quinoline-1,3-dione parent structure are disclosed as dyes in US 4,200,752, FR 2 272 215, FR 2 271 216 A, Chemical Abstracts, Vol. 73, No. 2, 13 July 1970, Chemical Abstracts, Vol. 57, No. 13, 24 December 1962 and Chemical Abstracts, Vol. 111, No. 20,  
35 13 November 1989.

The invention is based on the object of finding novel compounds having valuable properties, in particular those which can be used for the production of medicaments.

It has been found that the compounds of the formula I and their salts or solvates have very valuable pharmacological properties together with good tolerability. They act especially as GPIbIX inhibitors, in particular inhibiting the interaction of this receptor with the ligand von Willebrand factor (vWF). This action can be demonstrated, for example, by a method which is described by S. Meyer et al. in J. Biol. Chem. **1993**, 268, 20555-20562. Furthermore, the GPIbIX receptor is able to bind alpha-thrombin (N.J. Greco, Biochemistry **1996**, 35, 915-921), it likewise being possible to block this interaction by means of the compounds according to the invention.

The significance of GPIbIX as an adhesion receptor on platelets, which mediates the primary interaction of platelets with an arteriosclerotically modified vascular wall via binding to the vWF expressed there, has been described by many authors (e.g. Z.M. Ruggeri in Thromb. Hemost. **1997**, 78, 611-616). The activation of another platelet adhesion receptor, GPIIb/IIIa, following the GPIbIX-vWF interaction, leads to platelet aggregation and thus to thrombotic vascular occlusion.

A GPIbIX antagonist can thus prevent the start of thrombus formation and thus also release of active substances from the platelets which, for example, promote thrombus growth and have an additional trophic action on the vascular wall. This has been shown with inhibitory peptides or antibodies in various experimental models (e.g. H Yamamoto et al., Thromb. Hemost. **1998**, 79, 202-210).

In the case of higher shear forces, the blocking action of GPIbIX inhibitors exerts its maximum effect, as described by J.J. Sixma et al. in Arteriosclerosis, Thrombosis, and Vascular Biology **1996**, 16, 64-71. According to the flow chamber method used there, the compounds of the formula I can be characterized as GPIbIX inhibitors in whole blood.

The inhibition of thrombus formation of the GPIbIX inhibitors can be measured by a modified Born

method (Nature **1962**, 4832, 927-929) using botrocetin or ristocetin as an aggregation stimulant.

The compounds of the formula I according to the invention can therefore be employed as pharmaceutical active compounds in human and veterinary medicine. They act as adhesion receptor antagonists, in particular as glycoprotein IbIX antagonists, and are suitable for the prophylaxis and/or therapy of thrombotic disorders and sequelae deriving therefrom. The preferentially best action is to be expected in the case of thrombotic disorders in the arterial vascular system, but GPIbIX inhibitors also have an effect in the case of thrombotic disorders in the venous vascular bed. The disorders are acute coronary syndromes, angina pectoris, myocardial infarct, peripheral circulatory disorders, stroke, transient ischaemic attacks, arteriosclerosis, reocclusion/restenosis after angioplasty/stent implantation. The compounds can furthermore be employed as anti-adhesive substances where the body comes into contact with foreign surfaces such as implants, catheters or cardiac pacemakers.

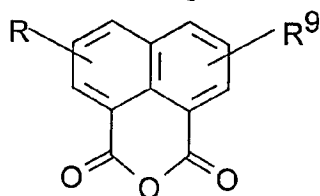
Comparison medications which may be mentioned are aspirin and GPIIbIIIa antagonists introduced onto the market, in particular ReoPro®.

The invention relates to the compounds of the formula I and their salts and solvates, and to a process for the preparation of these compounds and their salts or solvates, characterized in that

a) a compound of the formula I is liberated from one of its functional derivatives by treating with a solvolysing or hydrogenolysing agent,

or

b) a compound of the formula II



II

in which

$R^9$  is Cl, Br,  $NO_2$  or  $R^1$ , and

R has the meaning indicated in Claim 1

is reacted with a compound of the formula III



- 5 in which  $R^2$  has the meaning indicated in Claim 1,  
and, if necessary, the radical  $R^9$  is converted into a  
radical  $R^1$ ,

or

- 10 (c) a radical R and/or  $R^2$  and/or  $R^9$  is converted into  
another radical R and/or  $R^2$  and/or  $R^9$  by, for example

- converting an amino group into a guanidino group  
by reaction with an amidinating agent,
- reacting an aryl bromide or iodide to give the  
corresponding coupling products by means of a
- 15 Suzuki coupling with boronic acids,
- reducing a nitro group, sulfonyl group or  
sulfoxyl group,
- etherifying an OH group or subjecting an OA  
group to ether cleavage,
- 20 - alkylating a primary or secondary amino group,
- partially or completely hydrolysing a CN group,
- cleaving an ester group or esterifying a  
carboxylic acid radical,
- or carrying out a nucleophilic or electrophilic
- 25 substitution,

and/or

- (d) a base or acid of the formula I is converted into  
one of its salts or solvates.

- 30 The compounds of the formula I can have a  
chiral centre and therefore occur in a number of  
stereoisomeric forms. All these forms (e.g. R and S  
forms) and their mixtures (e.g. the RS forms) are  
included in the formula I.

- The compounds according to the invention also include
- 35 so-called prodrug derivatives, i.e. compounds of the  
formula I modified with, for example, alkyl or acyl  
groups, sugars or oligopeptides and which are rapidly  
cleaved in the body to give the active compounds  
according to the invention.

Furthermore, the invention relates to compounds of the formula I in which free amino groups are provided with appropriate conventional "amino protective groups".

Solvates of the compounds of the formula I are understood as meaning adducts of inert solvent molecules to the compounds of the formula I which are formed on account of their mutual power of attraction. Solvates are, for example, mono- or dihydrates or alcoholates.

The abbreviations used have the following meanings:

BOC	tert-butoxycarbonyl
CBZ	benzyloxycarbonyl
DCC	dicyclohexylcarbodiimide
DMF	dimethylformamide
Et	ethyl
Fmoc	fluorenylmethoxycarbonyl
Me	methyl
Mtr	4-methoxy-2,3,6-trimethylphenylsulfonyl
OBu	tert-butyl ester
OMe	methoxy
OEt	ethoxy
POA	phenoxyacetyl
Ph	phenyl
tert-bu	tert-butyl
TFA	trifluoroacetic acid

In the above formulae, A is alkyl and has 1 to 8, preferably 1, 2, 3, 4 or 5 C atoms. Alkyl is preferably methyl, furthermore ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl or tert-butyl, additionally also pentyl, 1-, 2- or 3-methylbutyl, 1,1-, 1,2- or 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1-, 2-, 3- or 4-methylpentyl, 1,1-, 1,2-, 1,3-, 2,2-, 2,3- or 3,3-dimethylbutyl, 1- or 2-ethylbutyl, 1-ethyl-1-methylpropyl, 1-ethyl-2-methylpropyl, 1,1,2- or 1,2,2-trimethylpropyl, heptyl, 1-, 2-, 3-, 4-, 5-methylhexyl, 1,1-, 1,2-, 1,3-, 1,4-, 2,2-, 2,3-, 2,4- or 3,3-dimethylpentyl, 1-, 2-, 3-, 4-ethylpentyl,

1,1,2-, 1,1,3-, 1,1,4-, 1,2,2-, 1,2,3-, 1,2,4-, 1,3,3-,  
1,3,4-, 1,4,4- or 2,2,3-trimethylbutyl or octyl.

A' is alkyl and has 2 to 6 C atoms, preferably  
2, 3 or 4 C atoms. A' is preferably ethyl, propyl,  
5 isopropyl, butyl, isobutyl, sec-butyl or tert-butyl.

Alk is unbranched alkyl having 4 to 8 carbon  
atoms, preferably n-butyl, n-pentyl, n-hexyl, n-heptyl  
or n-octyl.

Ar is preferentially phenyl, preferably - as  
10 indicated - monosubstituted phenyl, specifically  
preferentially phenyl, o-, m- or p-methylphenyl, o-, m-  
or p-ethylphenyl, o-, m- or p-propylphenyl, o-, m- or  
p-isopropylphenyl, o-, m- or p-tert-butylphenyl, o-, m-  
or p-aminophenyl, o-, m- or  
15 p-(N,N-dimethylamino)phenyl, o-, m- or  
p-sulfonamoylphenyl, o-, m- or p-nitrophenyl, o-, m- or  
p-hydroxyphenyl, o-, m- or p-methoxyphenyl, o-, m- or  
p-ethoxyphenyl, o-, m- or p-phenoxyphenyl, o-, m- or  
p-(phenylmethoxy)phenyl, o-, m- or p-  
20 (trifluoromethyl)phenyl, o-, m- or  
p-(trifluoromethoxy)phenyl, o-, m- or p-fluorophenyl,  
o-, m- or p-chlorophenyl, o-, m- or p-bromophenyl, o-,  
m- or p-iodophenyl, 4-benzenesulfonyl-phenyl, 4-(4-  
chloro-phenoxy)-phenyl, furthermore preferentially  
25 2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-dimethylphenyl,  
2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-dimethoxyphenyl,  
2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-dihydroxyphenyl,  
2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-difluorophenyl,  
2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-dichlorophenyl,  
30 2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-dibromophenyl,  
2-chloro-3-methyl-, 2-chloro-4-methyl-, 2-chloro-5-  
methyl-, 2-chloro-6-methyl-, 3-chloro-2-methyl-, 4-  
chloro-2-methyl-, 5-chloro-2-methyl-, 3-chloro-4-  
methyl-, 3-chloro-5-methyl-, 4-chloro-3-methylphenyl,  
35 2-bromo-3-methyl-, 2-bromo-4-methyl-, 2-bromo-5-  
methyl-, 2-bromo-6-methyl-, 3-bromo-2-methyl-, 4-bromo-  
2-methyl-, 5-bromo-2-methyl-, 3-bromo-4-methyl-,  
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 3-methylphenyl, 2-chloro-3-methoxy-, 2-chloro-4-  
 methoxy-, 2-chloro-5-methoxy-, 2-chloro-6-methoxy-, 3-  
 5 chloro-2-methoxy-, 4-chloro-2-methoxy-, 5-  
 chloro-2-methoxy-, 3-chloro-4-methoxy-, 3-chloro-5-  
 methoxy-, 4-chloro-3-methoxyphenyl, 2-chloro-3-  
 hydroxy-, 2-chloro-4-hydroxy-, 2-chloro-5-hydroxy-,  
 2-chloro-6-hydroxy-, 3-chloro-2-hydroxy-, 4-  
 10 chloro-2-hydroxy-, 5-chloro-2-hydroxy-, 3-chloro-4-  
 hydroxy-, 3-chloro-5-hydroxy-, 4-chloro-3-hydroxy-  
 phenyl, 3-fluoro-4-methoxy, 4-fluoro-3-methoxyphenyl,  
 2-chloro-3-fluoro-, 2-chloro-4-fluoro-, 2-chloro-5-  
 fluoro-, 2-chloro-6-fluoro-, 3-chloro-2-fluoro-, 4-  
 15 chloro-2-fluoro-, 5-chloro-2-fluoro-, 3-chloro-4-  
 fluoro-, 3-chloro-5-fluoro-, 4-chloro-3-fluorophenyl,  
 2-fluoro-3-methyl-, 2-fluoro-4-methyl-, 2-fluoro-5-  
 methyl-, 2-fluoro-6-methyl-, 3-fluoro-2-methyl-, 4-  
 fluoro-2-methyl-, 5-fluoro-2-methyl-, 3-fluoro-4-  
 20 methyl-, 3-fluoro-5-methyl-, 4-fluoro-3-methylphenyl,  
 2,5- or 3,4-dimethoxyphenyl, 2-cyano-4,5-  
 dimethoxyphenyl, 5-chloro-2,4-dimethoxy-phenyl, 2-  
 cyano-3,4-dimethoxyphenyl or 3,4,5-trimethoxy-phenyl.  
 Furthermore, however, also preferentially unsubstituted  
 25 biphenyl - as indicated - or alternatively mono-  
 substituted biphenyl, specifically preferentially  
 biphenyl-4-yl or biphenyl-3-yl, 2'-methylbiphenyl-4-yl,  
 3'-methylbiphenyl-4-yl, 4'-methylbiphenyl-4-yl,  
 2'-methylbiphenyl-3-yl, 3'-methylbiphenyl-3-yl,  
 30 4'-methylbiphenyl-3-yl, 2-methylbiphenyl-4-yl,  
 3-methylbiphenyl-4-yl, 2-methylbiphenyl-3-yl,  
 4-methylbiphenyl-3-yl, 2'-tert-butylbiphenyl-4-yl,  
 3'-tert-butylbiphenyl-4-yl, 4'-tert-butylbiphenyl-4-yl,  
 2'-tert-butylbiphenyl-3-yl, 3'-tert-butylbiphenyl-3-yl,  
 35 4'-tert-butylbiphenyl-3-yl, 2-tert-butylbiphenyl-4-yl,  
 3-tert-butylbiphenyl-4-yl, 2-tertbutylbiphenyl-3-yl,  
 4-tert-butylbiphenyl-3-yl, 2'-isopropylbiphenyl-4-yl,  
 3'-isopropylbiphenyl-4-yl, 4'-isopropylbiphenyl-4-yl,  
 2'-isopropylbiphenyl-3-yl, 3'-isopropylbiphenyl-3-yl,

4'-isopropylbiphenyl-3-yl, 2-isopropylbiphenyl-4-yl,  
 3-isopropylbiphenyl-4-yl, 2-isopropylbiphenyl-3-yl,  
 4-isopropylbiphenyl-3-yl, 2'-fluorobiphenyl-4-yl,  
 3'-fluorobiphenyl-4-yl, 4'-fluorobiphenyl-4-yl,  
 5 2'-fluorobiphenyl-3-yl, 3'-fluorobiphenyl-3-yl,  
 4'-fluorobiphenyl-3-yl, 2-fluorobiphenyl-4-yl,  
 3-fluorobiphenyl-4-yl, 2-fluorobiphenyl-3-yl, 4-fluoro-  
 biphenyl-3-yl, 2'-methoxybiphenyl-4-yl, 3'-methoxy-  
 biphenyl-4-yl, 4'-methoxybiphenyl-4-yl,  
 10 2'-methoxybiphenyl-3-yl, 3'-methoxybiphenyl-3-yl,  
 4'-methoxybiphenyl-3-yl, 2-methoxybiphenyl-4-yl,  
 3-methoxybiphenyl-4-yl, 2-methoxybiphenyl-3-yl,  
 4-methoxybiphenyl-3-yl, 2'-nitrobiphenyl-4-yl,  
 3'-nitrobiphenyl-4-yl, 4'-nitrobiphenyl-4-yl, 2'-nitro-  
 15 biphenyl-3-yl, 3'-nitrobiphenyl-3-yl, 4'-nitrobiphenyl-  
 3-yl, 2-nitrobiphenyl-4-yl, 3-nitrobiphenyl-4-yl,  
 2-nitrobiphenyl-3-yl, 4-nitrobiphenyl-3-yl,  
 2'-trifluoromethylbiphenyl-4-yl,  
 3'-trifluoromethylbiphenyl-4-yl, 4'-trifluoromethyl-  
 20 biphenyl-4-yl, 2'-trifluoromethylbiphenyl-3-yl,  
 3'-trifluoromethylbiphenyl-3-yl, 4'-trifluoromethyl-  
 biphenyl-3-yl, 2-trifluoromethylbiphenyl-4-yl, 3-tri-  
 fluoromethylbiphenyl-4-yl, 2-trifluoromethylbiphenyl-3-  
 yl, 4-trifluoromethylbiphenyl-3-yl,  
 25 2'-trifluoromethoxybiphenyl-4-yl, 3'-trifluoromethoxy-  
 biphenyl-4-yl, 4'-trifluoromethoxybiphenyl-4-yl,  
 2'-trifluoromethoxybiphenyl-3-yl,  
 3'-trifluoromethoxybiphenyl-3-yl, 4'-tri-  
 fluoromethoxybiphenyl-3-yl, 2-trifluoromethoxybiphenyl-  
 30 4-yl, 3-trifluoromethoxybiphenyl-4-yl,  
 2-trifluoromethoxybiphenyl-3-yl,  
 4-trifluoromethoxybiphenyl-3-yl, furthermore  
 preferentially disubstituted biphenyls, such as  
 2'-methyl-3'-nitrobiphenyl-4-yl, 2'-methyl-4'-nitro-  
 35 biphenyl-4-yl, 2'-methyl-5'-nitrobiphenyl-4-yl, 2'-  
 methyl-6'-nitrobiphenyl-4-yl, 3'-methyl-2'-  
 nitrobiphenyl-4-yl, 3'-methyl-4'-nitrobiphenyl-4-yl,  
 3'-methyl-5'-nitrobiphenyl-4-yl, 3'-methyl-6'-  
 nitrobiphenyl-4-yl, 4'-methyl-2'-nitrobiphenyl-4-yl,

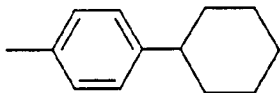
- 4'-methyl-3'-nitrobiphenyl-4-yl, 2'-methyl-3'-nitrobiphenyl-3-yl, 2'-methyl-4'-nitrobiphenyl-3-yl, 2'-methyl-5'-nitrobiphenyl-3-yl, 2'-methyl-6'-nitrobiphenyl-3-yl, 3'-methyl-2'-nitrobiphenyl-3-yl, 3'-methyl-4'-nitrobiphenyl-3-yl, 3'-methyl-5'-nitrobiphenyl-3-yl, 3'-methyl-6'-nitrobiphenyl-3-yl, 4'-methyl-2'-nitrobiphenyl-3-yl, 4'-methyl-3'-nitrobiphenyl-3-yl, 2'-methoxy-2-methylbiphenyl-4-yl, 3'-methoxy-2-methylbiphenyl-4-yl, 4'-methoxy-2-methylbiphenyl-4-yl, 4'-methoxy-3-nitrobiphenyl-4-yl, 2'-chloro-3'-fluorobiphenyl-4-yl, 2'-chloro-4'-fluorobiphenyl-4-yl, 2'-chloro-5'-fluorobiphenyl-4-yl, 2'-chloro-6'-fluorobiphenyl-4-yl, 3'-chloro-2'-fluorobiphenyl-4-yl, 3'-chloro-4'-fluorobiphenyl-4-yl, 3'-chloro-5'-fluorobiphenyl-4-yl, 3'-chloro-6'-fluorobiphenyl-4-yl, 4'-chloro-2'-fluorobiphenyl-4-yl, 4'-chloro-3'-fluorobiphenyl-4-yl, 2'-chloro-3'-fluorobiphenyl-3-yl, 2'-chloro-4'-fluorobiphenyl-3-yl, 2'-chloro-5'-fluorobiphenyl-3-yl, 2'-chloro-6'-fluorobiphenyl-3-yl, 3'-chloro-2'-fluorobiphenyl-3-yl, 3'-chloro-4'-fluorobiphenyl-3-yl, 3'-chloro-5'-fluorobiphenyl-3-yl, 3'-chloro-6'-fluorobiphenyl-3-yl, 4'-chloro-2'-fluorobiphenyl-3-yl, 4'-chloro-3'-fluorobiphenyl-3-yl, (2',3'-dimethoxy)biphenyl-4-yl, 2',4'-dimethoxy)biphenyl-4-yl, (2',5'-dimethoxy)biphenyl-4-yl, (2',6'-dimethoxy)biphenyl-4-yl, (3',4'-dimethoxy)biphenyl-4-yl, (3',5'-dimethoxy)biphenyl-4-yl, (2',3'-dimethoxy)biphenyl-3-yl, (2',4'-dimethoxy)biphenyl-3-yl, (2',5'-dimethoxy)biphenyl-3-yl, (2',6'-dimethoxy)biphenyl-3-yl, (3',4'-dimethoxy)biphenyl-3-yl, (3',5'-dimethoxy)biphenyl-3-yl, (2',3'-di(trifluoromethyl))biphenyl-4-yl, (2',4'-di(trifluoromethyl))biphenyl-4-yl, (2',5'-di(trifluoromethyl))biphenyl-4-yl, (2',6'-di(trifluoromethyl))biphenyl-4-yl, (3',4'-di(trifluoromethyl))biphenyl-4-yl, (3',5'-di(trifluoromethyl))biphenyl-4-yl, (2',3'-di(trifluoromethyl))biphenyl-3-yl,

(2',4'-di(trifluoromethyl))biphenyl-3-yl, (2',5'-  
di(trifluoromethyl))biphenyl-3-yl,  
(2',6'-di(trifluoromethyl))biphenyl-3-yl,  
(3',4'-di(trifluoromethyl))biphenyl-3-yl, (3',5'-  
5 di(trifluoromethyl))biphenyl-3-yl,  
(2,2'-dimethyl)biphenyl-4-yl, (2,'3-dimethyl)biphenyl-  
4-yl, (2,4'-dimethyl)biphenyl-4-yl,  
(2,2'-dimethyl)biphenyl-3-yl, (2,3'-dimethyl)biphenyl-  
3-yl or (2,4'-dimethyl)biphenyl-3-yl.  
10 Furthermore, however, also preferentially benzo[1,3]-  
dioxol-5-yl, 9-H-carbazolyl, quinolyl, dibenzofuranyl,  
9-H-fluorenyl, 7-bromo-9H-fluoren-2-yl, 9H-fluoren-9-  
ol-1-yl, fluoren-9-on-2-yl, imidazolyl, indanyl,  
1-imidazolyl, pyrazolyl, 9-H-carbazolyl,  
15 [1,1',4',1'']terphenyl, anthracenyl, naphthalen-1-yl,  
naphthalen-2-yl, 4-bromo-naphthalen-1-yl, 4-cyano-  
naphthalen-1-yl, 4-chloro-naphthalen-1-yl, 4-nitro-  
naphthalen-1-yl, 4-methoxy-naphthalen-2-yl, 6-hydroxy-  
naphthalen-1-yl, 7-hydroxy-naphthalen-1-yl, 8-hydroxy-  
20 naphthalen-1-yl or stilbyl.  
Furthermore, Ar is preferentially pyridyl-2-,  
pyridyl-3-, pyridyl-4-yl, pyrazol-3-yl, pyrazol-4-yl or  
pyrazol-5-yl, pyrimidin-2-, pyrimidin-4-,  
pyrimidin-5-yl, which is unsubstituted or substituted  
25 by A or Hal particularly preferentially pyridyl-2-,  
pyridyl-3-yl, 4-chloro-pyridyl-2-yl, 1-methylpyrazol-  
4-yl or pyrimidin-2-yl.

Ar' is preferentially phenylene, biphenylene,  
1-naphthylene or pyrazol-4-yl, which is unsubstituted  
30 or monosubstituted by A, OH, OA, CF<sub>3</sub>, OCF<sub>3</sub> or Hal.  
Unsubstituted phenylene or 1-naphthylene,  
2-methoxyphenylene, 2-methylphenylene, 3-biphenylene,  
4-biphenylene or 1-methylpyrazol-4-yl is particularly  
preferred.

35 Ar<sup>1</sup> and Ar<sup>2</sup> are in each case independently of  
one another Ar having the preferred meanings indicated  
beforehand. Phenyl is particularly preferred for Ar<sup>1</sup> and  
Ar<sup>2</sup> independently of one another.

In  $-\text{Ar}'-\text{D}-\text{H}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, D having one of the preferred or particularly preferred meanings mentioned below.

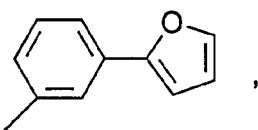
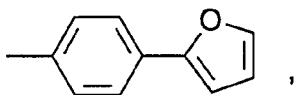
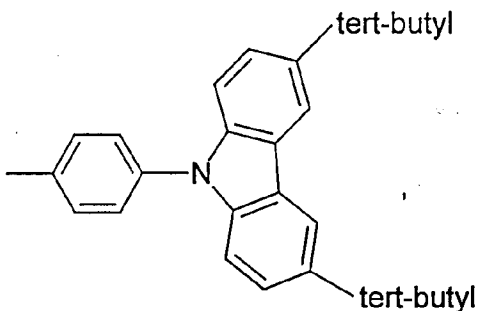
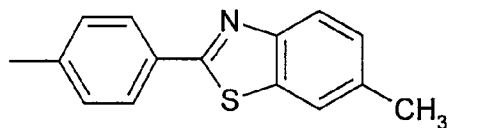
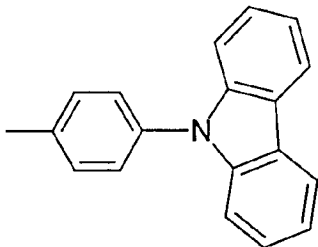
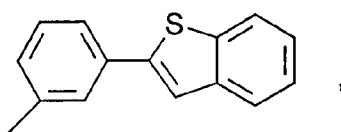
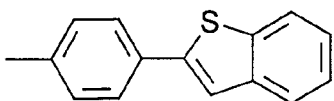


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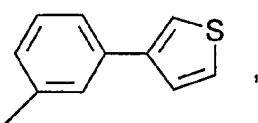
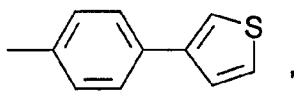
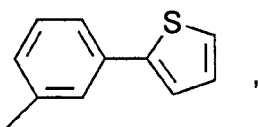
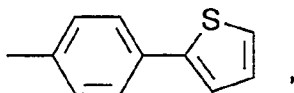
is particularly preferred for  $-\text{Ar}'-\text{D}-\text{H}$ .

In  $-\text{Ar}'-\text{Het}^1$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene,  $\text{Het}^1$  having one of the preferred or particularly preferred meanings mentioned below.

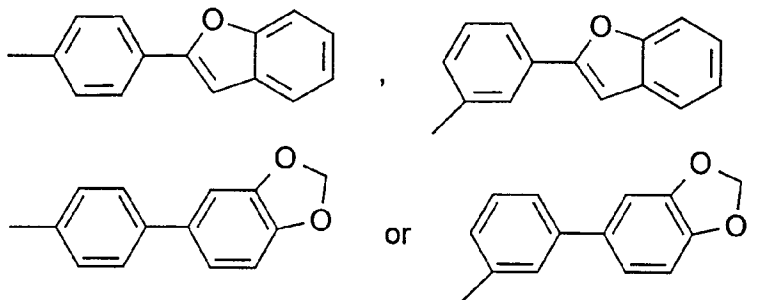
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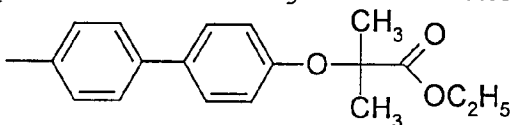


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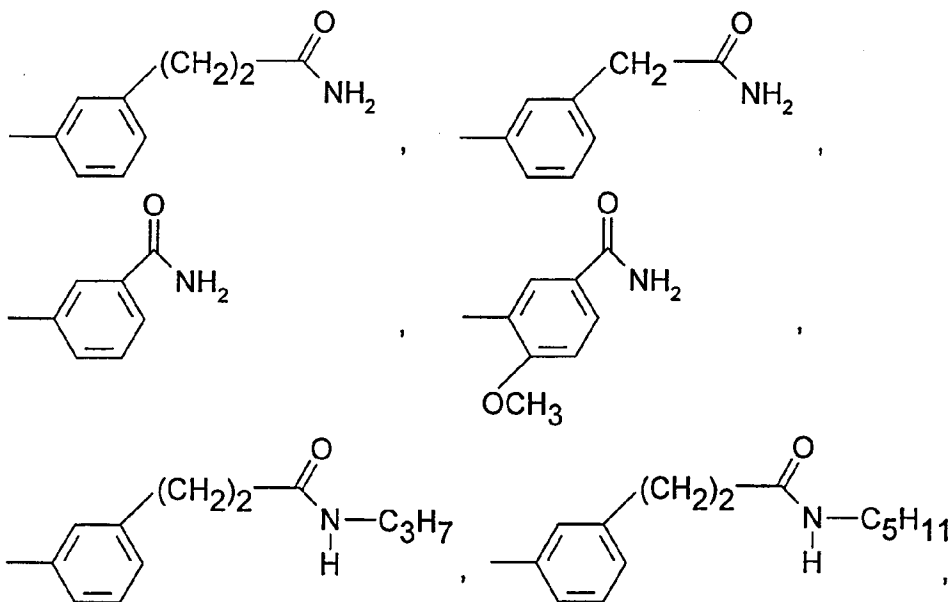
is particularly preferred for  $-\text{Ar}'-\text{Het}^1$ .

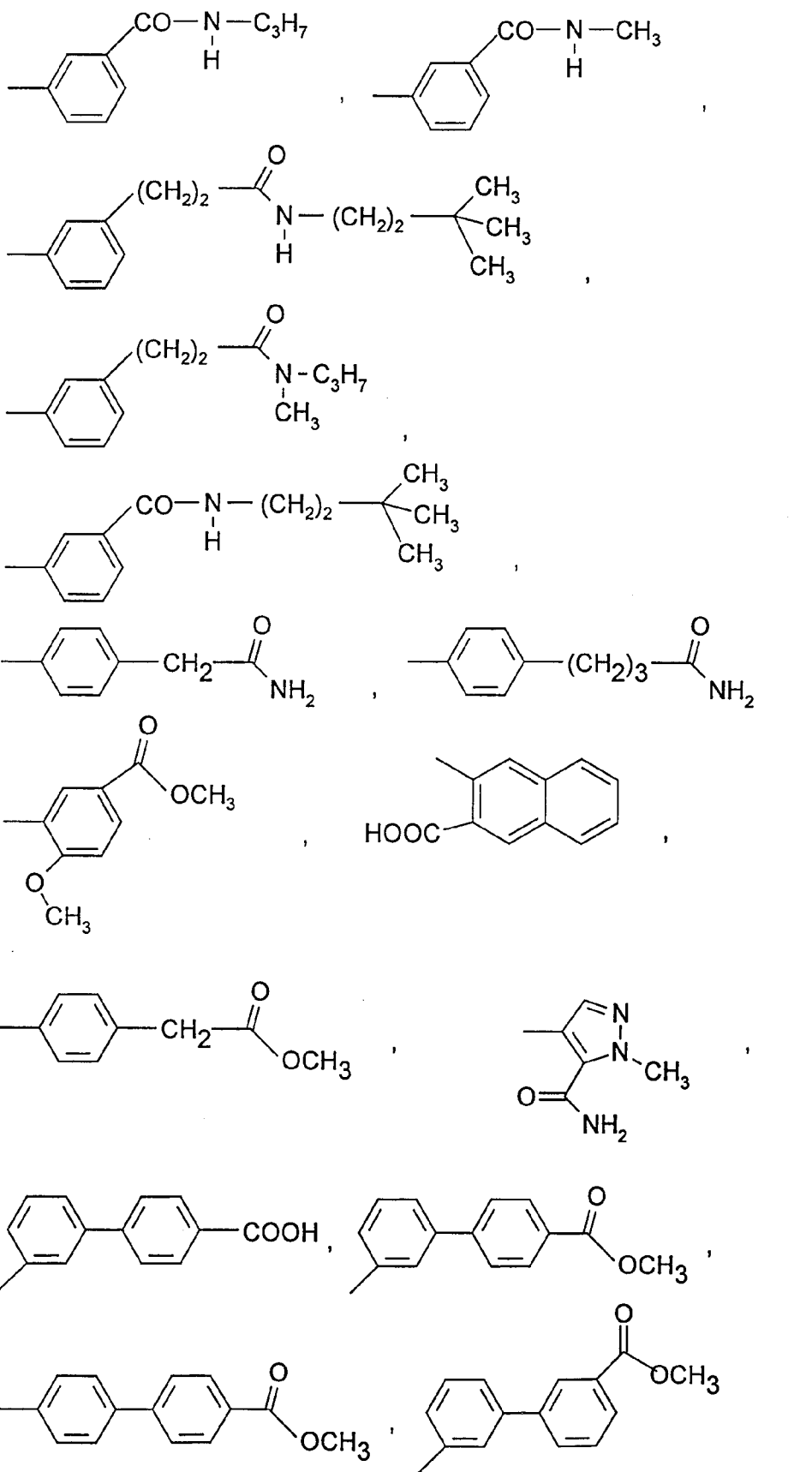
In  $-\text{Ar}'-\text{Y}-\text{C}(\text{A})_2-\text{R}^3$ ,  $\text{Ar}'$  is preferentially  
 5 unsubstituted or substituted biphenylene, where  $\text{R}^3$  is  
 preferentially an alkyloxycarbonyl group and A has a  
 preferred meaning as mentioned above.

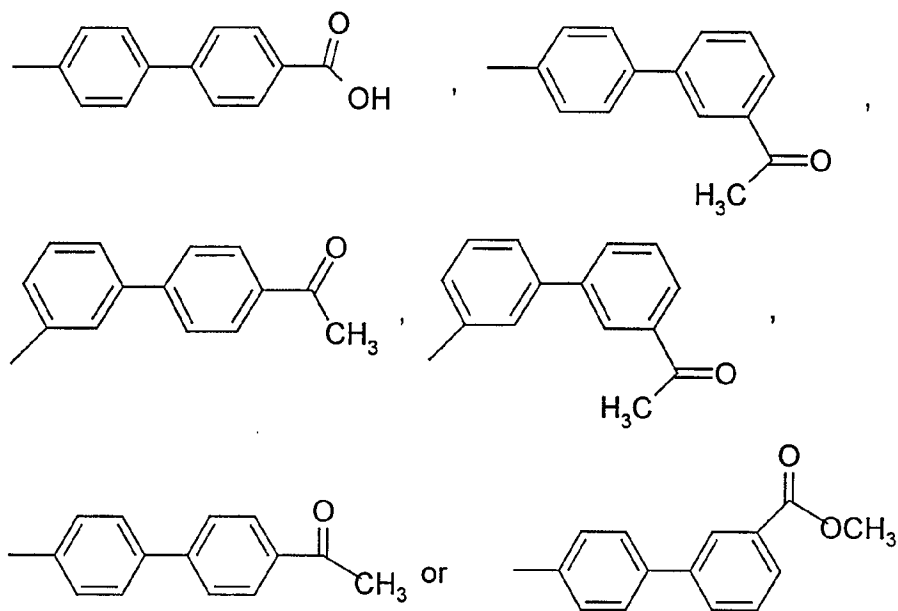


is particularly preferred for  $-\text{Ar}'-\text{Y}-\text{C}(\text{A})_2-\text{R}^3$ .

10 In  $-\text{Ar}'-(\text{CH}_2)_n-\text{R}^3$ ,  $\text{Ar}'$  is preferentially  
 unsubstituted or substituted phenylene, naphthylene,  
 biphenylene or pyrazol-4-yl, where  $\text{R}^3$  is preferentially  
 an amido group, alkylamido group or dialkylamido group,  
 carboxyl group, alkyloxycarbonyl group or an  
 15 alkylcarbonyl group and n can be 0, 1, 2, 3 or 4.

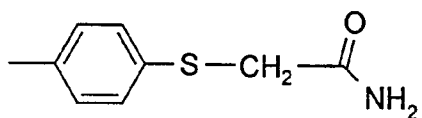
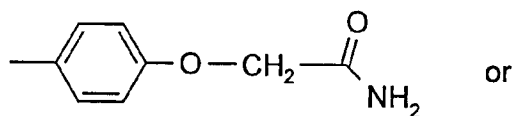
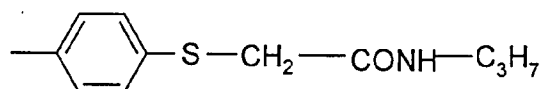
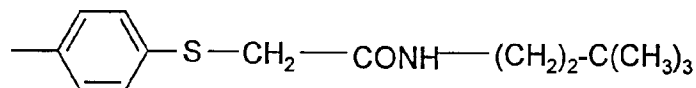






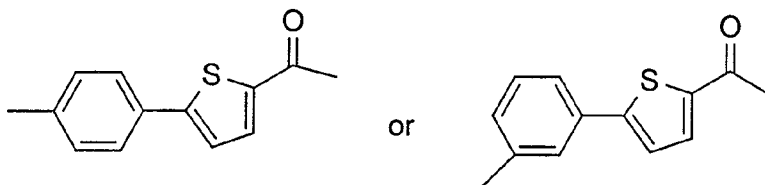
5 is particularly preferred for  $\text{Ar}'-(\text{CH}_2)_n-\text{R}^3$ .

In  $\text{Ar}'-\text{Y}-(\text{CH}_2)_n-\text{R}^3$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where Y is preferentially S or O,  $\text{R}^3$  is preferentially an amido group, alkylamido group or dialkylamido group, alkyl-oxycarbonyl or an alkylcarbonyl group and n can be 0, 1, 2, 3 or 4.



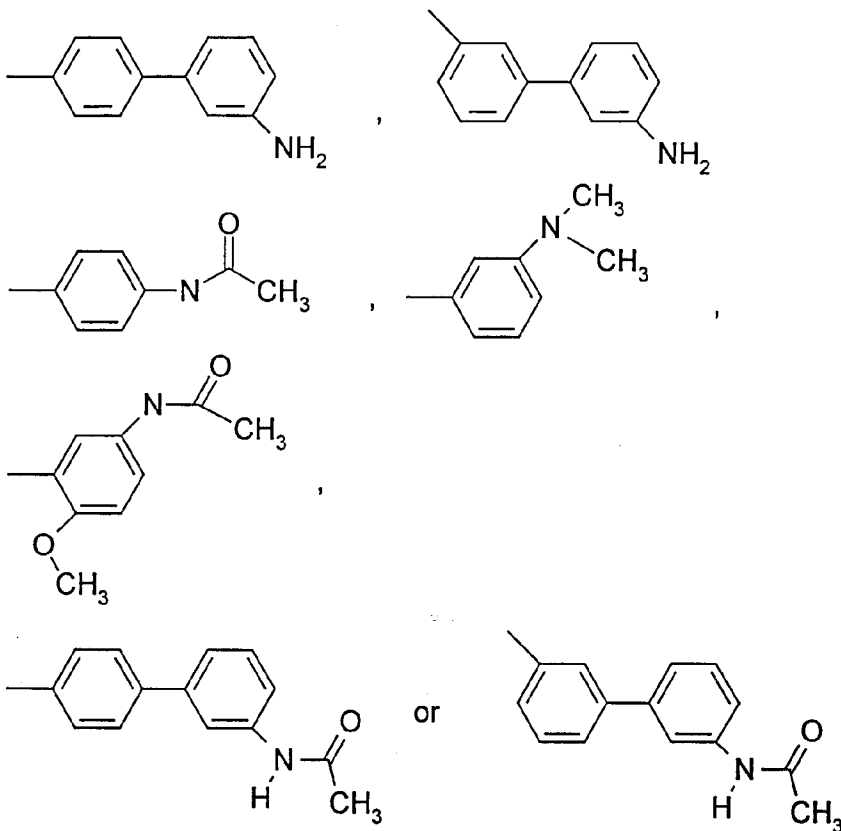
is particularly preferred for  $-\text{Ar}'-\text{Y}-(\text{CH}_2)_n-\text{R}^3$ .

In  $-\text{Ar}'-\text{Het}^1-\text{R}^3$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Het}^1$  has one of the meanings preferentially indicated in the following and  $\text{R}^3$  is preferentially alkylcarbonyl.



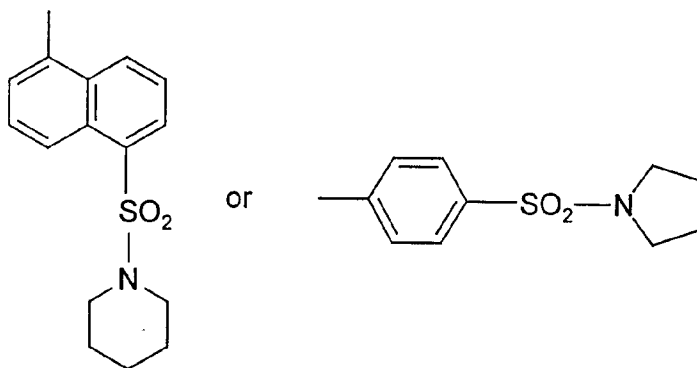
is particularly preferred for  $-\text{Ar}'-\text{Het}^1-\text{R}^3$ .

In  $-\text{Ar}'-(\text{CH}_2)_n-\text{R}^6$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene or biphenylene, where  $\text{R}^6$  is preferentially an amino group, alkylamino group, dialkylamino group or alkyloxycarbonylamino group and  $n$  can be 0, 1, 2, 3 or 4.



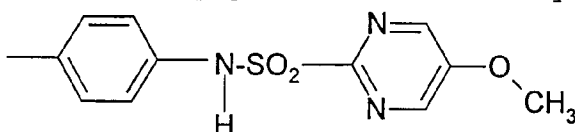
is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-\text{R}^6$ .

In  $-\text{Ar}'-\text{SO}_2-\text{Het}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted naphthylene or phenylene, where  $\text{Het}$  has one of the meanings preferentially indicated in the following.



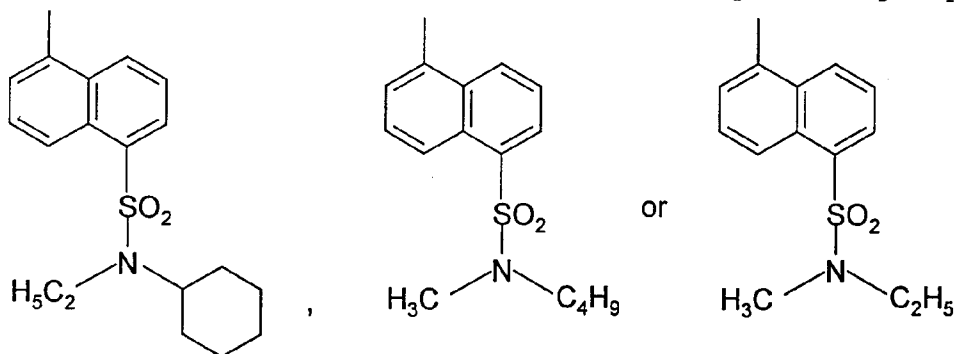
is particularly preferred for  $-\text{Ar}'-\text{SO}_2-\text{Het}$ .

In  $-\text{Ar}'-\text{NH}-\text{SO}_2-\text{Het}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where Het is particularly preferred 5-methoxy-pyrimidin-2-yl.



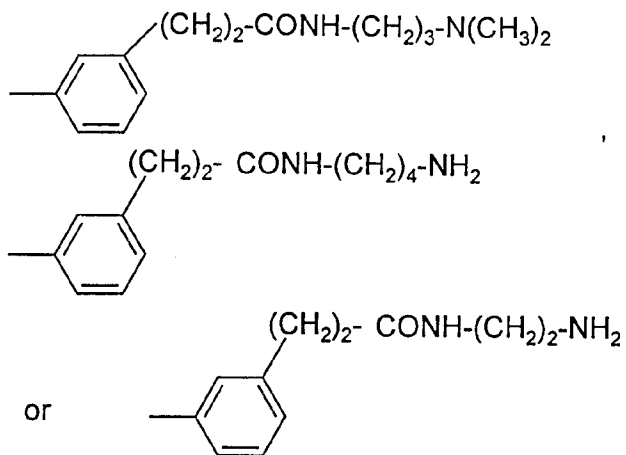
is particularly preferred for  $-\text{Ar}'-\text{NH}-\text{SO}_2-\text{Het}$ .

In  $-\text{Ar}'-\text{SO}_2-\text{R}^7$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted naphthylene, where  $\text{R}^7$  is preferentially an alkylamino group, dialkylamino group, cycloalkylamino group or an alkylcycloalkylamino group.



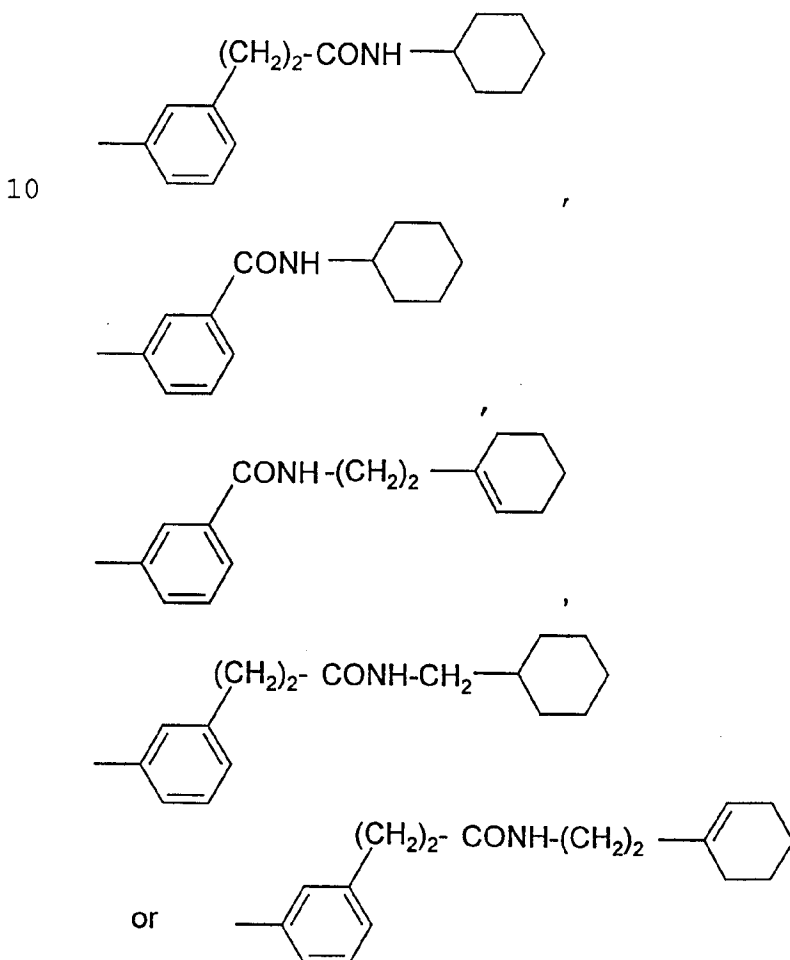
is particularly preferred for  $-\text{Ar}'-\text{SO}_2-\text{R}^7$ .

In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^6$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{R}^6$  is preferentially an amino group, alkylamino group, dialkylamino group or a cycloalkylamino group and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



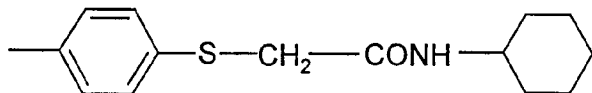
is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^6$ .

- 5 In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{D}-\text{H}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where D has one of the preferred meanings mentioned below and n can be 0, 1, 2, 3 or 4 and i can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

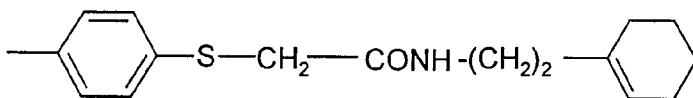


is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{D}-\text{H}$ .

In  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{D}-\text{H}$ ,  $\text{Ar}'$  is  
 5 preferentially unsubstituted or substituted phenylene,  
 where D has one of the preferred meanings mentioned  
 below and n can be 0, 1, 2, 3 or 4 and i can be 0, 1,  
 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

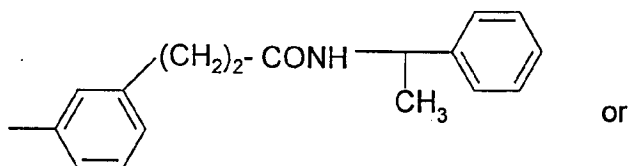


10 or

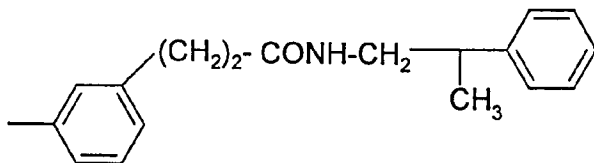


is particularly preferred for  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{D}-\text{H}$ .

15 In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^{11}$ ,  $\text{Ar}'$  is  
 preferentially unsubstituted or substituted phenylene,  
 where  $\text{R}^{11}$  is  $-\text{CH}(\text{A})-\text{Ph}$ , wherein A has one of the  
 preferred meanings mentioned beforehand, Ph is phenyl  
 and n can be 0, 1, 2, 3 or 4 and i can be 0, 1, 2, 3,  
 20 4, 5, 6, 7, 8, 9, 10, 11 or 12.



or

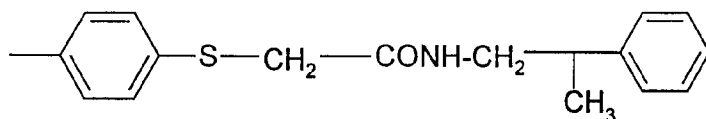


is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^{11}$ .

25

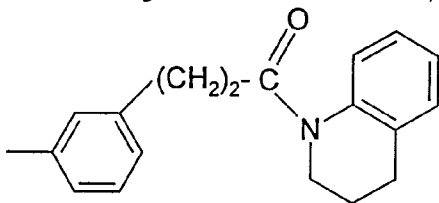
In  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^{11}$ ,  $\text{Ar}'$  is  
 preferentially unsubstituted or substituted phenylene,  
 where  $\text{R}^{11}$  is  $-\text{CH}(\text{A})-\text{Ph}$ , wherein A has one of the  
 preferred meanings mentioned beforehand, Ph is phenyl

and n can be 0, 1, 2, 3 or 4 and i can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



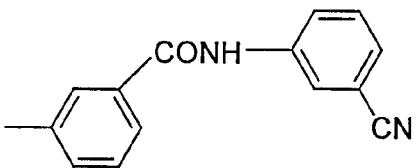
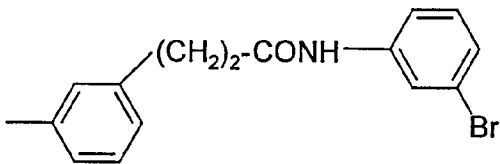
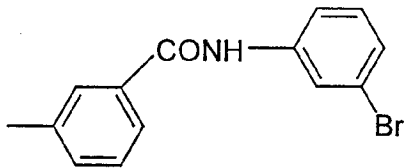
is particularly preferred for  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^{11}$ .

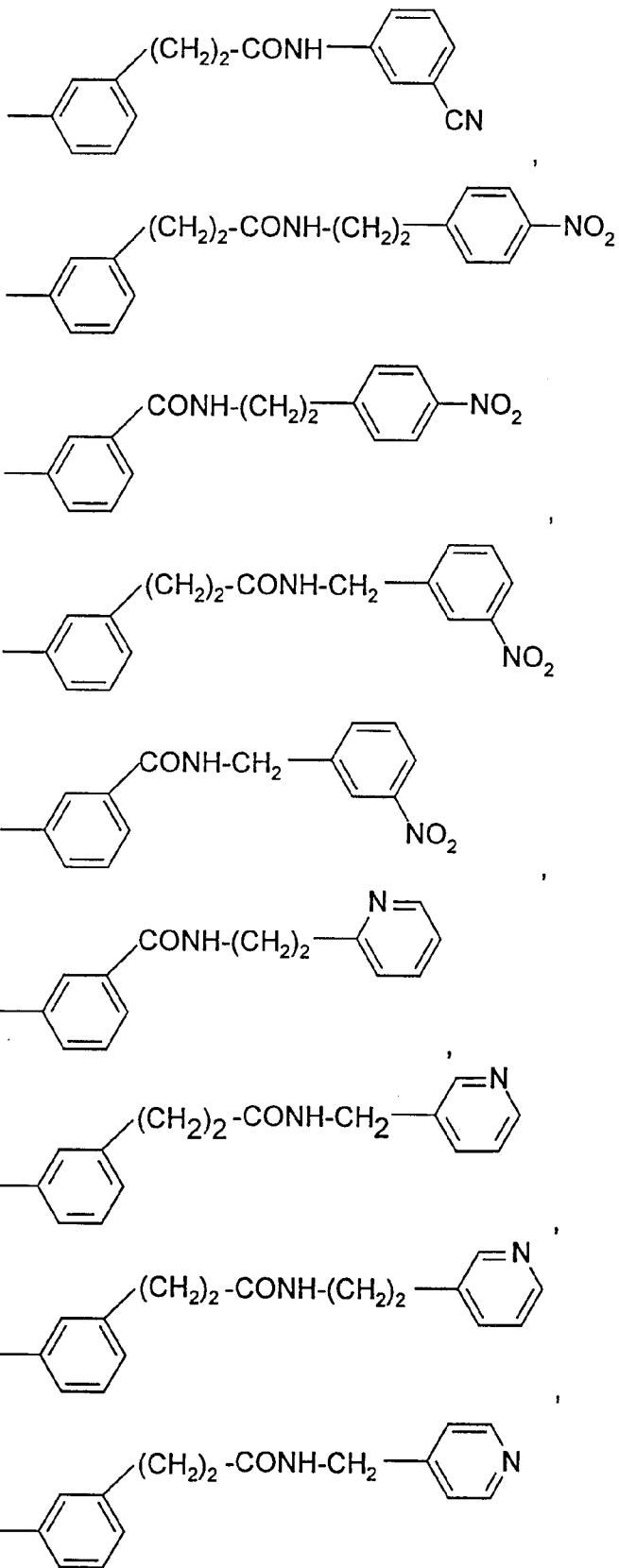
In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CO})-\text{Het}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where Het has one of the preferred meanings mentioned in the following and n can be 0, 1, 2, 3 or 4.

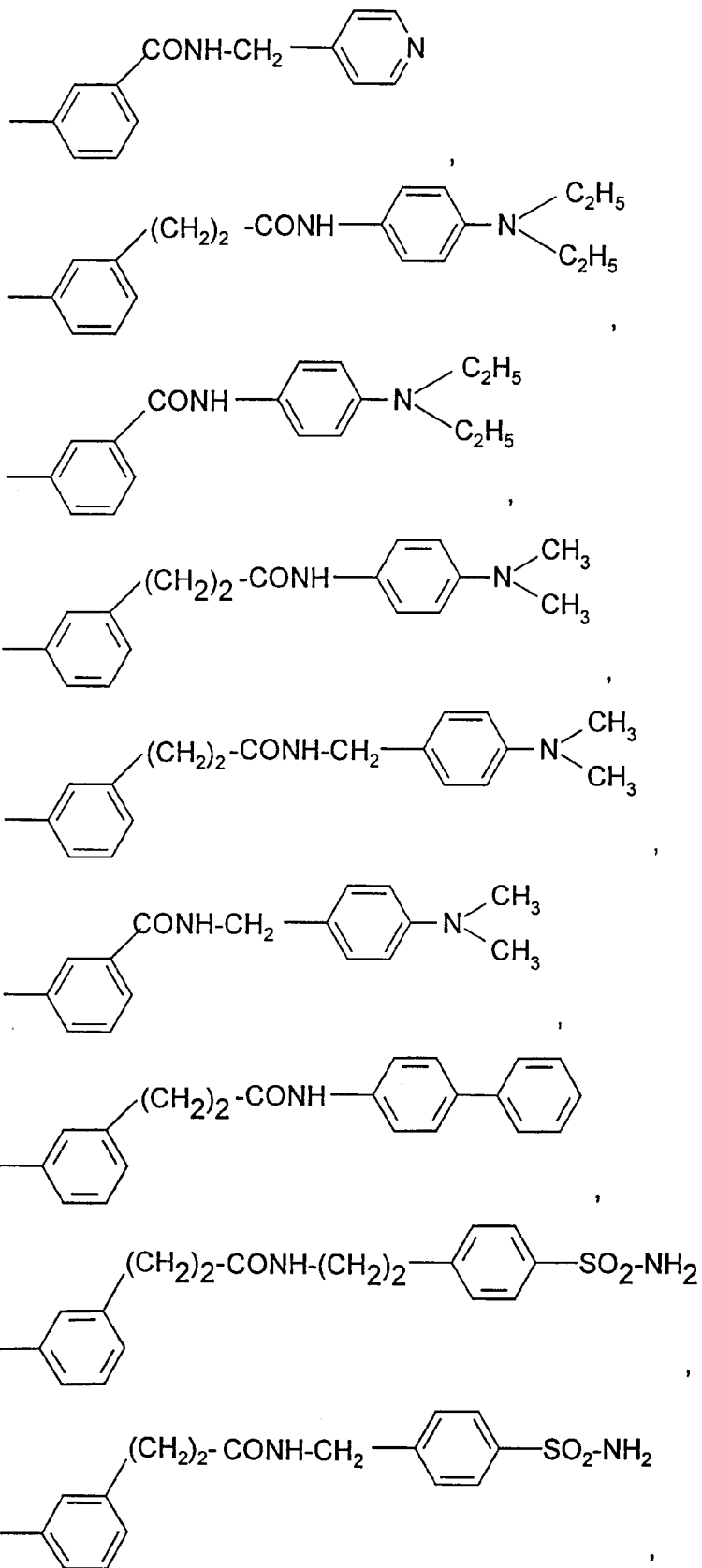


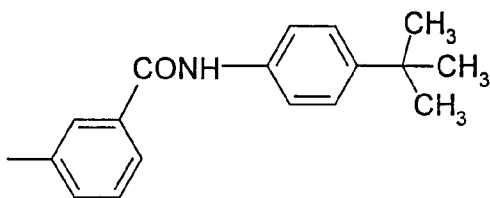
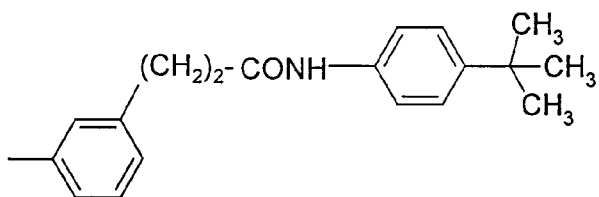
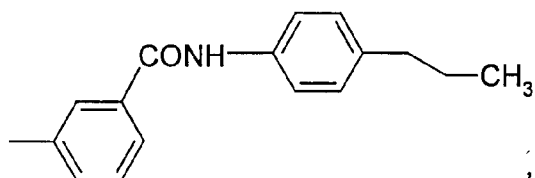
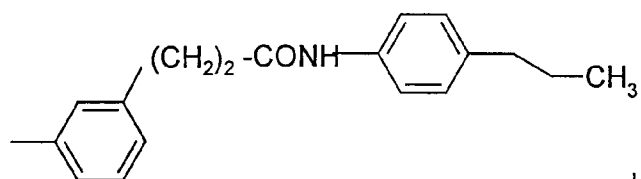
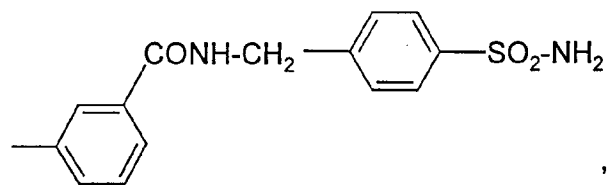
is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CO})-\text{Het}$ .

In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Ar}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where Ar has one of the preferred meanings mentioned beforehand and n can be 0, 1, 2, 3 or 4 and i can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

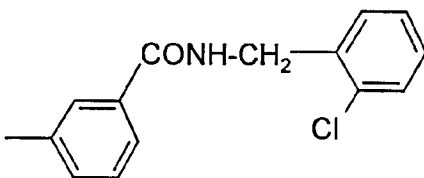
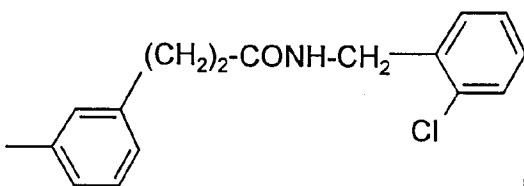
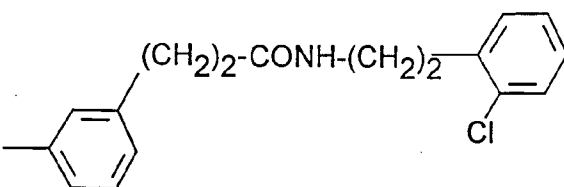


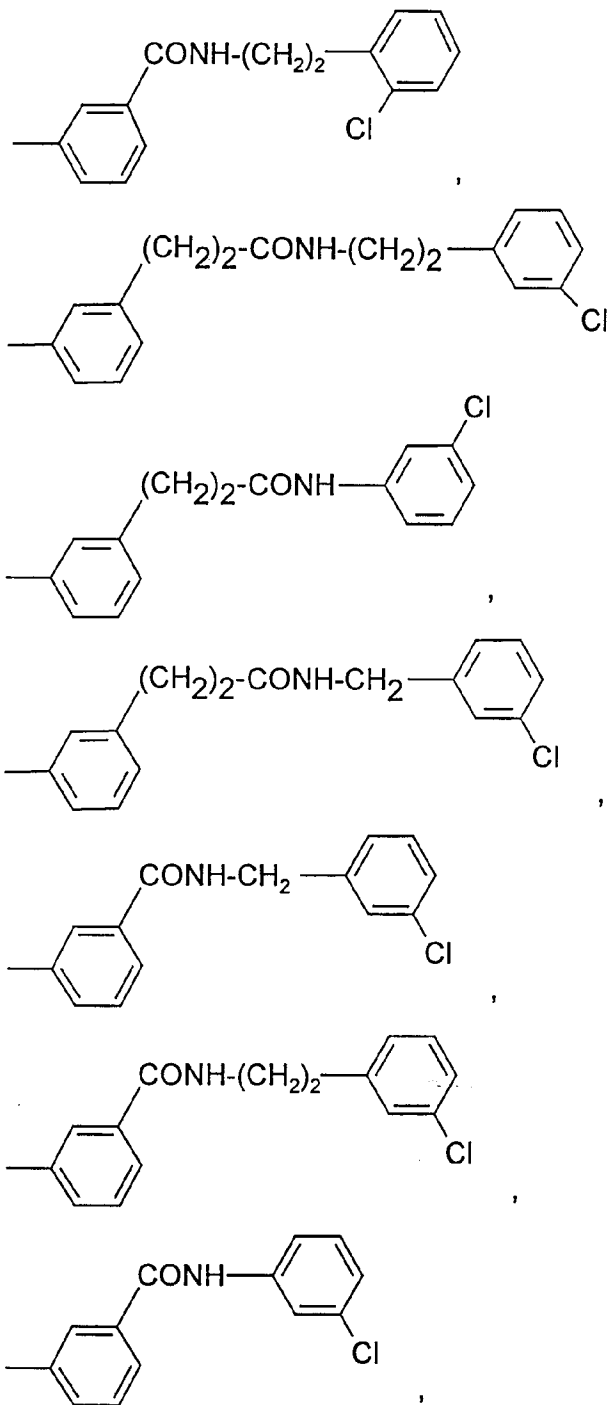


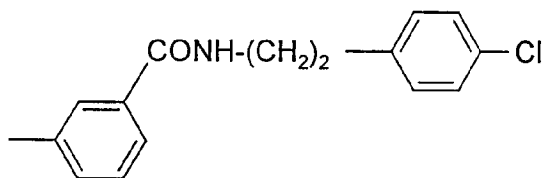
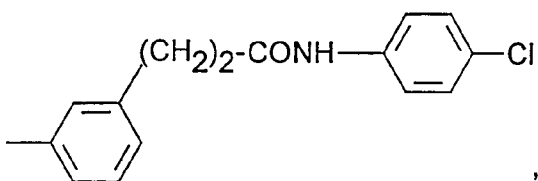
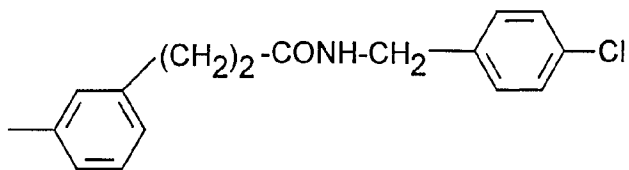
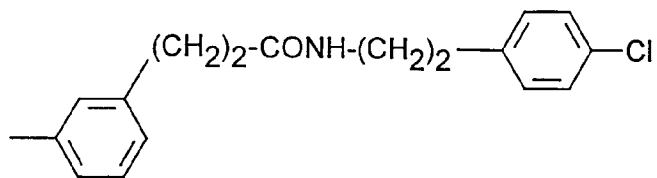




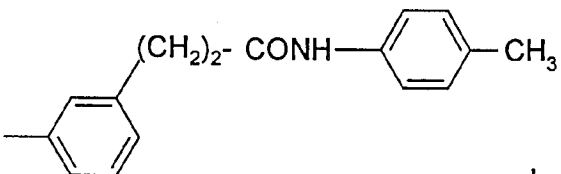
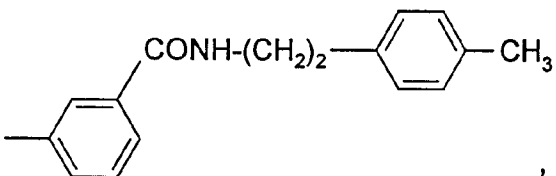
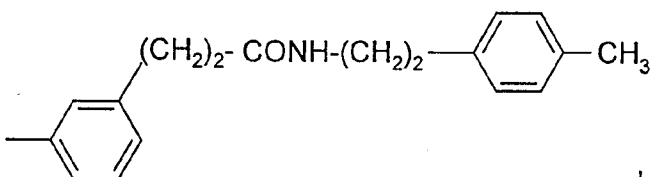
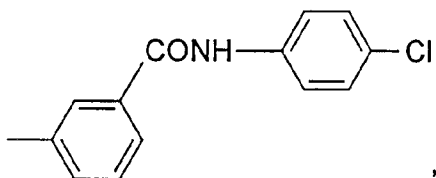
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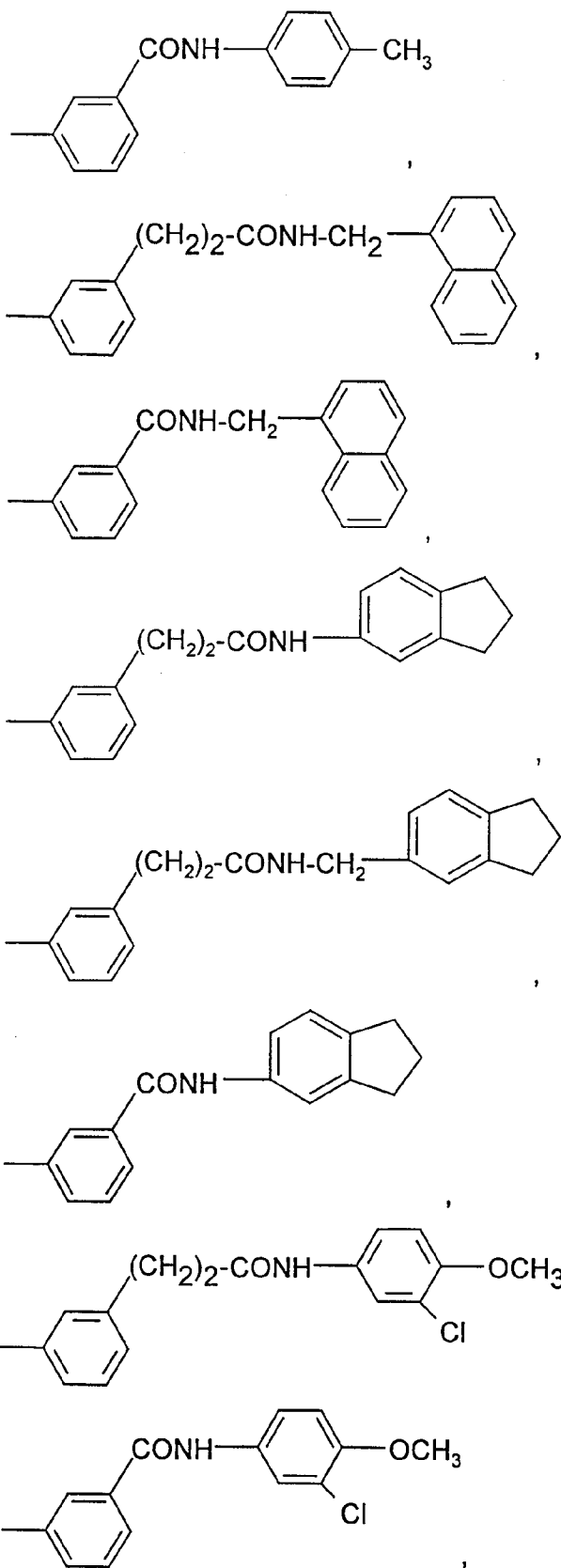




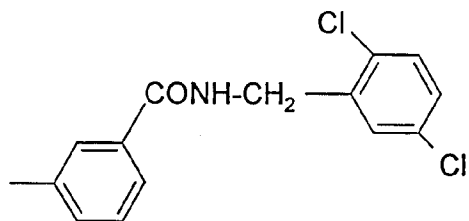
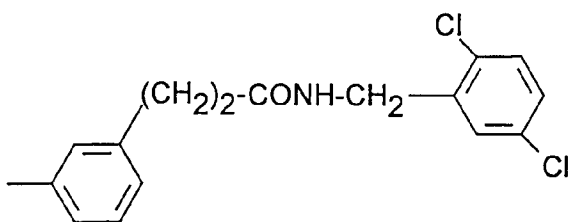
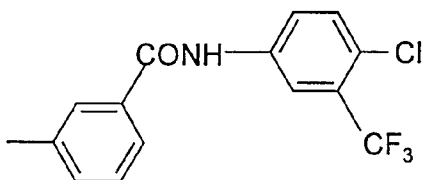
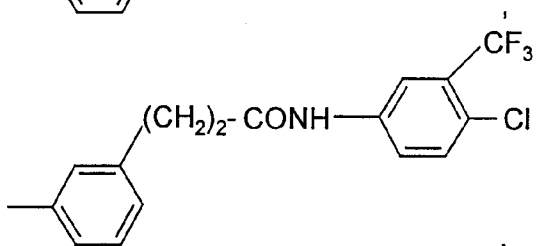
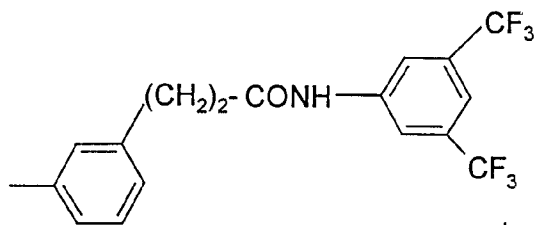


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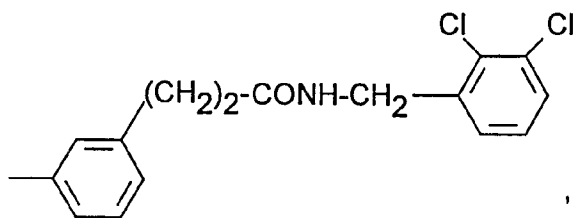
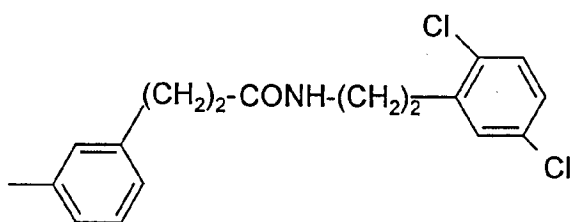


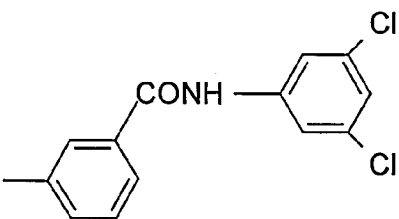
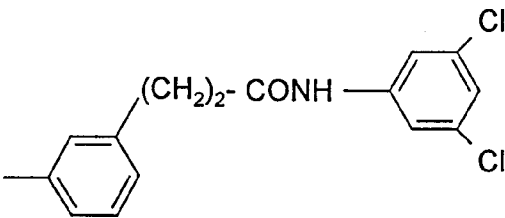
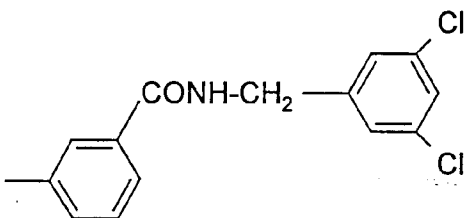
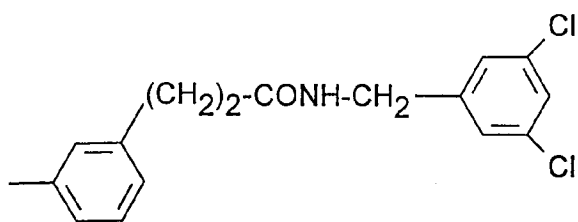
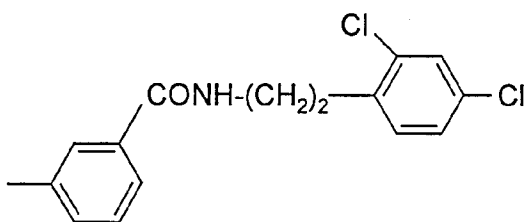
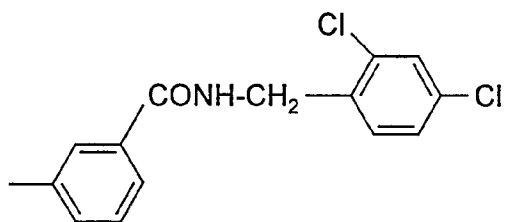
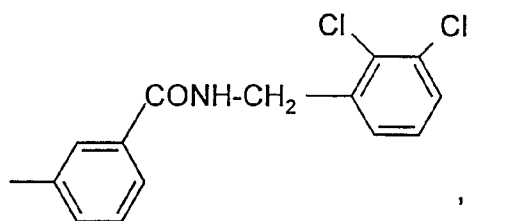


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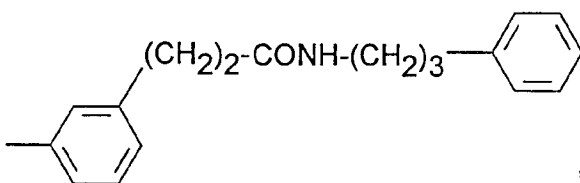
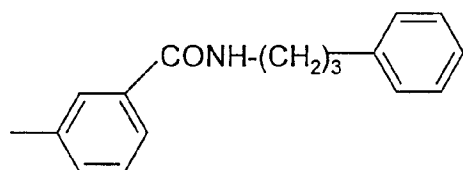
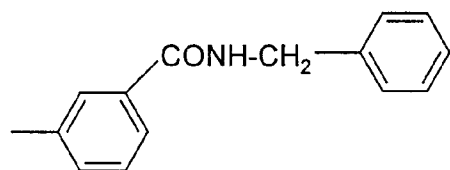
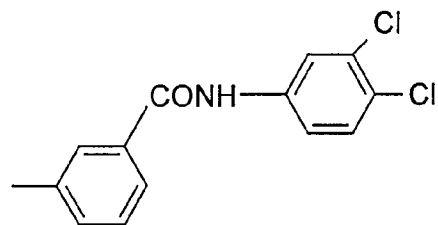
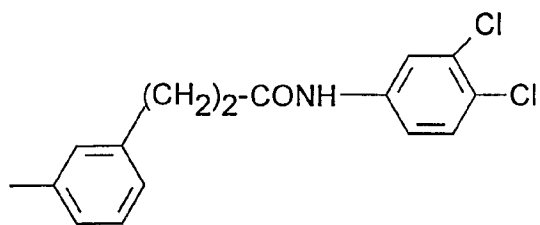


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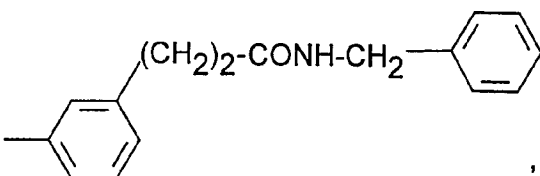
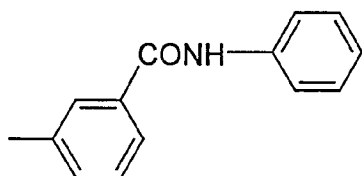
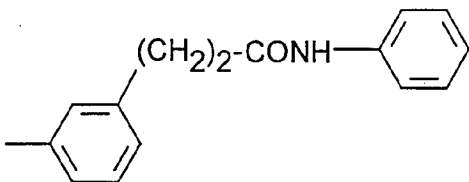




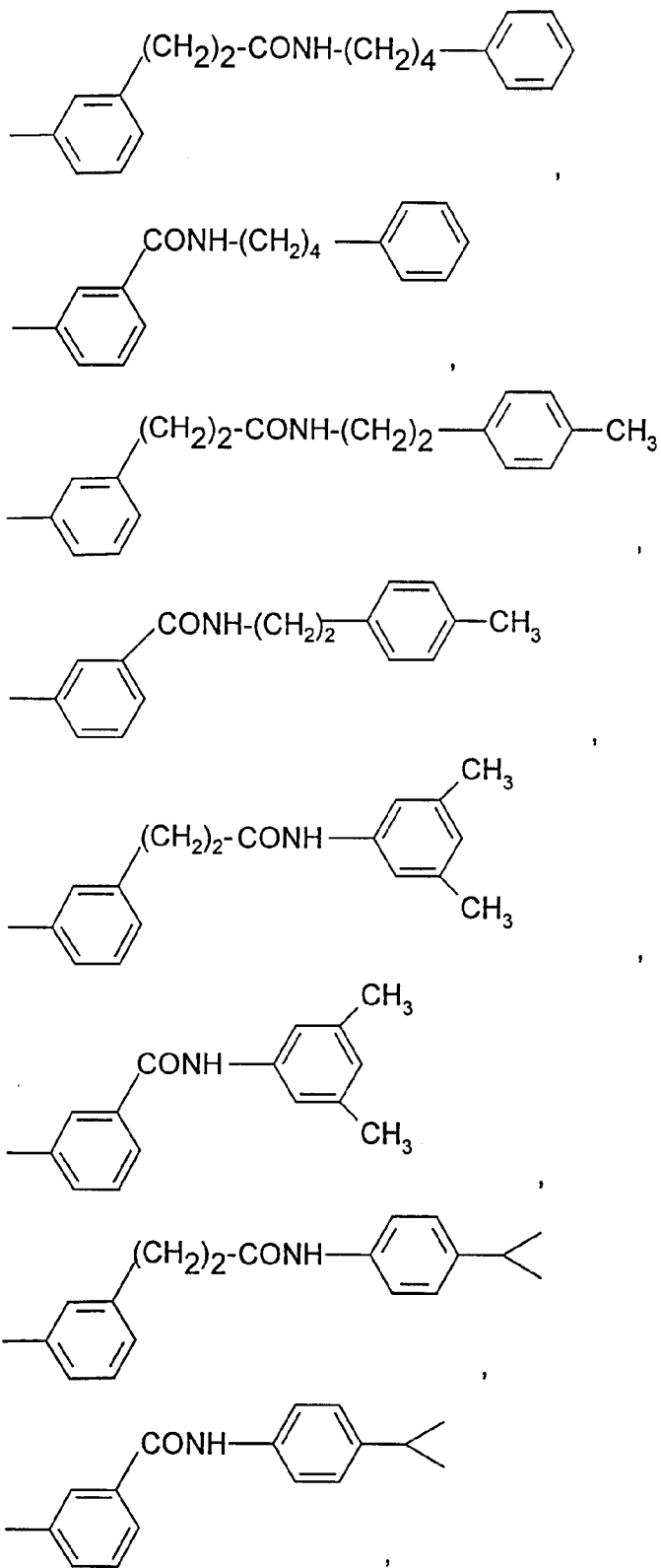
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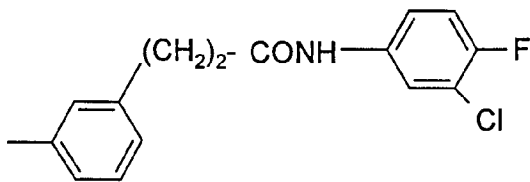
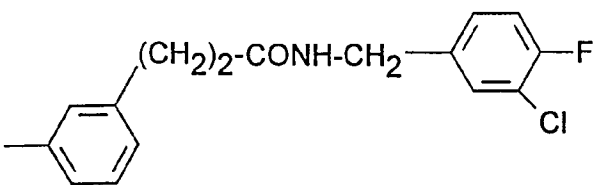
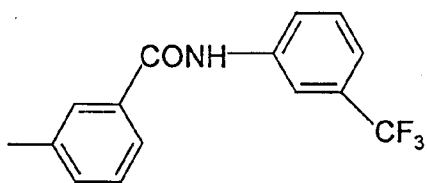
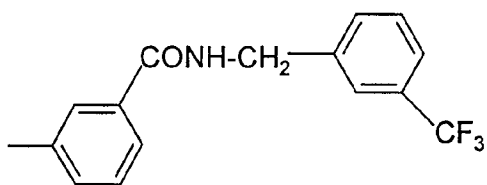
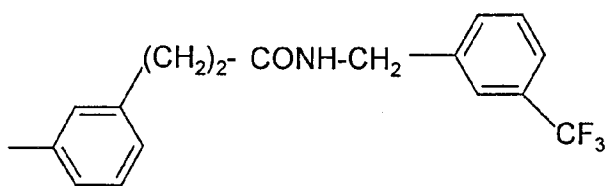
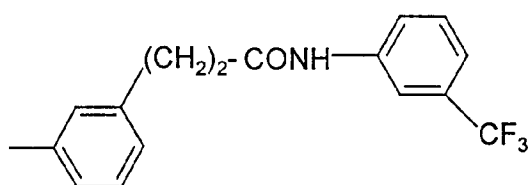
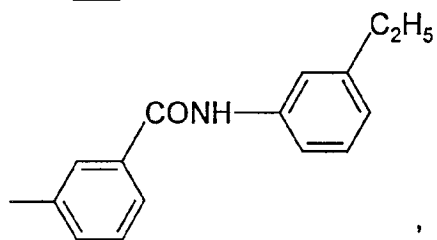
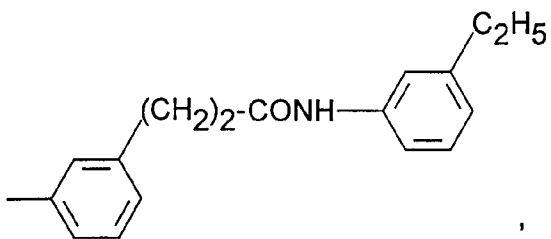


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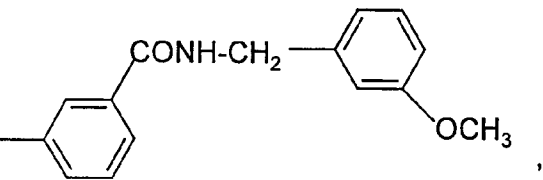
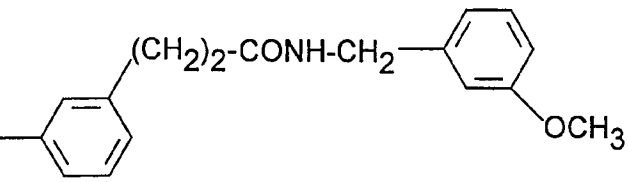
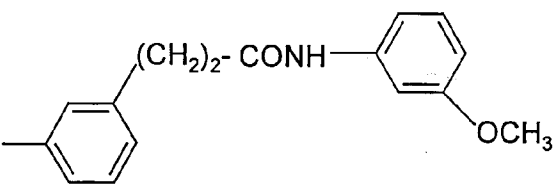
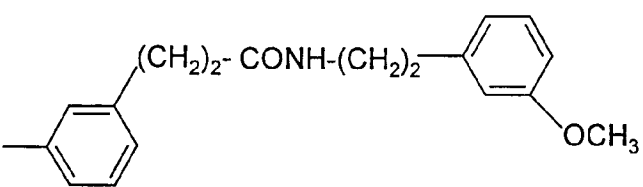
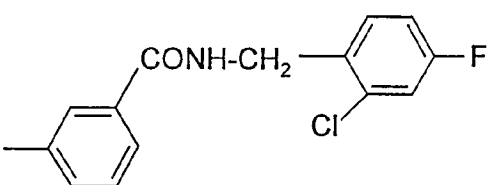
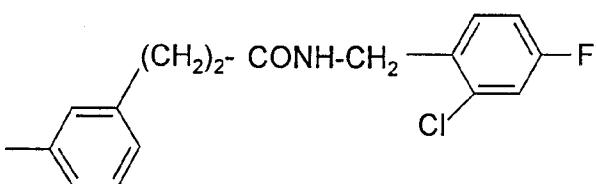
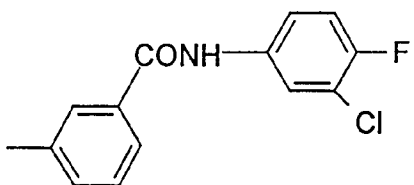
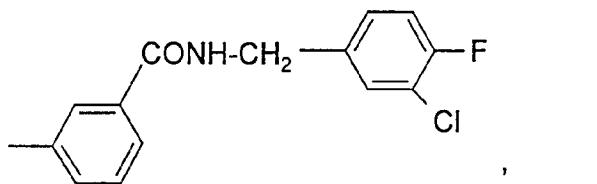


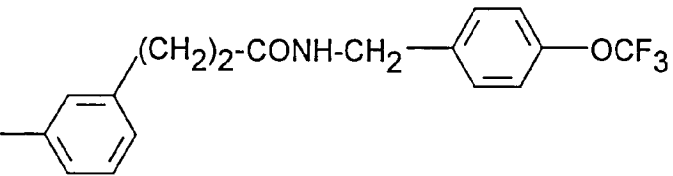
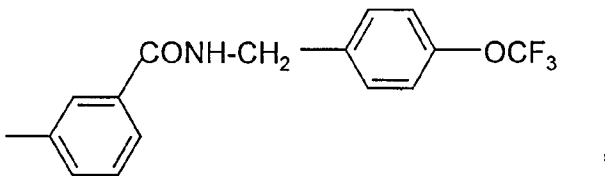
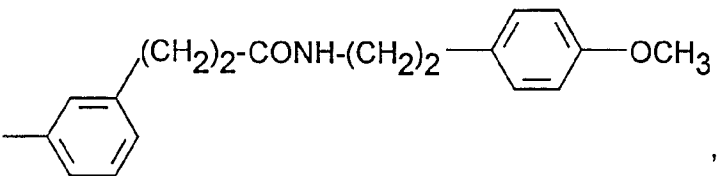
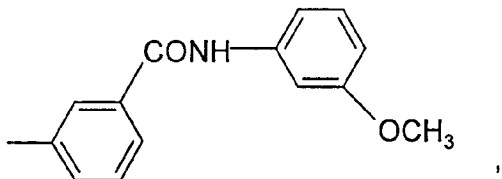
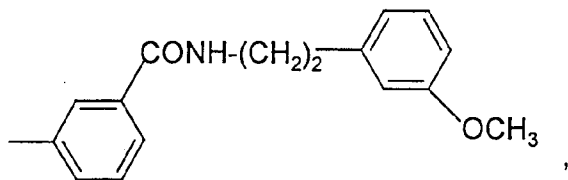
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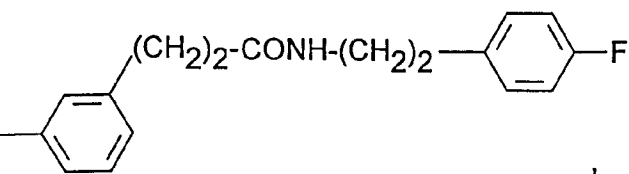
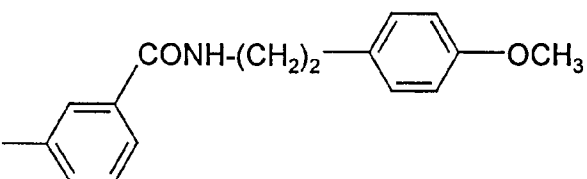
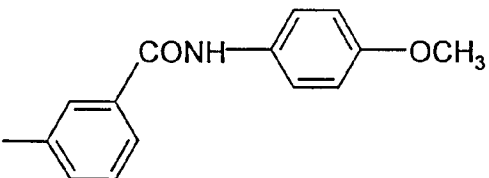
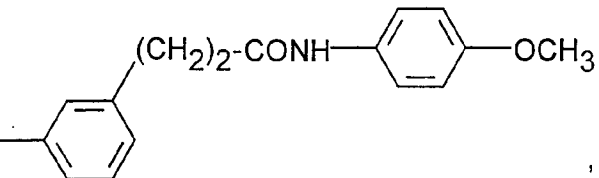


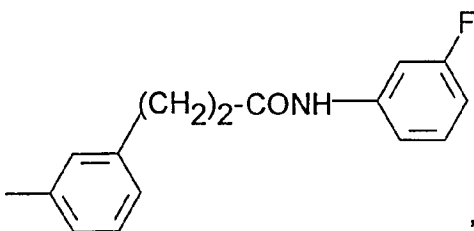
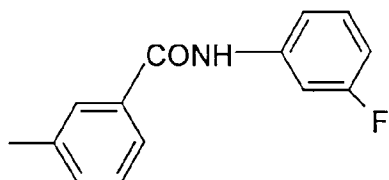
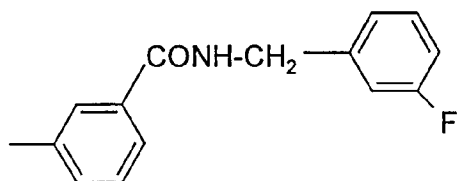
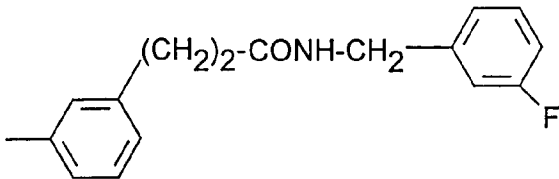
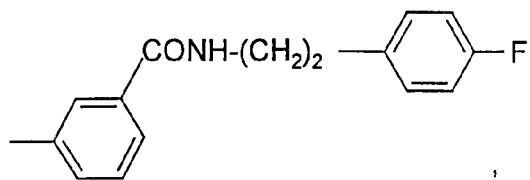
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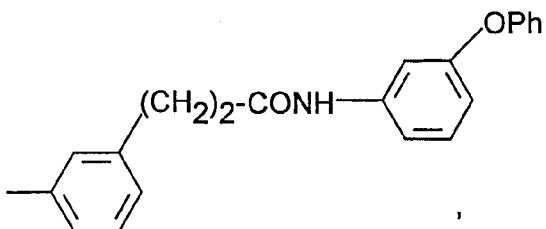
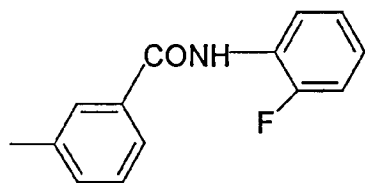
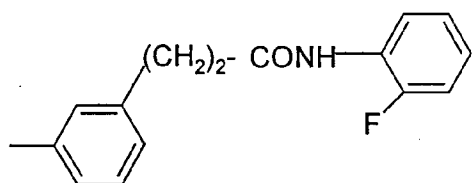


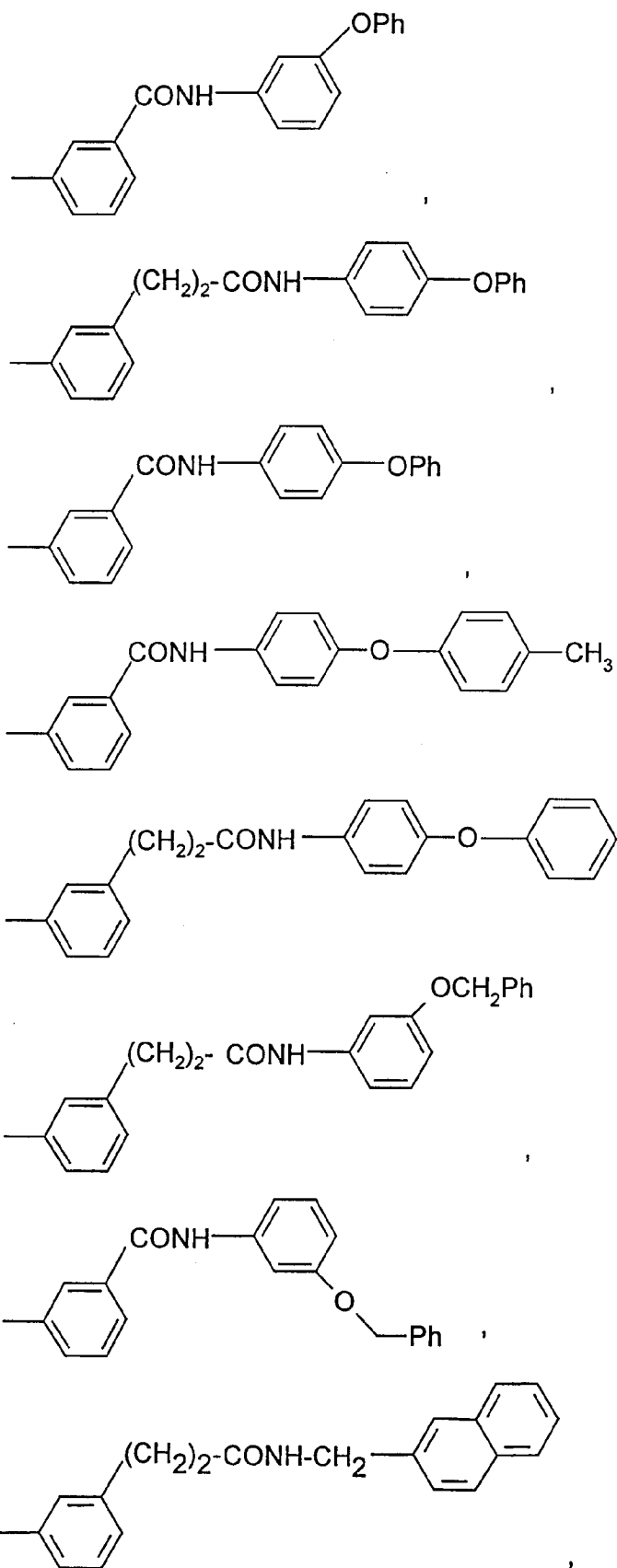
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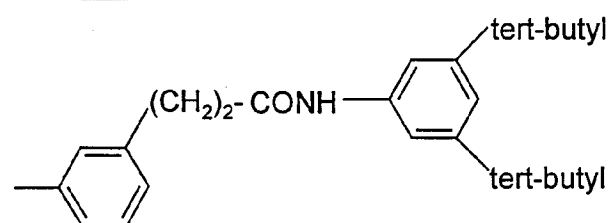
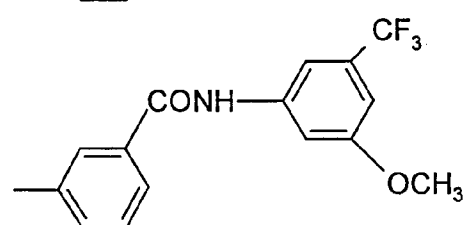
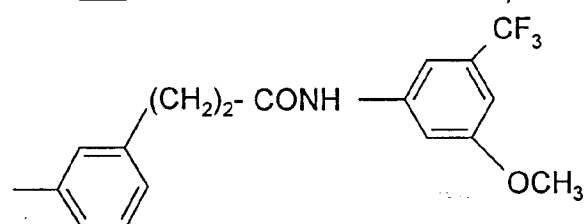
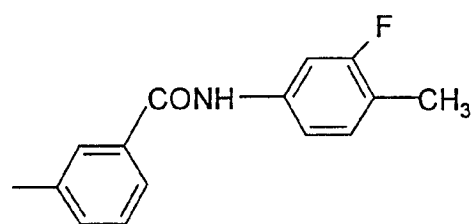
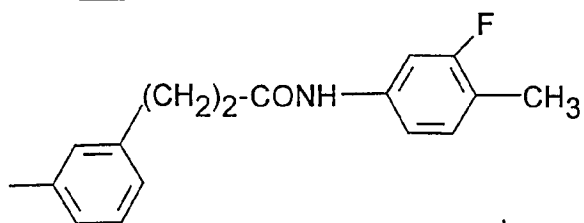
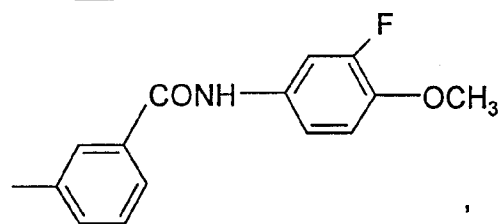
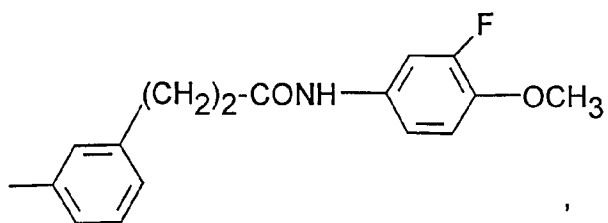




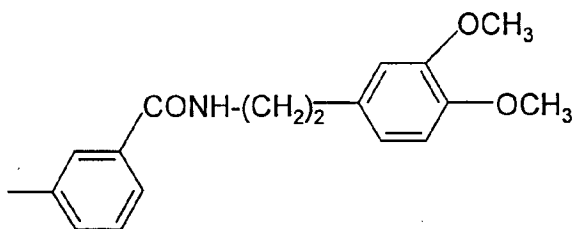
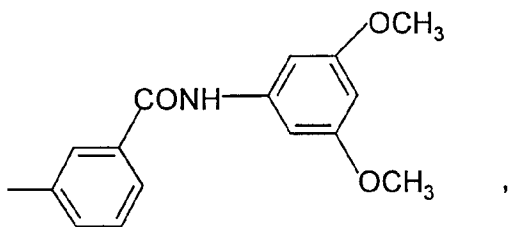
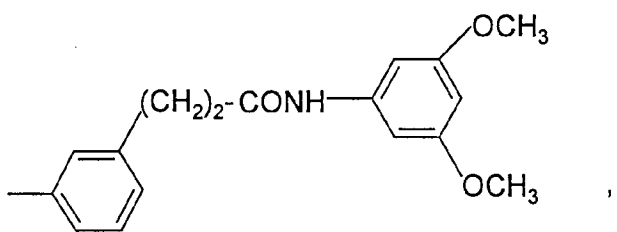
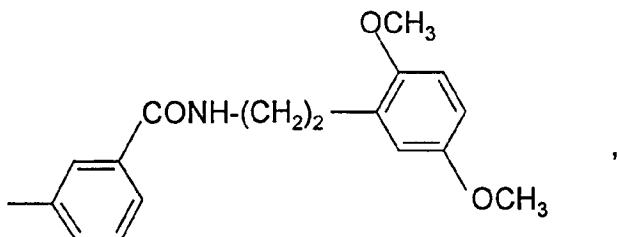
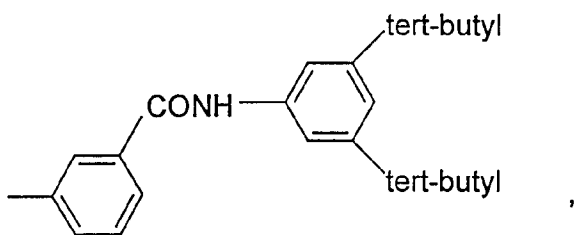
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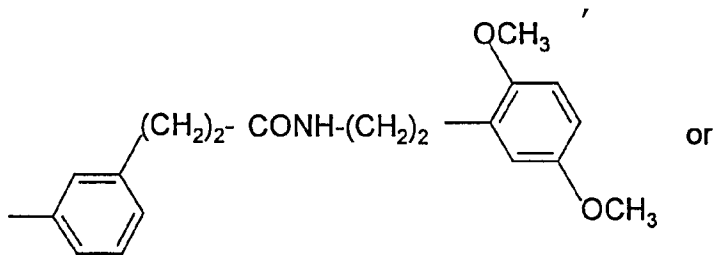




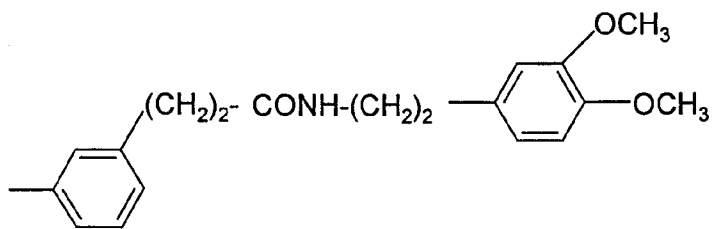
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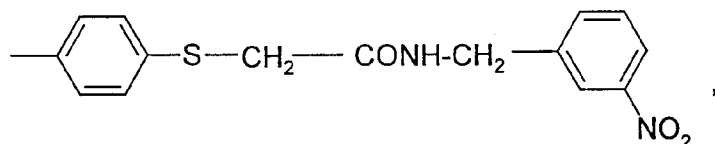
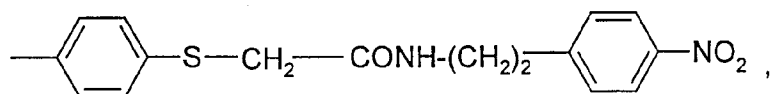
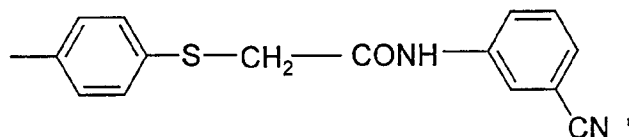
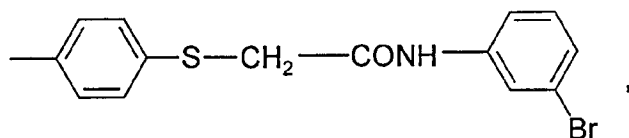


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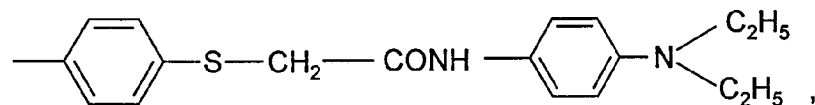
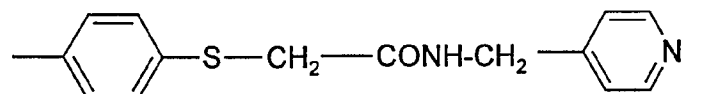
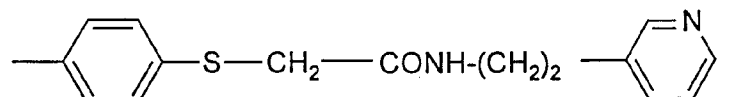
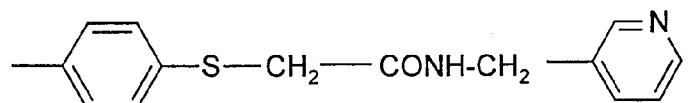
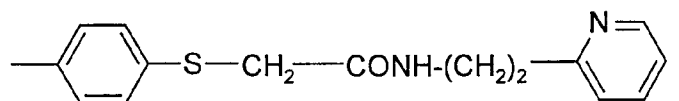


is particularly preferred for -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CONH)-(CH<sub>2</sub>)<sub>i</sub>-Ar.

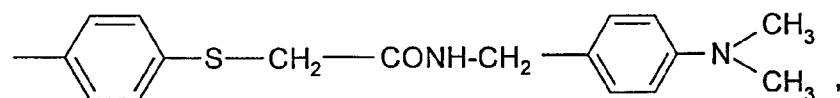
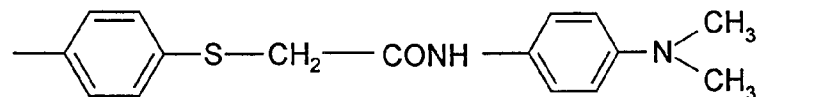
In  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Ar}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Ar}$  has one of the preferred meanings mentioned  
 5 beforehand and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



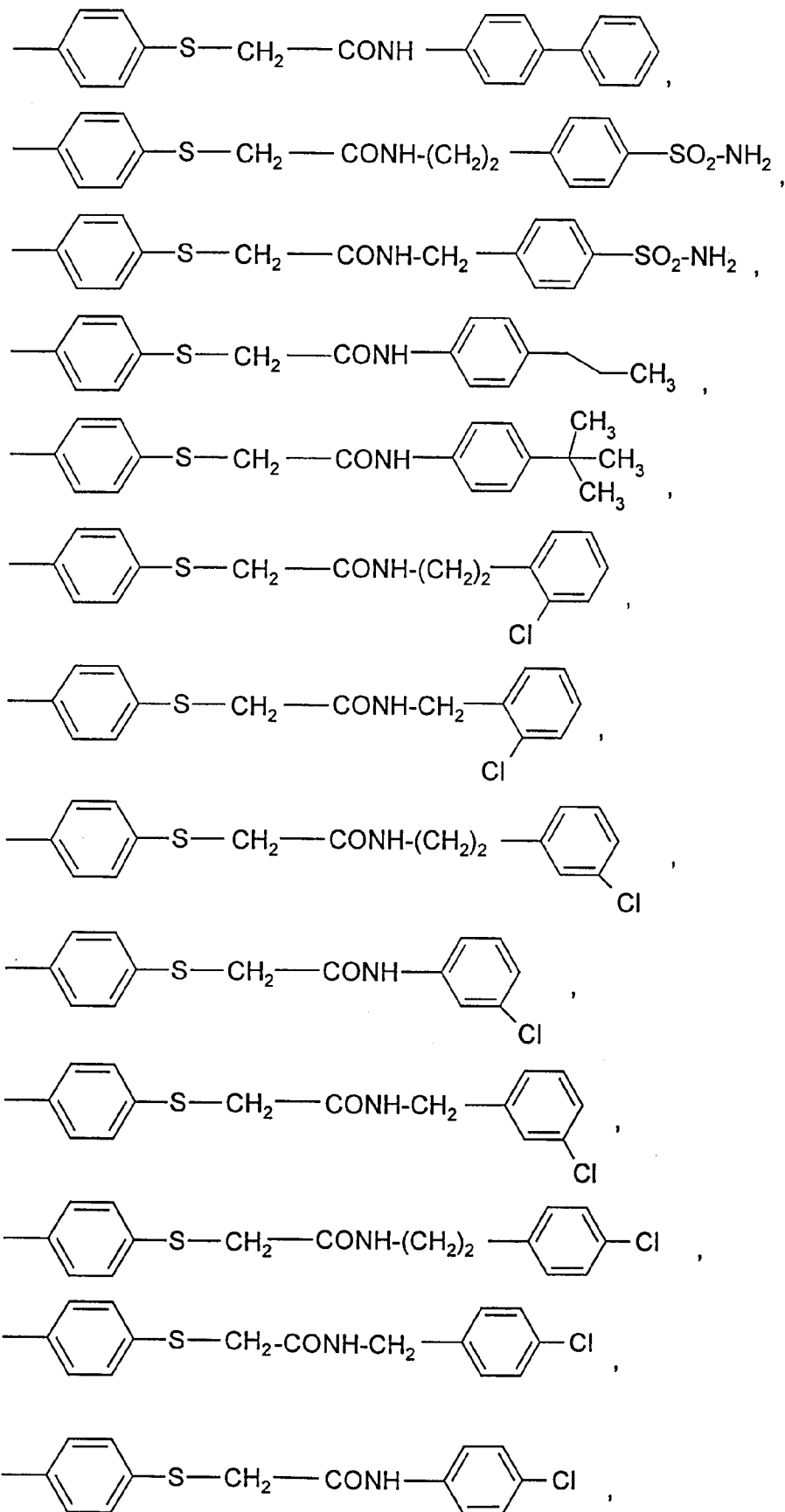
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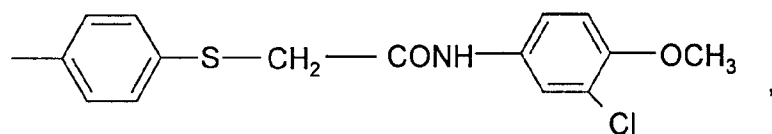
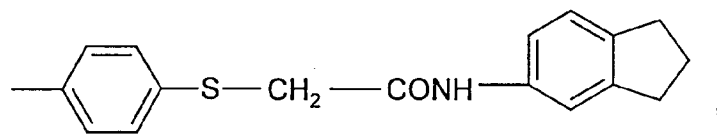
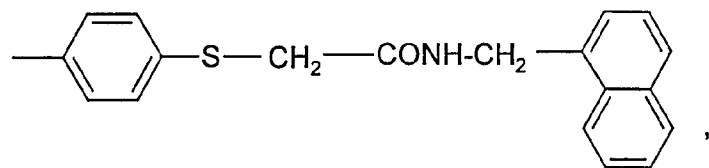
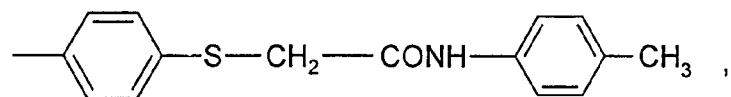
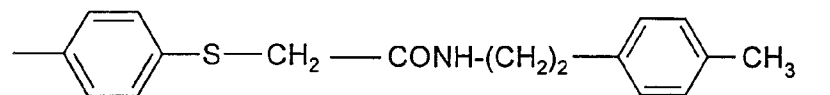
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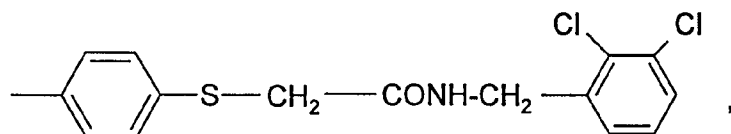
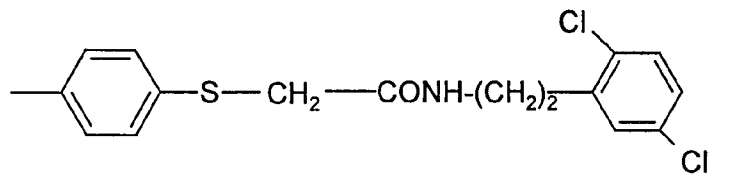
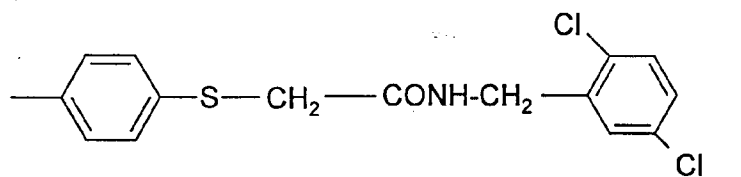
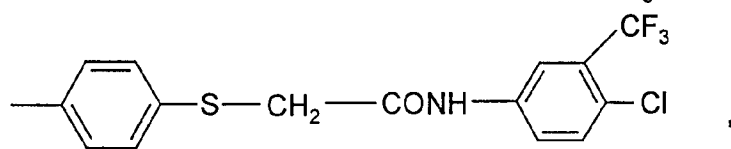
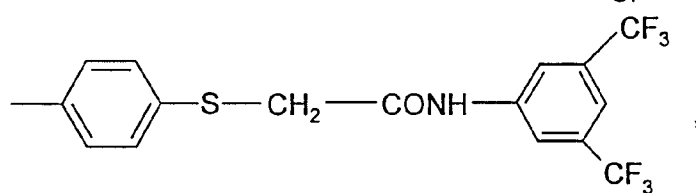
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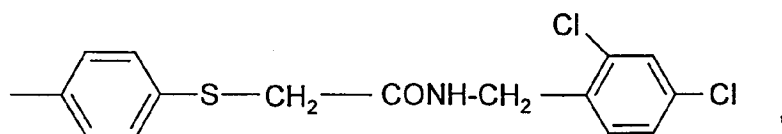
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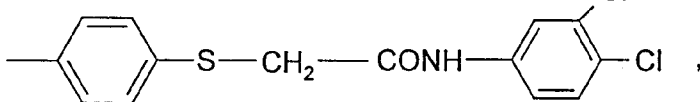
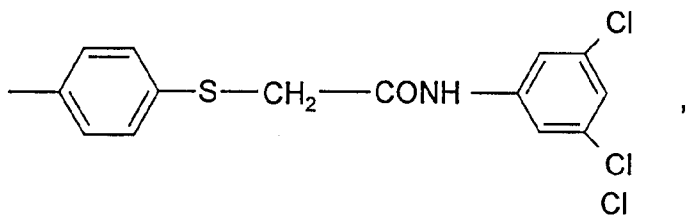
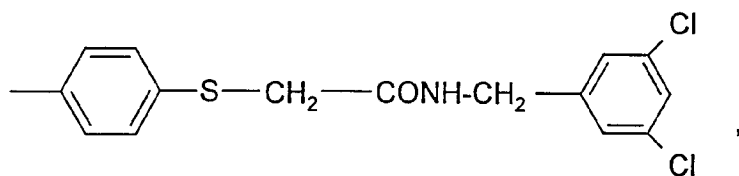
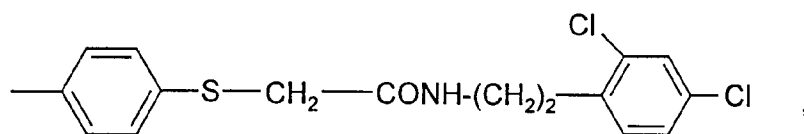


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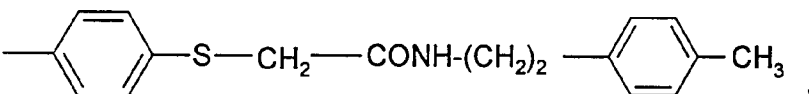
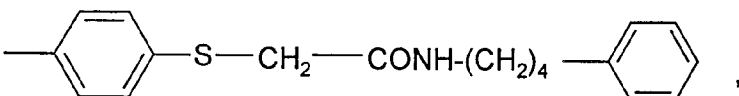
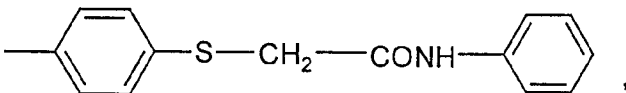
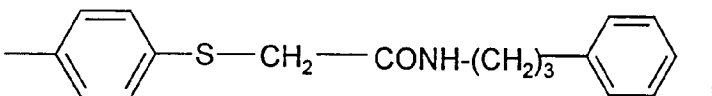
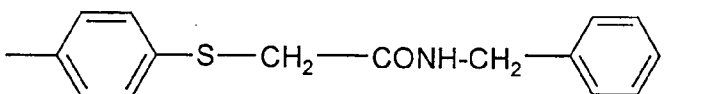


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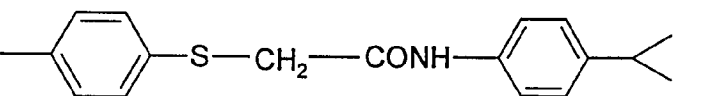
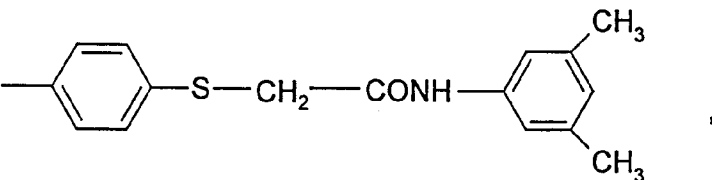
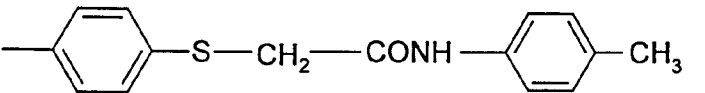


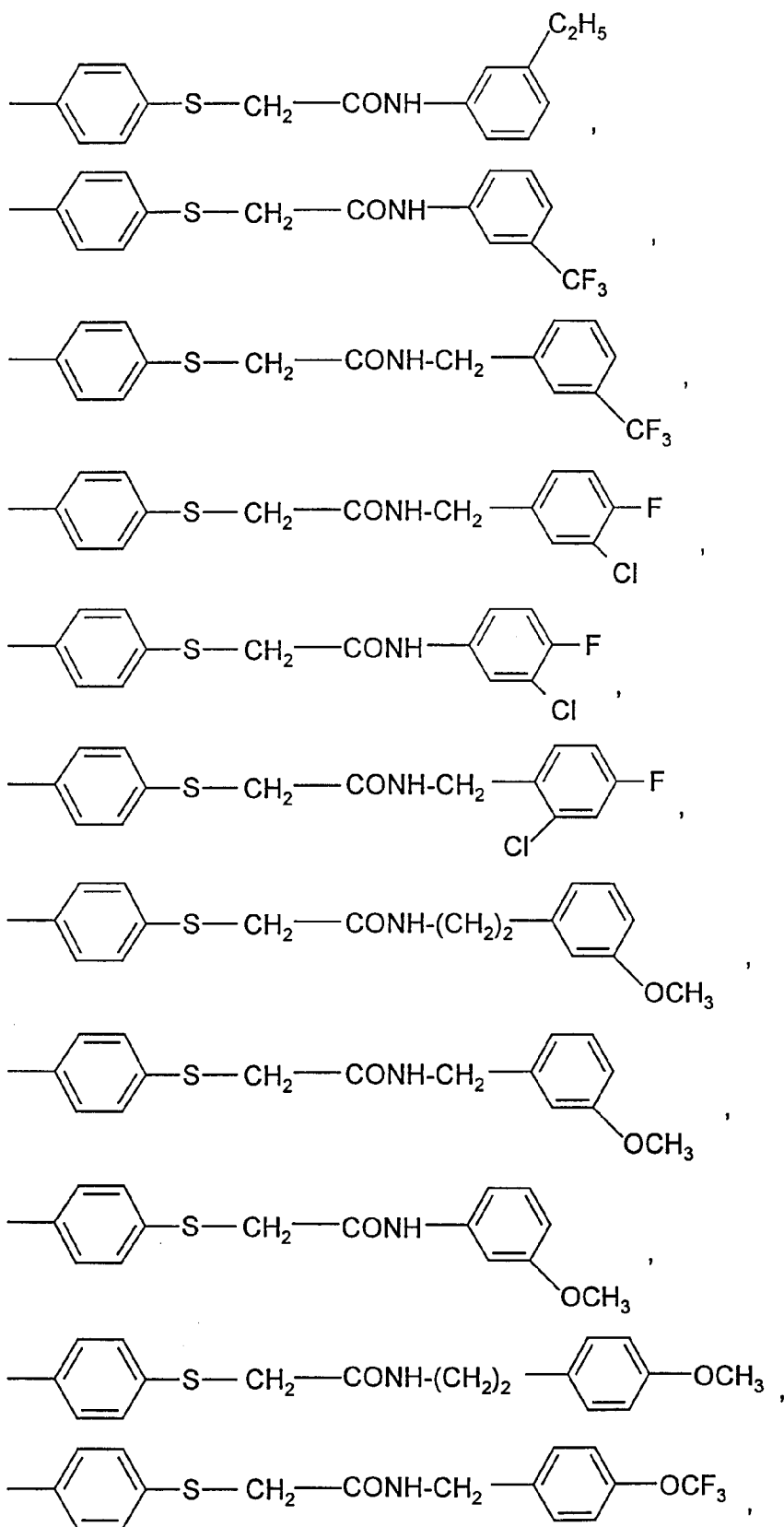


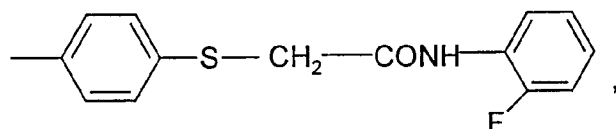
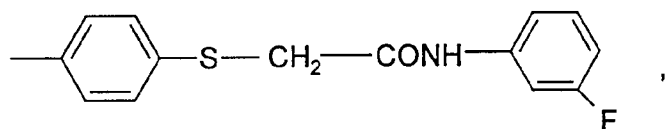
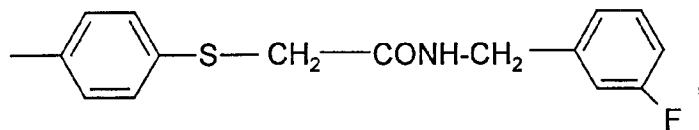
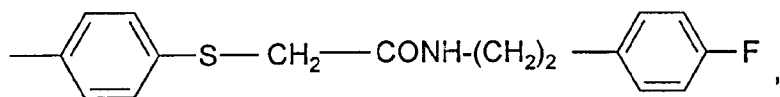
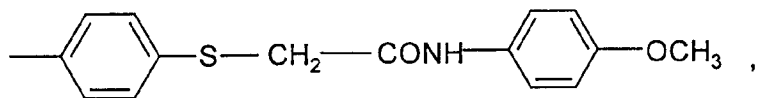
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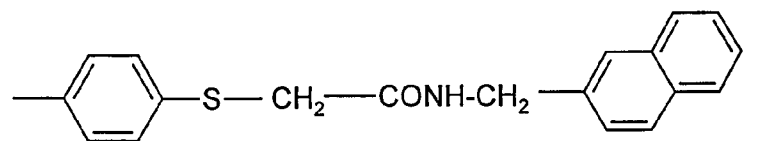
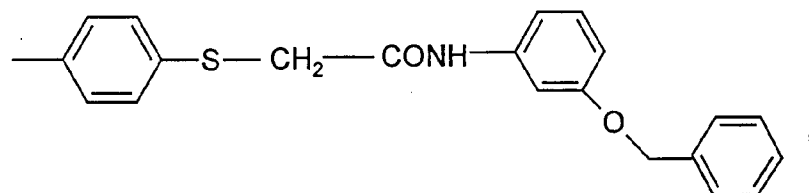
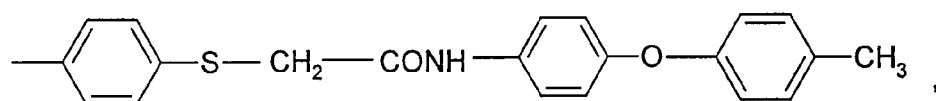
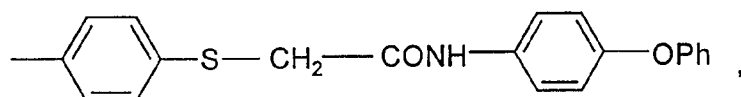
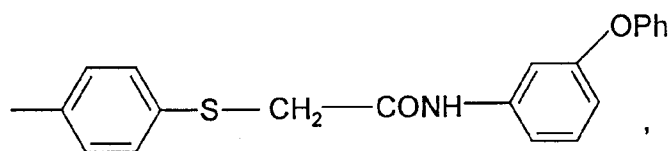
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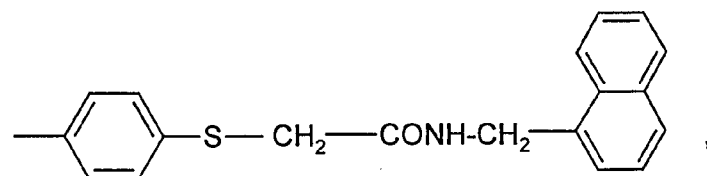


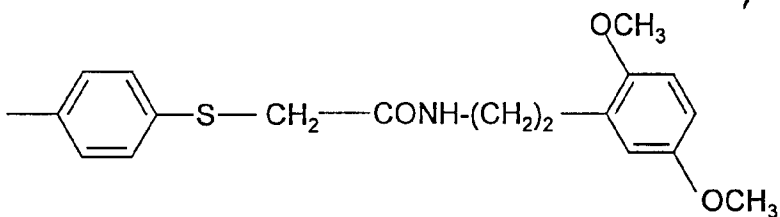
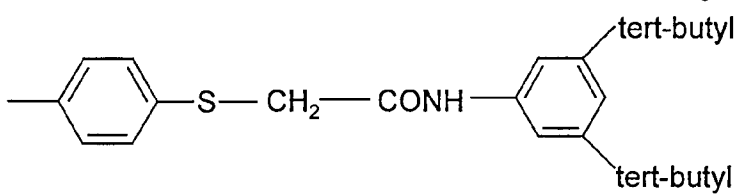
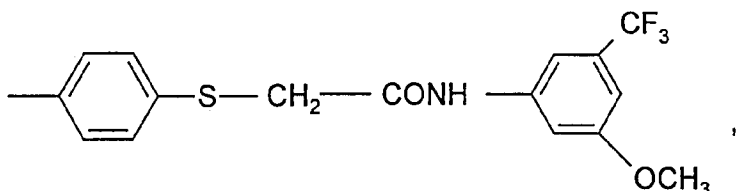
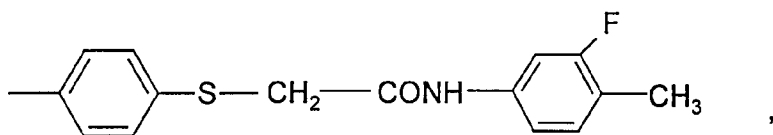
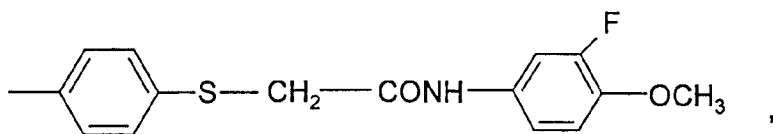


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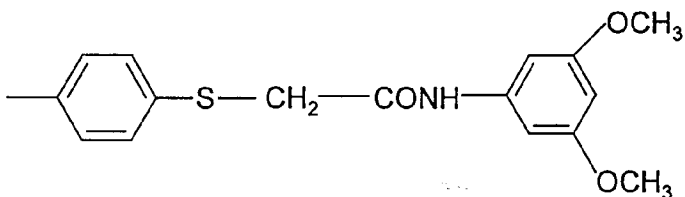


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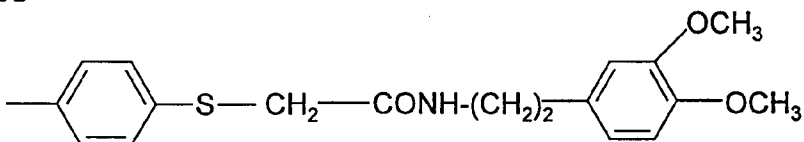




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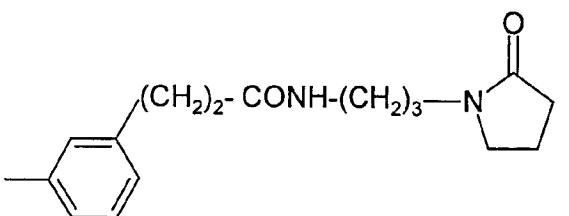
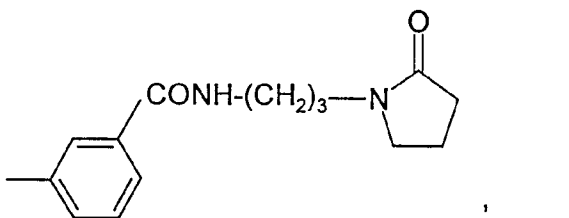
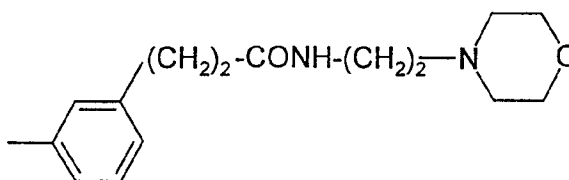
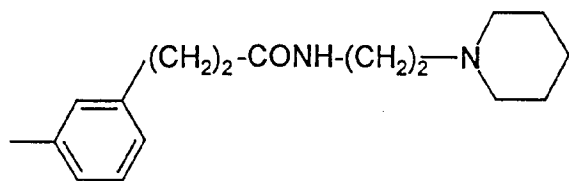
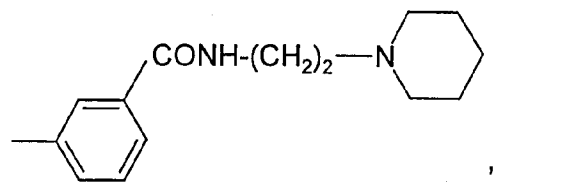


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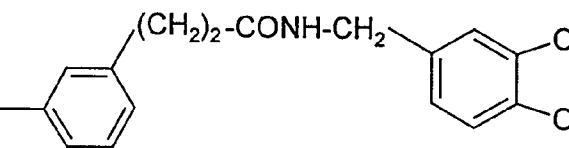
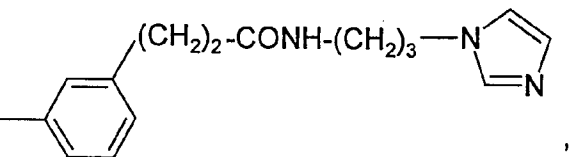
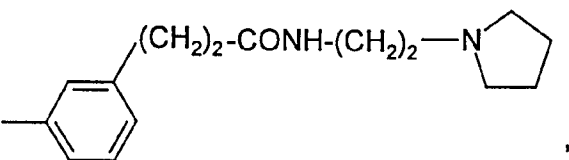
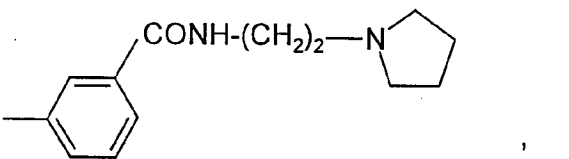


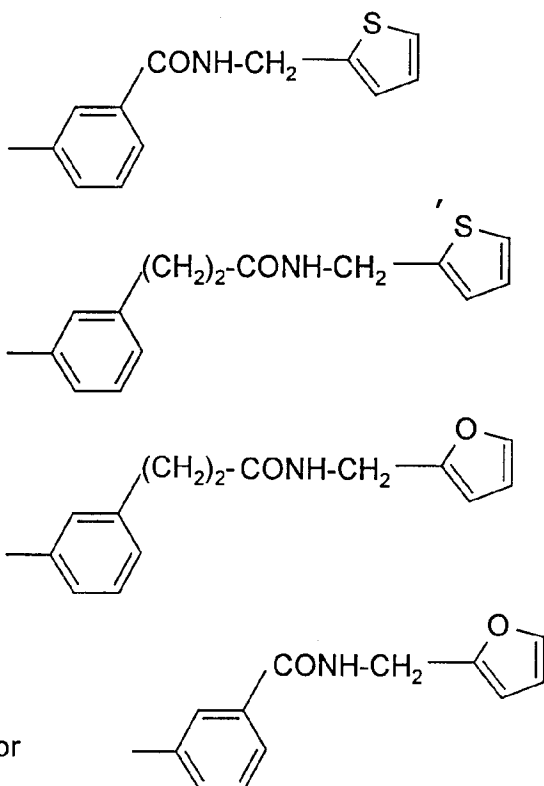
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In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Het}^1$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Het}^1$  has one of the preferred meanings mentioned in the following and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



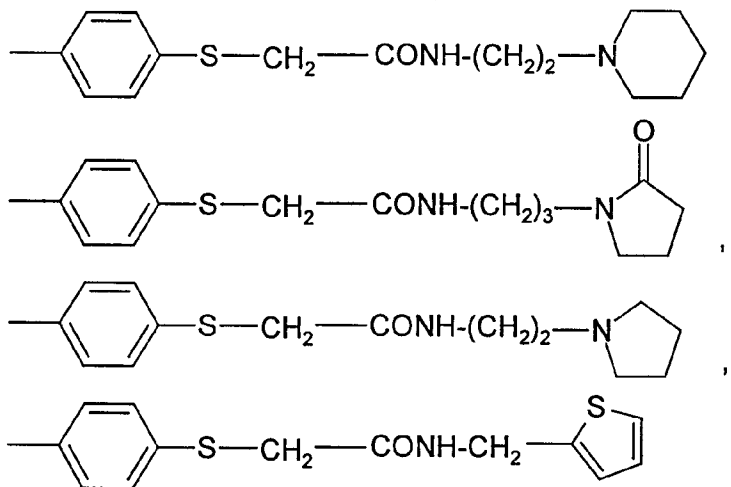
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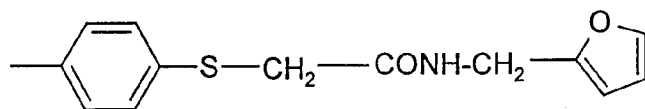


- 5 is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Het}^1$ .

In  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Het}^1$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Het}^1$  has one of the preferred meanings mentioned in the following and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

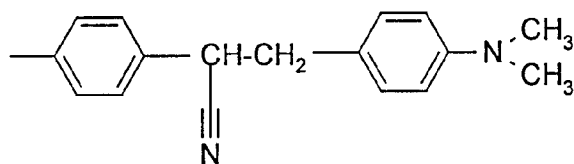


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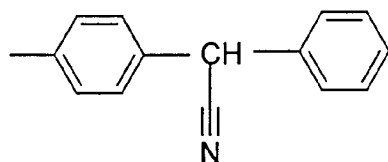
is particularly preferred for  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Het}^1$ .

- 5 In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CH}(\text{CN}))-(\text{CH}_2)_i-\text{Ar}$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Ar}$  has one of the preferred meanings mentioned beforehand and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



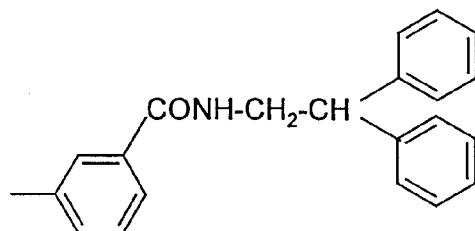
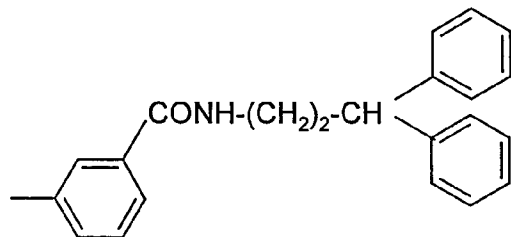
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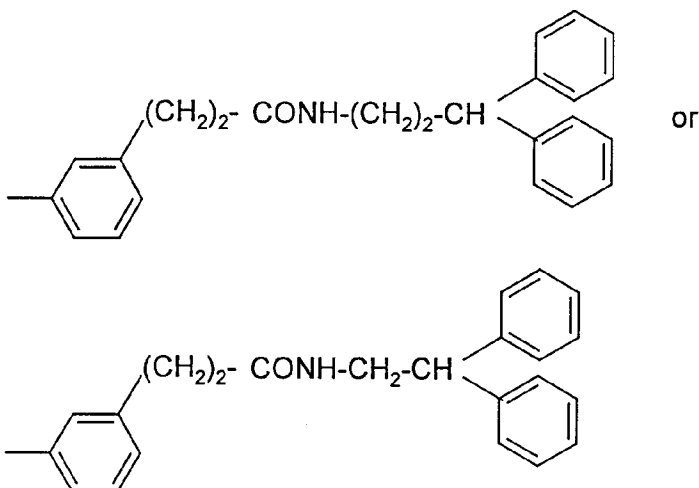
or



is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CH}(\text{CN}))-(\text{CH}_2)_i-\text{Ar}$ .

- 15 In  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{CH}(\text{Ar}^1)-\text{Ar}^2$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Ar}^1$  and  $\text{Ar}^2$  each independently of one another has one of the preferred meanings mentioned beforehand and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

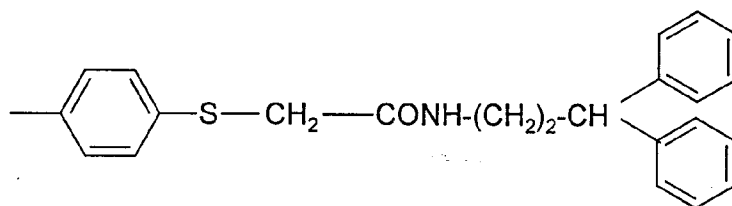




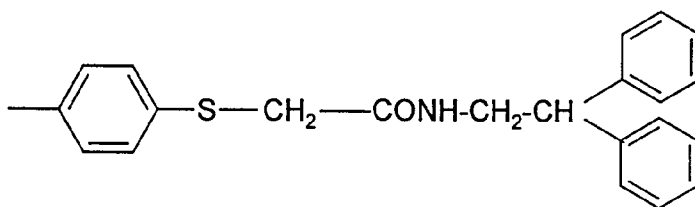
is particularly preferred for  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{CH}(\text{Ar}^1)-\text{Ar}^2$ .

5

In  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{CH}(\text{Ar}^1)-\text{Ar}^2$ ,  $\text{Ar}'$  is preferentially unsubstituted or substituted phenylene, where  $\text{Ar}^1$  and  $\text{Ar}^2$  each independently of one another has one of the preferred meanings mentioned  
 10 beforehand and  $n$  can be 0, 1, 2, 3 or 4 and  $i$  can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



or



15 is particularly preferred for  $-\text{Ar}'-\text{S}-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{CH}(\text{Ar}^1)-\text{Ar}^2$ .

In the above formulae,  $D$  is cycloalkylene and has 4 to 7, preferably 5 or 6, C atoms. Cycloalkylene  
 20 is preferably cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl, particularly preferentially cyclopentyl or

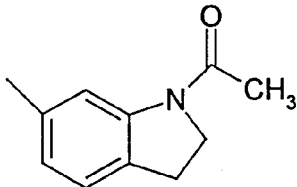
cyclohexyl. Furthermore, D is preferentially cyclohexen-1-yl.

Hal is preferably F, Cl, Br or iodine.

Het<sup>1</sup> is preferentially substituted or unsubstituted furan-2-yl or furan-3-yl, carbazol-9-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, [1,3,4]-thiadiazol-2-yl, 1,2-dihydropyrazol-3-on-4-yl, 1,2-dihydropyrazol-3-on-5-yl, benzothiophen-2-yl, benzothiophen-3-yl, 3H-benzotriazol-5-yl, benzothiazol-2-yl, benzofuran-2-yl, benzofuran-3-yl, imidazol-1-yl or benzo[1,3]dioxol-5-yl or piperidine-1-yl, pyrrolidine-1-yl or pyrrolidine-2-on-1-yl. Furthermore furan-2-yl, carbazol-9-yl, 3,6-di-tert-butyl-carbazol-9-yl, thiazol-2-yl, thiazol-3-yl, 5-methyl-[1,3,4]-thiadiazol-2-yl, 5-trifluoromethyl-[1,3,4]-thiadiazol-2-yl, 1,5-dimethyl-1,2-dihydropyrazol-3-on-4-yl, benzofuran-2-yl, 6-methyl-benzothiazol-2-yl, 2,3-dihydro-1H-indol-6-yl, 3H-benzotriazol-5-yl, benzothiophen-2-yl, imidazol-1-yl or benzo[1,3]dioxol-5-yl or piperidine-1-yl, morpholin-4-yl, pyrrolidine-1-yl or pyrrolidine-2-on-1-yl is particularly preferred.

In -Het<sup>1</sup>-Ar, Het<sup>1</sup> and Ar have one of the preferred meanings indicated above, where Ar is preferably phenyl. 4-phenylthiazol-2-yl, 5-phenyl-[1,3,4]-thiadiazol-2-yl or 1,5-dimethyl-2-phenyl-1,2-dihydropyrazol-3-on-4-yl is particularly preferred for Het<sup>1</sup>-Ar.

In -Het<sup>1</sup>-R<sup>3</sup>, Het<sup>1</sup> is preferably 2,3-dihydro-1H-indol-6-yl and R<sup>3</sup> is preferably CO(A).



is particularly preferred for Het<sup>1</sup>-Ar.

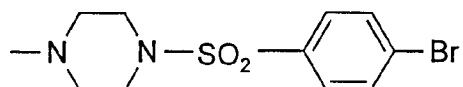
Het is preferably substituted or unsubstituted 2- or 3-furyl, 2- or 3-thienyl, 1-, 2- or 3-pyrrolyl, 1-, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-oxazolyl, 3-, 4- or 5-isoxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-isothiazolyl, 2-, 3- or

4-pyridyl, 2-, 4-, 5- or 6-pyrimidinyl, furthermore preferably 1,2,3-triazol-1-, -4- or -5-yl, 1,2,4-triazol-1-, -4- or -5-yl, 1- or 5-tetrazolyl, 1,2,3-oxadiazol-4- or -5-yl, 1,2,4-oxadiazol-3- or -5-yl, 1,3,4-thiadiazol-2- or -5-yl, 1,2,4-thiadiazol-3- or -5-yl, 1,2,3-thiadiazol-4- or -5-yl, 2-, 3-, 4-, 5- or 6-2H-thiopyranyl, 2-, 3- or 4-4H-thiopyranyl, 3- or 4-pyridazinyl, pyrazinyl, 2-, 3-, 4-, 5-, 6- or 7-benzofuryl, 2-, 3-, 4-, 5-, 6- or 7-benzothienyl, 1-, 2-, 3-, 4-, 5-, 6- or 7-1H-indolyl, 1-, 2-, 4- or 5-benzimidazolyl, 1-, 3-, 4-, 5-, 6- or 7-benzopyrazolyl, 2-, 4-, 5-, 6- or 7-benzoxazolyl, 3-, 4-, 5-, 6- or 7-benzisoxazolyl, 2-, 4-, 5-, 6- or 7-benzothiazolyl, 2-, 4-, 5-, 6- or 7-benzisothiazolyl, 4-, 5-, 6- or 7-benz-2,1,3-oxadiazolyl, 1-, 2-, 3-, 4-, 5-, 6-, 7- or 8-quinolinyl, 1-, 3-, 4-, 5-, 6-, 7- or 8-isoquinolinyl, 1-, 2-, 3-, 4- or 9-carbazolyl, 1-, 2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-acridinyl, 3-, 4-, 5-, 6-, 7- or 8-cinnolinyl, 2-, 4-, 5-, 6-, 7- or 8-quinazolinyl. The heterocyclic radicals can also be partially or completely hydrogenated. Het can thus also be 2,3-dihydro-2-, -3-, -4- or -5-furyl, 2,5-dihydro-2-, -3-, -4- or -5-furyl, tetrahydro-2- or -3-furyl, 1,3-dioxolan-4-yl, tetrahydro-2- or -3-thienyl, 2,3-dihydro-1-, -2-, -3-, -4- or -5-pyrrolyl, 2,5-dihydro-1-, -2-, -3-, -4- or -5-pyrrolyl, 1-, 2- or 3-pyrrolidinyl, tetrahydro-1-, -2- or -3-pyrrolyl, tetrahydro-1-, -2- or 4-imidazolyl, 2,3-dihydro-1-, -2-, -3-, -4-, -5-, -6-, -7-1H-indolyl, 2,3-dihydro-1-, -2-, -3-, -4- or -5-pyrazolyl, tetrahydro-1-, -3- or -4-pyrazolyl, 1,4-dihydro-1-, -2-, -3- or -4-pyridyl, 1,2,3,4-tetrahydro-1-, -2-, -3-, -4-, -5- or -6-pyridyl, 1,2,3,6-tetrahydro-1-, -2-, -3-, -4-, -5- or -6-pyridyl, 1-, 2-, 3- or 4-piperidinyl, 1-, 2-, 3- or 4-azepanyl, 2-, 3- or 4-morpholinyl, tetrahydro-2-, -3- or -4-pyranyl, 1,4-dioxanyl, 1,3-dioxan-2-, -4- or -5-yl, hexahydro-1-, -3- or -4-pyridazinyl, hexahydro-1-, -2-, -4- or

-5-pyrimidinyl, 1-, 2- or 3-piperazinyl, 1,2,3,4-tetrahydro-1-, -2-, -3-, -4-, -5-, -6-, -7- or -8-quinolinyl, 1,2,3,4-tetrahydro-1-, -2-, -3-, -4-, -5-, -6-, -7- or -8-isoquinolinyl.

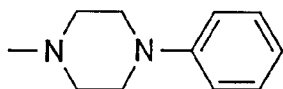
- 5 Tetrahydro-1-pyrrolyl, 2,3-dihydro-1H-indol-1-yl, 1-piperidinyl, 2,6-tetramethylpiperidin-4-yl, 4-morpholinyl, 1-piperazinyl, 4-methylpiperazin-1-yl, 4-phenylpiperazin-1-yl, 1,2,3,4-tetrahydroquinolin-1-yl or 1,2,3,4-tetrahydroisoquinolin-1-yl is particularly  
10 preferred.

In -Het-SO<sub>2</sub>-Ar, Het and Ar have one of the preferred meanings indicated above, where Het is preferably piperazine-1,4-diyl.



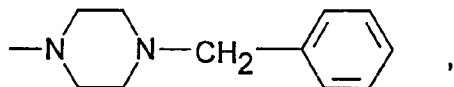
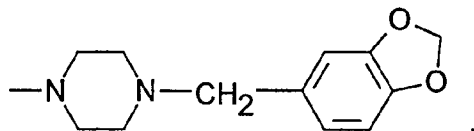
- 15 is particularly preferred for -Het-SO<sub>2</sub>-Ar.

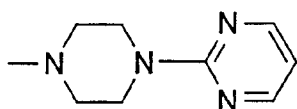
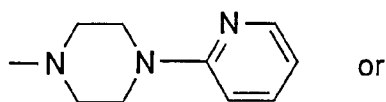
In -Het-R<sup>5</sup>, Het has one of the preferred meanings indicated beforehand, where Het is preferably piperazine-1,4-diyl and R<sup>5</sup> is preferentially phenyl, methyl, chloromethyl or trifluoromethyl.



- 20 is particularly preferred for -Het-R<sup>5</sup>.

In -Het-(CH<sub>2</sub>)<sub>n</sub>-Ar, Het and Ar have one of the preferred meanings indicated above, where Het is preferably piperazine-1,4-diyl and n can be 0, 1, 2, 3  
25 or 4.



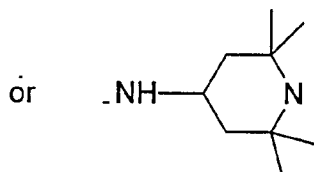
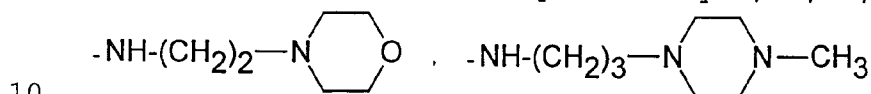


is particularly preferred for  $-\text{Het}-(\text{CH}_2)_n-\text{Ar}$ .

X and/or  $\text{X}^1$  and/or  $\text{X}^2$  is alkylene and is preferably methylene, ethylene, propylene, butylene, furthermore also pentylene or hexylene.

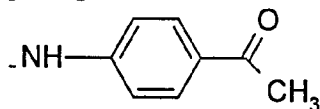
Y is preferably O, S, NH or NA.

In  $-\text{Y}-(\text{CH}_2)_n-\text{Het}$ , Y is preferably O, S, NH or NA, where Het has one of the preferred meanings indicated above and n is preferably 0, 1, 2, 3 or 4.



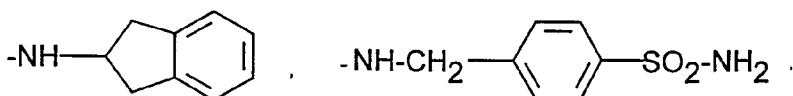
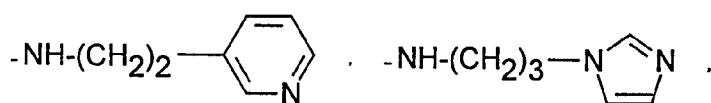
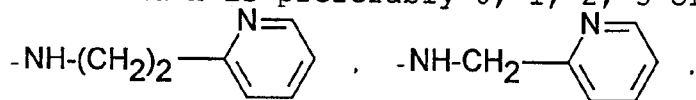
is particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-\text{Het}$ .

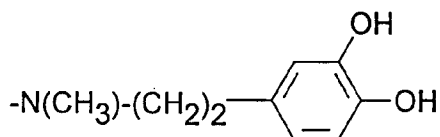
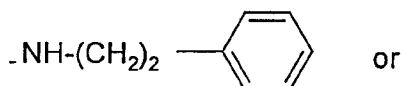
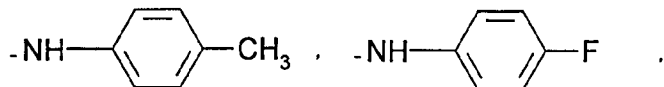
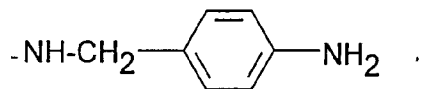
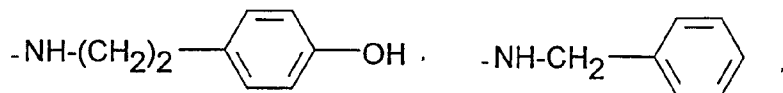
In  $-\text{Y}-\text{Ar}'-\text{R}^3$ , Y is preferably O, S, NH or NA, where  $\text{Ar}'$  has one of the preferred meanings indicated beforehand and  $\text{R}^3$  is preferentially an alkylcarbonyl group.



is particularly preferred for  $-\text{Y}-\text{Ar}'-\text{R}^3$ .

In  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}$ , Y is preferably O, S, NH or NA, where Ar has one of the preferred meanings indicated above and n is preferably 0, 1, 2, 3 or 4.



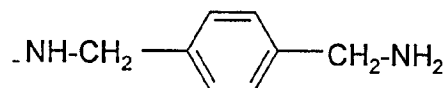
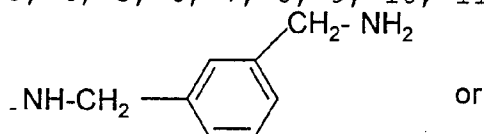


5

is particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}$ .

In  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ , Y is preferentially O, S, NH or NA, where Ar' has a preferred meaning indicated beforehand, R<sup>6</sup> is preferably amino or alkylamino and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

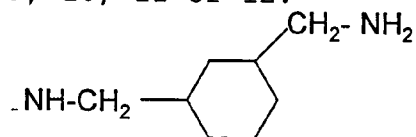
10



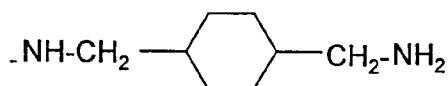
is very particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ .

In  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$ , Y is preferentially O, S, NH or NA, where D has a preferred meaning indicated beforehand, R<sup>6</sup> is preferably amino or alkylamino and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

15

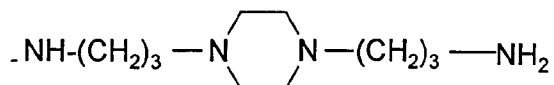


20 or



is very particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$ .

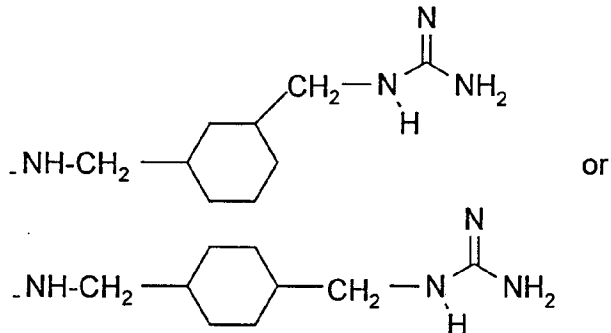
In  $-Y-(CH_2)_n-Het-(CH_2)_i-R^6$ , Y is preferentially O, S, NH or NA, where Het has a preferred meaning indicated beforehand,  $R^6$  is preferably amino or alkylamino and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.



is very particularly preferred for  $-Y-(CH_2)_n-Het-(CH_2)_i-R^6$ .

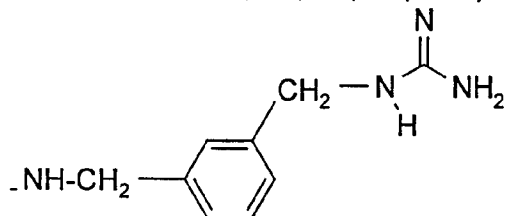
In  $-Y-(CH_2)_n-NA-(CH_2)_i-R^6$ , Y is preferentially O, S, NH or NA, where A has a preferred meaning indicated  
10 beforehand,  $R^6$  is preferably amino or alkylamino and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.  $-NH-(CH_2)_3-N(CH_3)-(CH_2)_3-NH_2$  is very particularly preferred for  $-Y-(CH_2)_n-NA-(CH_2)_i-R^6$ .

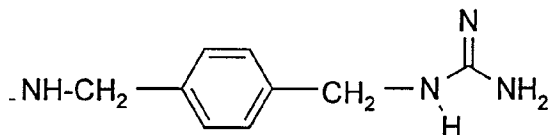
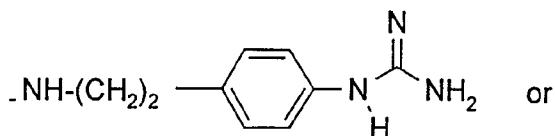
In  $-Y-(CH_2)_n-D-(CH_2)_i-R^8$ , Y is preferentially O,  
 15 S, NH or NA, where D has a preferred meaning indicated  
 beforehand,  $R^8$  is preferably guanidino or alkylguanidino  
 and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6,  
 7, 8, 9, 10, 11 or 12.



20 is very particularly preferred for  $-Y-(CH_2)_n-D-(CH_2)_i-R^8$ .

In  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ , Y is preferentially O, S, NH or NA, where Ar' has a preferred meaning indicated beforehand,  $R^8$  is preferably guanidino or alkylguanidino and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.





is very particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$ .

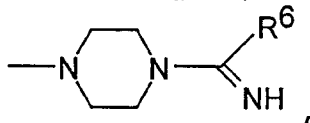
In  $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^8$ , Y is preferentially O, S, NH or NA, where A has a preferred meaning indicated  
 5 beforehand,  $\text{R}^8$  is preferably guanidino or alkylguanidino and n is 0, 1, 2, 3 or 4 and i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.  $-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$  is very particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$ .

In  $-\text{Y}-[\text{X}-\text{O}]_t-[\text{X}^1-\text{O}]_u-\text{X}^2-\text{R}^6$ , Y is preferentially  
 10 O, S, NH or NA, where X,  $\text{X}^1$  and  $\text{X}^2$  have a preferred meaning indicated beforehand. Furthermore,  $\text{R}^6$  is preferably amino, alkylamino or dialkylamino, t is 0, 1 or 2 and u is 1 or 2.  $-\text{NH}-(\text{CH}_2)_3-\text{O}-(\text{CH}_2)_4-\text{O}-(\text{CH}_2)_3-\text{NH}_2$  is particularly preferred for  $-\text{Y}-[\text{X}-\text{O}]_t-[\text{X}^1-\text{O}]_u-\text{X}^2-\text{R}^6$ .

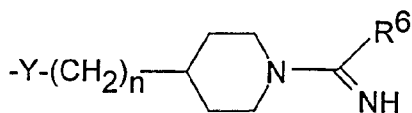
Furthermore, in  $-\text{Y}-[\text{X}-\text{NH}]_u-\text{X}^1-\text{OH}$ , Y is  
 15 preferentially O, S, NH or NA, where X and  $\text{X}^1$  have a preferred meaning indicated beforehand and u can be 1 or 2.  $-\text{NH}-(\text{CH}_2)_2-\text{NH}-(\text{CH}_2)_2-\text{OH}$  is particularly preferred for  $-\text{Y}-[\text{X}-\text{NH}]_u-\text{X}^1-\text{OH}$ .

20 R is preferably H or  $\text{NO}_2$ .

$\text{R}^1$  is preferably -Het, -Het- $\text{SO}_2$ -Ar, -Het- $\text{R}^5$ ,  
 -Het- $(\text{CH}_2)_n$ -Ar,  $\text{NO}_2$ ,  $-\text{N}=\text{CH}-\text{Ar}$ , NAlk, NAalk, NHA',  $\text{NA}'_2$ ,



25  $-\text{Y}-\text{D}-\text{H}$ ,  $-\text{Y}-\text{Ar}'-\text{R}^3$ ,  $-\text{Y}-(\text{CH}_2)_o-\text{R}^3$ ,  $-\text{Y}-(\text{CH}_2)_n-(\text{CHR}^4)-\text{R}^5$ ,  
 $-\text{Y}-\text{C}[(\text{CH}_2)_o-\text{OH}]_3$ ,  $-\text{Y}-(\text{CH}_2)_m-\text{NA}_2$ ,  $-\text{Y}-(\text{CH}_2)_m-\text{NHA}'$ ,  
 $-\text{Y}-(\text{CH}_2)_o-\text{OH}$ ,  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_i-\text{R}^8$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{Het}$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Ar}$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Het}-(\text{CH}_2)_i-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^6$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{NH}-(\text{CH}_2)_i-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^8$ ,  
 30  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{NH}-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^8$ ,



-Y-[X-O]<sub>t</sub>-[X<sup>1</sup>-O]<sub>u</sub>-X<sup>2</sup>-R<sup>6</sup> or -Y-[X-NH]<sub>u</sub>-X<sup>1</sup>-OH, where -Het,  
 -Het-SO<sub>2</sub>-Ar, -Het-R<sup>5</sup>, -Het-(CH<sub>2</sub>)<sub>n</sub>-Ar, -Y-Ar'-R<sup>3</sup>,  
 -Y-(CH<sub>2</sub>)<sub>n</sub>-Het, -Y-(CH<sub>2</sub>)<sub>n</sub>-Ar, -Y-(CH<sub>2</sub>)<sub>n</sub>-Ar'-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>,  
 5 -Y-(CH<sub>2</sub>)<sub>n</sub>-D-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-Het-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>,  
 -Y-(CH<sub>2</sub>)<sub>n</sub>-NA-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-D-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
 -Y-(CH<sub>2</sub>)<sub>n</sub>-Ar'-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-NA-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
 -Y-[X-O]<sub>t</sub>-[X<sup>1</sup>-O]<sub>u</sub>-X<sup>2</sup>-R<sup>6</sup> and -Y-[X-NH]<sub>u</sub>-X<sup>1</sup>-OH in particular  
 10 have the preferred or particularly preferred meanings  
 indicated beforehand.

Furthermore, Ar in -N=CH-Ar is preferably 2-hydroxy-phenyl.

In NHAlk, Alk has a preferred meaning indicated beforehand.

15 NH-(n-C<sub>5</sub>H<sub>11</sub>) is particularly preferred for NHAlk.

In NAAIk, A and Alk have a preferred meaning indicated beforehand, where N(CH<sub>3</sub>)-(n-C<sub>4</sub>H<sub>9</sub>) is particularly preferred for NAAIk.

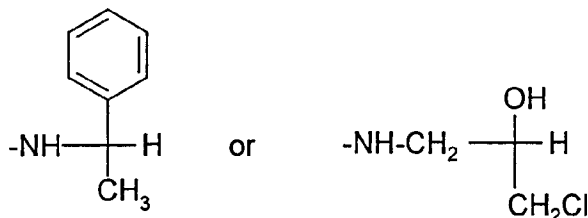
In NHA', A' has a preferred meaning indicated beforehand. NH-(n-C<sub>3</sub>H<sub>7</sub>) is particularly preferred for NHA'.

20 Furthermore, A' in NA'<sub>2</sub> has a preferred meaning indicated beforehand, where N(C<sub>2</sub>H<sub>5</sub>)<sub>2</sub> is particularly preferred for NA'<sub>2</sub>.

In -Y-D-H, as a R<sup>1</sup> substituent, Y is preferentially O, S, NH or NA, where D has a preferred meaning indicated beforehand. -NH-C<sub>6</sub>H<sub>11</sub> or -NH-C<sub>5</sub>H<sub>9</sub> is particularly preferred for -Y-D-H.

In -Y-(CH<sub>2</sub>)<sub>o</sub>-R<sup>3</sup>, Y is preferentially O, S, NH or NA, where R<sup>3</sup> is preferably alkyloxycarbonyl and o can be 1,  
 30 2, 3, 4, 5, 6, 7, 8, 9 or 10.

-NH-(CH<sub>2</sub>)<sub>2</sub>-COOMe is particularly preferred for -Y-(CH<sub>2</sub>)<sub>o</sub>-R<sup>3</sup>.  
 In -Y-(CH<sub>2</sub>)<sub>n</sub>-(CHR<sup>4</sup>)-R<sup>5</sup>, Y is preferentially O, S, NH or NA, where R<sup>4</sup> is preferably phenyl or hydroxyl, R<sup>5</sup> is preferentially methyl, chloromethyl or trifluoromethyl  
 35 and n is 0, 1, 2, 3 or 4.



is particularly preferred for  $-\text{Y}-(\text{CH}_2)_n-(\text{CHR}^4)-\text{R}^5$

In  $-\text{Y}-\text{C}[(\text{CH}_2)_o-\text{OH}]_3$ , Y is preferentially O, S, NH or NA, where o can be 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10.

5  $-\text{NH}-\text{C}[(\text{CH}_2)_o-\text{OH}]_3$  is particularly preferred for  $-\text{Y}-\text{C}[(\text{CH}_2)_o-\text{OH}]_3$ .

In  $-\text{Y}-(\text{CH}_2)_m-\text{NA}_2$ , Y is preferentially O, S, NH or NA, where A has a preferred meaning indicated beforehand and m can be 0, 1 or 2.

10  $-\text{NH}-(\text{CH}_2)_2-\text{N}(\text{C}_2\text{H}_5)_2$  or  $-\text{N}(\text{CH}_3)-(\text{CH}_2)_2-\text{N}(\text{C}_2\text{H}_5)_2$  is particularly preferred for  $-\text{Y}-(\text{CH}_2)_m-\text{NA}_2$ .

In  $-\text{Y}-(\text{CH}_2)_m-\text{NHA}'$ , Y is preferentially O, S, NH or NA, where A' has a preferred meaning indicated beforehand and m can be 0, 1 or 2.  $-\text{NH}-(\text{CH}_2)_2-\text{NH}-(\text{C}_3\text{H}_7)$  is

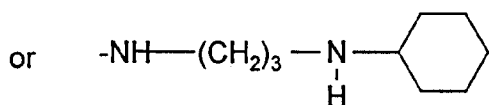
15 particularly preferred for  $-\text{Y}-(\text{CH}_2)_m-\text{NHA}'$ .

In  $-\text{Y}-(\text{CH}_2)_o-\text{OH}$ , Y is preferably O, S, NH or NA, where o is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10.  $-\text{NH}-(\text{CH}_2)_2-\text{OH}$  or  $-\text{NH}-(\text{CH}_2)_5-\text{OH}$  is particularly preferred for  $-\text{Y}-(\text{CH}_2)_o-\text{OH}$ .

20 In  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ , Y is preferentially O, S, NH or NA, where  $\text{R}^6$  is preferably amino, alkylamino, dialkylamino or cycloalkylamino and k can be 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.  $-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ ,  $-\text{NH}-(\text{CH}_2)_4-\text{NH}_2$ ,

$-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ ,  $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ ,  $-\text{NH}-(\text{CH}_2)_8-\text{NH}_2$ ,

25  $-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)_2$ ,  $-\text{NH}-(\text{CH}_2)_3-\text{NH}(\text{CH}_3)$ ,  $-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}(\text{CH}_3)$

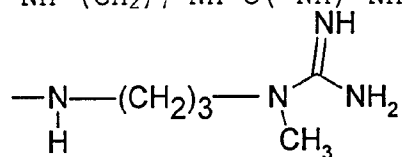


is particularly preferred for  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ .

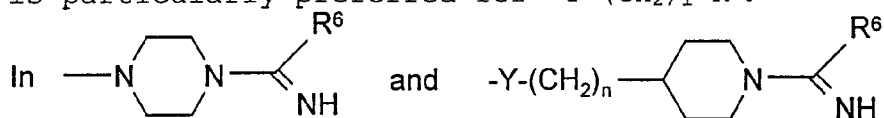
In  $-\text{Y}-(\text{CH}_2)_i-\text{R}^8$ , Y is preferentially O, S, NH or NA, where  $\text{R}^8$  is preferably  $-\text{NH}-(\text{C}=\text{NH})-\text{NH}_2$ ,  $-\text{NH}-(\text{C}=\text{NH})-\text{NHA}$ ,  
 30  $-\text{NH}-(\text{C}=\text{NH})-\text{NA}_2$ ,  $-\text{NA}-(\text{C}=\text{NH})-\text{NH}_2$ ,  $-\text{NA}-(\text{C}=\text{NH})-\text{NHA}$ ,  
 $-\text{NA}-(\text{C}=\text{NH})-\text{NA}_2$  and i can be 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.  $-\text{NH}-(\text{CH}_2)_2-\text{NH}-\text{C}(\text{=NH})-\text{NH}_2$ ,

-NH-(CH<sub>2</sub>)<sub>3</sub>-NH-C(=NH)-NH<sub>2</sub>,  
 -NH-(CH<sub>2</sub>)<sub>5</sub>-NH-C(=NH)-NH<sub>2</sub>,  
 -NH-(CH<sub>2</sub>)<sub>7</sub>-NH-C(=NH)-NH<sub>2</sub> or

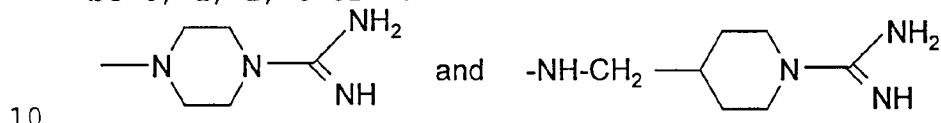
-NH-(CH<sub>2</sub>)<sub>4</sub>-NH-C(=NH)-NH<sub>2</sub>,  
 -NH-(CH<sub>2</sub>)<sub>6</sub>-NH-C(=NH)-NH<sub>2</sub>,



5 is particularly preferred for -Y-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>.

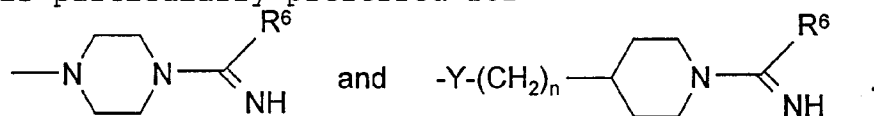


Y is preferentially O, S, NH or NA, where R<sup>6</sup> is preferably amino, alkylamino or dialkylamino and n can be 0, 1, 2, 3 or 4.



10

is particularly preferred for



R<sup>2</sup> is preferably -Ar, -Ar'-D-H, -Het<sup>1</sup>,  
 -Het<sup>1</sup>-Ar, -Ar'-Het<sup>1</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup>, -Ar'-Y-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup>,  
 15 -Ar'-Y-C(A)<sub>2</sub>-R<sup>3</sup>, -Het<sup>1</sup>-R<sup>3</sup>, -Ar'-Het<sup>1</sup>-R<sup>3</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>6</sup>, -  
 Ar'-SO<sub>2</sub>-Het, -Ar'-NH-SO<sub>2</sub>-Het, Ar'-SO<sub>2</sub>-R<sup>7</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-  
 NH)-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-R<sup>11</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-  
 CO-Het, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-D-H, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-  
 NH)-(CH<sub>2</sub>)<sub>i</sub>-Ar, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-Het<sup>1</sup>,  
 20 -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CH(CN))-(CH<sub>2</sub>)<sub>i</sub>-Ar, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-  
 (CH<sub>2</sub>)<sub>i</sub>-CH(Ar<sup>1</sup>)-Ar<sup>2</sup>, -Ar'-S-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-Ar, -Ar'-  
 S-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-R<sup>11</sup>, -Ar'-S-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-  
 (CH<sub>2</sub>)<sub>i</sub>-Het<sup>1</sup>, -Ar'-S-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-CH(Ar<sup>1</sup>)-Ar<sup>2</sup> or  
 -Ar'-S-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-D-H, Ar, Ar', Ar<sup>1</sup>, Ar<sup>2</sup>, A,  
 25 D, Het, Het<sup>1</sup>, R<sup>3</sup>, R<sup>6</sup>, R<sup>11</sup>, Y, n and i in particular have  
 one of the preferred or particularly preferred meanings  
 indicated beforehand.

R<sup>3</sup> is preferably C(O)A, CONH<sub>2</sub>, CONHA, CONA<sub>2</sub>,  
 COOH or COOA, where A has one of the preferred meanings  
 30 indicated beforehand.

R<sup>4</sup> is preferentially phenyl or hydroxyl.

$R^5$  is preferably methyl, chloromethyl, trifluoromethyl or phenyl.

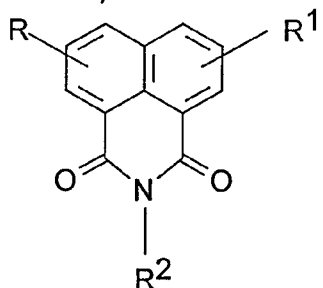
$R^6$  is preferentially  $NH_2$ ,  $NHA$ ,  $NA_2$ ,  $NH(D-H)$  or  $NHC(O)A$ , where A and D have a preferred meaning indicated beforehand.

$R^7$  is preferably  $NA(D-H)$ ,  $NHA$ ,  $NH(D-H)$  or  $NA_2$ , where A and D have a preferred meaning indicated beforehand.

$R^8$  is preferentially  $-NH-(C=NH)-NH_2$ ,  $-NH-(C=NH)-NHA$ ,  $-NH-(C=NH)-NA_2$ ,  $-NA-(C=NH)-NH_2$ ,  $-NA-(C=NH)-NHA$ ,  $-NA-(C=NH)-NA_2$ , where A has a preferred meaning indicated beforehand.

$R^{11}$  is preferentially  $-CH(A)-Ph$ , where A has a preferred meaning indicated beforehand.

Some preferred groups of compounds can be expressed by the following subformulae Ia to Iz and I1 to I5, which correspond to the formula I

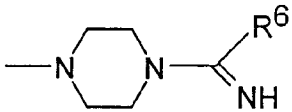
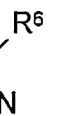


and in which the radicals not designated in greater detail have the meanings indicated in formula I, but in which:

in Ia  $R$  is  $NO_2$ ,  
 $R^1$  is  $NO_2$  and  
 $R^2$  is Ar;

in Ib  $R$  is H,  
 $R^2$  is Ar and  
 $R^1$  is  $-Het$ ,  $-Het-SO_2-Ar$ ,  $-Het-R^5$ ,  $NO_2$ ,  $NHalk$ ,  
 $NAalk$ ,  $NHA'$ ,  $NA'_2$ ,  $-Y-D-H$ ,  $-Y-Ar'-R^3$ ,  
 $-Y-(CH_2)_o-R^3$ ,  $-Y-(CH_2)_n-(CHR^4)-R^5$ ,  
 $-Y-C[(CH_2)_o-OH]_3$ ,  $-Y-(CH_2)_m-NA_2$ ,  
 $-Y-(CH_2)_m-NHA'$ ,  $-Y-(CH_2)_o-OH$ ,  $-Y-(CH_2)_k-R^6$ ,

- 5                     $-Y-(CH_2)_n-Het,$                      $-Y-(CH_2)_n-Ar,$   
                       $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6,$   
                       $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8,$   
                       $-Y-(CH_2)_n-D-(CH_2)_i-R^6,$      $-Y-(CH_2)_n-Het-(CH_2)_i-R^6,$   
                       $-Y-(CH_2)_n-NA-(CH_2)_i-R^6$                     or  
                       $-Y-(CH_2)_n-NH-(CH_2)_i-R^6;$
- 10            in Ic    R    is H,  
                      R<sup>2</sup>    is  $-Het^1$  and  
                      R<sup>1</sup>    is  $NO_2$ ;
- 15            in Id    R    is H,  
                      R<sup>2</sup>    is  $-Het^1-Ar$  and  
                      R<sup>1</sup>    is  $NO_2$ ;
- 20            in Ie    R is H  
                      R<sup>2</sup>    is  $-Ar'-(CH_2)_n-R^3$  and  
                      R<sup>1</sup>    is         $-Het,$          $-Het-SO_2-Ar,$          $-Het-R^5,$   
                               $-Het-(CH_2)_n-Ar,$      $NO_2,$      $NHAlk,$      $NAAIk,$      $NHA',$   
                               $NA'_2,$          $-Y-D-H,$          $-Y-Ar'-R^3,$          $-Y-(CH_2)_o-R^3,$   
                               $-Y-(CH_2)_n-(CHR^4)-R^5,$          $-Y-C[(CH_2)_o-OH]_3,$   
                               $-Y-(CH_2)_m-NA_2,$      $-Y-(CH_2)_m-NHA',$      $-Y-(CH_2)_o-OH,$   
                               $-Y-(CH_2)_k-R^6,$          $-Y-(CH_2)_n-Het,$          $-Y-(CH_2)_n-Ar,$   
                               $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6,$      $-Y-(CH_2)_n-D-(CH_2)_i-R^6,$   
                               $-Y-(CH_2)_n-Het-(CH_2)_i-R^6,$   
                               $-Y-(CH_2)_n-NA-(CH_2)_i-R^6,$   
                               $-Y-(CH_2)_n-NH-(CH_2)_i-R^6,$   
                               $-Y-[X-O]_t-[X^1-O]_u-X^2-R^6$  or  $-Y-[X-NH]_u-X^1-OH;$
- 30            in If    R    is H,  
                      R<sup>2</sup>    is  $-Ar'-Y-(CH_2)_n-R^3$  and  
                      R<sup>1</sup>    is     $-Y-(CH_2)_k-R^6,$      $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$     or  
                               $-Y-(CH_2)_n-Ar;$
- 35            in Ig    R    is H,  
                      R<sup>2</sup>    is  $-Ar'-SO_2-Het$  and  
                      R<sup>1</sup>    is  $-Y-(CH_2)_k-R^6$  or  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6;$

- in Ih R is H,  
 $R^2$  is  $-\text{Ar}'-\text{SO}_2-\text{R}^7$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$  or  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ;
- 5 in Ii R is H,  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{R}^6$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$  or  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ;
- 10 in Ik R is H,  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{D}-\text{H}$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ,  
 $-\text{Y}-(\text{CH}_2)_i-\text{R}^8$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$  or  
 $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^8$ ;
- 15 in Il R is H,  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Ar}$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Ar}$ ,  $-\text{Y}-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^8$ ,  
  
or  $-\text{Y}-(\text{CH}_2)_n-$   ;
- 25 in Im R is H,  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CONH})-(\text{CH}_2)_i-\text{Het}^1$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_i-\text{R}^8$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$  or  
 $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^8$ ;
- 30

- in In R is H,  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CH}(\text{CN}))-(\text{CH}_2)_i-\text{Ar}$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$  or  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ;  
5
- in Io R is H,  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-(\text{CO}-\text{NH})-(\text{CH}_2)_i-\text{CH}(\text{Ar}^1)-\text{Ar}^2$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_i-\text{R}^8$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^8$ ,  
 $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$  or  
10  $-\text{Y}-(\text{CH}_2)_n-\text{NA}-(\text{CH}_2)_i-\text{R}^8$ ;
- in Ip R is H,  
 $R^2$  is  $-\text{Ar}'-\text{Het}^1$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ,  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^6$ ,  
15  $-\text{Y}-(\text{CH}_2)_n-\text{Ar}'-(\text{CH}_2)_i-\text{R}^8$  or  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$ ;
- in Iq R is H,  
 $R^2$  is  $-\text{Ar}'-\text{Het}^1-\text{R}^3$  and  
20  $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$  or  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$ ;
- in Ir R is H  
 $R^2$  is  $-\text{Ar}'-(\text{CH}_2)_n-\text{R}^6$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$  or  $-\text{Y}-(\text{CH}_2)_n-\text{D}-(\text{CH}_2)_i-\text{R}^6$ ;  
25
- in Is R is H,  
 $R^2$  is  $-\text{Ar}'-\text{Y}-\text{C}(\text{A})_2-\text{R}^3$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ;
- 30 in It R is H,  
 $R^2$  is  $-\text{Ar}'-\text{NH}-\text{SO}_2-\text{Het}$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ;
- in Iu R is H,  
35  $R^2$  is  $-\text{Het}^1-\text{R}^3$  and  
 $R^1$  is  $-\text{Y}-(\text{CH}_2)_k-\text{R}^6$ ;
- in Iv R is H,  
 $R^2$  is  $-\text{Ar}'-\text{D}-\text{H}$  and

- $R^1$  is  $-Y-(CH_2)_k-R^6$ ;
- in Iw  $R$  is H,  
 $R^2$  is  $-Ar'-(CH_2)_n-(CONH)-(CH_2)_i-R^{11}$  and  
 5  $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$ ;
- in Ix  $R$  is H,  
 $R^2$  is  $-Ar'-(CH_2)_n-CO-Het$  and  
 10  $R^1$  is  $-Y-(CH_2)_n-D-(CH_2)_i-R^6$ ;
- in Iy  $R$  is H,  
 $R^2$  is  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-Ar$  and  
 $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$  or  
 $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ ;
- 15 in Iz  $R$  is H,  
 $R^2$  is  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-Het^1$  and  
 $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$  or  
 $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ ;
- 20 in I1  $R$  is H,  
 $R^2$  is  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-DH$  and  
 $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$  or  
 $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ ;
- 25 in I2  $R$  is H,  
 $R^2$  is  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-R^{11}$  and  
 $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$  or  
 $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ ;
- 30 in I3  $R$  is H,  
 $R^2$  is  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-CH(Ar^1)-Ar^2$   
 and  
 $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$  or  
 35  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ ;
- in I4  $R$  is H,  
 $R^2$  is  $-Ar$ ,  $-Ar'-Het^1$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-Ar$  and

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- $R^1$  is  $-Y-(CH_2)_k-R^6$ ,  $-Y-(CH_2)_i-R^8$ ;  
 $-Y-(CH_2)_n-D-(CH_2)_i-R^8$ ,  $-Y-(CH_2)_n-NA-(CH_2)_i-R^8$   
 or  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ ;
- 5 in I5  $R$  is H,  
 $R^2$  is  $-Ar$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-Ar$ ,  
 $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-Ar$ ,  $-Ar'-(CH_2)_n-$   
 $(CO-NH)-(CH_2)_i-Het^1$ ,  $-Ar'-S-(CH_2)_n-(CO-NH)-$   
 $(CH_2)_i-Het^1$ ,  $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-D-H$ ,  
 10  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-D-H$ ,  
 $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-CH(Ar^1)-Ar^2$ ,  
 $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-CH(Ar^1)-Ar^2$ ,  
 $-Ar'-(CH_2)_n-(CO-NH)-(CH_2)_i-R^{11}$  or  $-Ar'-S-$   
 $(CH_2)_n-(CO-NH)-(CH_2)_i-R^{11}$  and
- 15  $R^1$  is  $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^6$  or  
 $-Y-(CH_2)_n-Ar'-(CH_2)_i-R^8$ .

Preferred compounds of the formula I are in the following:

- 20 3-{3-[6-(4-Guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-[2-(4-sulfamoyl-phenyl)-ethyl]-propionamide;
- N-[2-(4-Chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 25 6-(3-Amino-propylamino)-2-(3,4,5-trimethoxy-phenyl)-benzo[de]isoquinoline-1,3-dione;
- 30 6-(3-Amino-propylamino)-2-(7-hydroxy-naphthalen-1-yl)-benzo[de]isoquinoline-1,3-dione;
- 35 6-[(3-Amino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-4,5-dimethoxy-benzonitrile;
- 6-(3-Amino-propylamino)-2-(2,3-dimethoxy-phenyl)-benzo[de]isoquinoline-1,3-dione;

- N-[2-(3-Chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-cyclohexylmethyl-amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 5 N-[2-(4-Chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-cyclohexylmethyl-amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 6-(3-Amino-propylamino)-2-(4'-methoxy-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione;
- 10 6-(3-Amino-propylamino)-2-(4-carbazol-9-yl-phenyl)-benzo[de]isoquinoline-1,3-dione;
- 15 6-(3-Amino-propylamino)-2-(4'-hydroxy-2-methyl-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione;
- N-(3-{[2-(4'Methoxy-biphenyl-4-yl)-1,3-dioxo-2,3-dihydro-1H-benzo[de]isoquinolin-6-ylamino]-methyl}-benzyl)-guanidine;
- 20 3-{3-[6-(2-Guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(4-phenyl-butyl)-propionamide;
- 25 N-(2-(4-Chloro-phenyl)-ethyl)-3-{3-[6-(2-guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 30 N-(2-(4-Chloro-phenyl)-ethyl)-3-{3-[6-(3-guanidino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 35 N-(2-(4-Chloro-phenyl)-ethyl)-3-[3-(6-{3-[(3-guanidino-propyl)-methyl-amino]-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;

N-(2-(3-Chloro-phenyl)-ethyl)-3-{3-[6-(3-guanidino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;

5 6-(3-Amino-propylamino)-2-(4'-methoxy-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione;

N-[3-({2-[4-(3,6-Di-tert-butyl-carbazol-9-yl)-phenyl]-1,3-dioxo-2,3-dihydro-1H-benzo[de]isoquinolin-6-ylamino]-methyl)-benzyl]-guanidine and

10

6-(3-Amino-propylamino)-2-(4-carbazol-9-yl-phenyl)-benzo[de]isoquinoline-1,3-dione.

15 The compounds of the formula I and also the starting substances for their preparation are otherwise prepared by methods known per se, such as are described in the literature (e.g. in the standard works such as Houben-Weyl, Methoden der organischen Chemie [Methods of Organic Chemistry], Georg-Thieme-Verlag, Stuttgart),

20 namely under reaction conditions which are known and suitable for the reactions mentioned. In this case, use can also be made of variants which are known per se, but not mentioned here in greater detail.

25 The starting substances, if desired, can also be formed in situ such that they are not isolated from the reaction mixture, but immediately reacted further to give the compounds of the formula I.

The compounds of the formula I can be obtained

30 by liberating them from their functional derivatives by solvolysis, in particular hydrolysis or by hydrogenolysis.

Preferred starting substances for the solvolysis or hydrogenolysis are those which otherwise

35 correspond to the formula I, but instead of one or more free amino and/or hydroxyl groups contain corresponding protected amino and/or hydroxyl groups, in particular those which instead of an H-N- group carry an R'-N-group, in which R' is an amino protective group and/or

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those which instead of the H atom of a hydroxyl group carry a hydroxyl protective group, e.g. those which correspond to the formula I, but instead of a group -COOH carry a group -COOR'', in which R'' is a hydroxyl protective group.

A number of - identical or different - protected amino and/or hydroxyl groups can also be present in the molecule of the starting substance. If the protective groups present are different from one another, in many cases they can be removed selectively.

The expression "amino protective group" is generally known and relates to groups which are suitable for protecting (for blocking) an amino group against chemical reactions, but which are easily removable after the desired chemical reaction has been carried out at other positions in the molecule. Typical groups of this type are, in particular, unsubstituted or substituted acyl, aryl, aralkoxymethyl or aralkyl groups. Since the amino protective groups are removed after the desired reaction (or reaction sequence), their nature and size is otherwise not critical; however, those having 1-20, in particular 1-8, C atoms are preferred. The expression "acyl group" is to be interpreted in the widest sense in connection with the present process. It includes acyl groups derived from aliphatic, araliphatic, aromatic or heterocyclic carboxylic acids or sulfonic acids and, in particular, alkoxycarbonyl groups, aryloxy carbonyl groups and especially aralkoxycarbonyl groups. Examples of acyl groups of this type are alkanoyl such as acetyl, propionyl, butyryl; aralkanoyl such as phenylacetyl; aroyl such as benzoyl or toluyll; aryloxyalkanoyl such as POA; alkoxycarbonyl such as methoxycarbonyl, ethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl, BOC, 2-iodoethoxycarbonyl; aralkyloxycarbonyl such as CBZ ("carbobenzoxy"), 4-methoxybenzyloxycarbonyl, Fmoc; arylsulfonyl such as Mtr. Preferred amino protective groups are BOC, furthermore CBZ, Fmoc, benzyl and acetyl.

The expression "hydroxyl protective group" is also generally known and relates to groups which are suitable for protecting a hydroxyl group against chemical reactions, but which are easily removable after the desired chemical reaction has been carried out at other positions in the molecule. Typical groups of this type are the abovementioned unsubstituted or substituted aryl, aralkyl or acyl groups, furthermore also alkyl groups. The nature and size of the hydroxyl protective groups is not critical, since they are removed again after the desired chemical reaction or reaction sequence; groups having 1-20, in particular 1-10 C atoms, are preferred. Examples of hydroxyl protective groups are, inter alia, benzyl, p-nitrobenzoyl, p-toluolsulfonyl, tert-butyl and acetyl, benzyl and tert-butyl being particularly preferred.

The liberation of the compounds of the formula I from their functional derivatives is carried out - depending on the protective group used - for example using strong acids, expediently using TFA or perchloric acid, but also using other strong inorganic acids such as hydrochloric acid or sulfuric acid, strong organic carboxylic acids such as trichloroacetic acid or sulfonic acids such as benzene- or p-toluene-sulfonic acid. The presence of an additional inert solvent is possible, but not always necessary. Suitable inert solvents are preferably organic, for example carboxylic acids such as acetic acid, ethers such as tetrahydrofuran or dioxane, amides such as DMF, halogenated hydrocarbons such as dichloromethane, furthermore also alcohols such as methanol, ethanol or isopropanol, and also water. Furthermore, mixtures of the abovementioned solvents are possible. TFA is preferably used in an excess without addition of a further solvent, perchloric acid in the form of a mixture of acetic acid and 70% perchloric acid in the ratio 9:1. The reaction temperatures for the cleavage are expediently between approximately 0 and

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approximately 50°C; the reaction is preferably carried out between 15 and 30°C (room temperature).

The groups BOC and Obutyl can preferably be removed, for example, using TFA in dichloromethane or using approximately 3 to 5N HCl in dioxane at 15-30°C, the Fmoc group using an approximately 5 to 50% solution of dimethylamine, diethylamine or piperidine in DMF at 15-30°C.

Hydrogenolytically removable protective groups (e.g. CBZ or benzyl) can be removed, for example, by treating with hydrogen in the presence of a catalyst (e.g. of a noble metal catalyst such as palladium, expediently on a support such as carbon). Suitable solvents in this case are those indicated above, in particular, for example, alcohols such as methanol or ethanol or amides such as DMF. As a rule, the hydrogenolysis is carried out at temperatures between approximately 0 and 100°C and pressures between approximately 1 and 200 bar, preferentially at 20-30°C and 1-10 bar. Hydrogenolysis of the CBZ group takes place readily, for example, on 5 to 10% Pd/C in methanol or ammonium formate (instead of hydrogen) on Pd/C in methanol/DMF at 20-30°C.

Compounds of the formula I can also preferably be obtained by reacting compounds of the formula II with compounds of the formula III. As a rule, the starting compounds of the formulae II and III are known or commercially available. The unknown compounds, however, can be prepared by methods known per se. The compounds of the formula II are naphthalene-1,8-dicarboxylic anhydride derivatives. They can be prepared in a conventional manner from appropriately substituted 1,8-naphthalenedicarboxylic acids or corresponding derivatives. It is furthermore possible to introduce appropriate substituents into the aromatic by conventional electrophilic or alternatively nucleophilic substitutions.

The compounds of the formula III are primary amines, which, as a rule, are also commercially

available. Furthermore, syntheses for the preparation of primary amines, such as, for example, the Gabriel synthesis, can be used.

As a rule, the reaction is carried out in an inert solvent. Depending on the conditions used, the reaction time is between a few minutes and a number of days, the reaction temperature between approximately 0° and 150°C, normally between 20° and 130°C. The reactions can be carried out in analogy to the methods indicated in Eur. J. Chem. Chim. Ther. **1981**, 16, 207-212 and in J. Med. Chem. **1982**, 25, 714-719.

Suitable inert solvents are, for example, hydrocarbons such as hexane, petroleum ether, benzene, toluene or xylene; chlorinated hydrocarbons such as trichloroethylene, 1,2-dichloroethane, carbon tetrachloride, chloroform or dichloromethane; alcohols such as methanol, ethanol, isopropanol, n-propanol, n-butanol or tert-butanol; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran (THF) or dioxane; glycol ethers such as ethylene glycol monomethyl or monoethyl ether (methyl glycol or ethyl glycol), ethylene glycol dimethyl ether (diglyme); ketones such as acetone or butanone; amides such as acetamide, N-methylpyrrolidone (NMP), dimethylacetamide or dimethylformamide (DMF); nitriles such as acetonitrile; sulfoxides such as dimethyl sulfoxide (DMSO); carbon disulfide; carboxylic acids such as formic acid or acetic acid; nitro compounds such as nitromethane or nitrobenzene; esters such as ethyl acetate or mixtures of the solvents mentioned.

Derivatives having a free primary or an additional secondary amino group are expediently employed in protected form. Possible protective groups are those mentioned beforehand.

For the preparation of compounds of the formula I in which R<sup>1</sup> and/or R<sup>2</sup> are H<sub>2</sub>N-C(=NH)-NH-, an appropriate amino-substituted compound can be treated with an amidinating agent. The preferred amidinating agent is 1-amidino-3,5-dimethylpyrazole (DPFN), which

is employed, in particular, in the form of its nitrate, or pyrazole-1-carboxamidine. The reaction is expediently carried out with addition of a base such as triethylamine or ethyldiisopropylamine in an inert solvent or solvent mixture, e.g. DMF at temperatures between 0° and 150°C, preferably between 60° and 120°C.

For the preparation of compounds of the formula I in which R<sup>2</sup> is unsubstituted or substituted biphenyl, -Ar'-Het<sup>1</sup>, -Ar'-Het<sup>1</sup>-R<sup>3</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup> and/or -Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>6</sup>, an appropriate compound of the formula I in which R<sup>2</sup> is aryl bromide or aryl iodide can be reacted with the appropriate boronic acid derivatives in a Suzuki reaction. The Suzuki reaction is expediently carried out in palladium-mediated form, preferably by addition of Pd(PPh<sub>3</sub>)<sub>4</sub>, in the presence of a base such as potassium carbonate in an inert solvent or solvent mixture, e.g. DMF at temperatures between 0° and 150°, preferably between 60° and 120°. Depending on the conditions used, the reaction time is between a few minutes and a number of days. The boronic acid derivatives can be prepared by conventional methods or are commercially available. The reactions can be carried out in analogy to the methods indicated in Suzuki et al., J. Am. Chem. Soc. **1989**, *111*, 314ff. and Suzuki et al., Chem. Rev. **1995**, *95*, 2457ff.

For the esterification, an acid of the formula I (R<sup>1</sup> = COOH or -Y-(CH<sub>2</sub>)<sub>n</sub>-COOH and/or R<sup>2</sup> = COOH) can be treated with an excess of an alcohol, expediently in the presence of a strong acid such as hydrochloric acid or sulfuric acid at temperatures between 0° and 100°C, preferably between 20° and 50°C. Conversely, an ester of the formula I (R<sup>1</sup> = COOA or -Y-(CH<sub>2</sub>)<sub>n</sub>-COOA and/or R<sup>2</sup> = COOA) can be converted into the corresponding acid of the formula I, expediently by solvolysis according to one of the methods indicated above, e.g. using NaOH or KOH in water-dioxane at temperatures between 0° and 40°C, preferably between 10° and 30°C.

Furthermore, free amino groups can be acylated in a customary manner using an acid chloride or anhydride, expediently in an inert solvent such as dichloromethane or THF and/or in the presence of a base  
5 such as triethylamine or pyridine at temperatures between -60°C and +30°C.

A base of the formula I can be converted into the associated acid addition salt using an acid, for example by reaction of equivalent amounts of the base  
10 and of the acid in an inert solvent such as ethanol and subsequent evaporation. Acids which give physiologically acceptable salts are particularly suitable for this reaction. Thus inorganic acids can be used, e.g. sulfuric acid, nitric acid, hydrohalic acids such as  
15 hydrochloric acid or hydrobromic acid, phosphoric acids such as orthophosphoric acid, sulfamic acid, furthermore organic acids, in particular aliphatic, alicyclic, araliphatic, aromatic or heterocyclic mono- or polybasic carboxylic, sulfonic or sulfuric acids, e.g.  
20 formic acid, acetic acid, propionic acid, pivalic acid, diethylacetic acid, malonic acid, succinic acid, pimelic acid, fumaric acid, maleic acid, lactic acid, tartaric acid, malic acid, citric acid, gluconic acid, ascorbic acid, nicotinic acid, isonicotinic acid,  
25 methane- or ethanesulfonic acid, p-toluenesulfonic acid, naphthalenemono- and disulfonic acids or laurylsulfuric acid. Salts with physiologically unacceptable acids, e.g. picrates, can be used for the isolation and/or purification of the compounds of the  
30 formula I.

On the other hand, compounds of the formula I with bases (e.g. sodium or potassium hydroxide or carbonate) can be converted into the corresponding metal salts, in particular alkali metal or alkaline  
35 earth metal salts, or into the corresponding ammonium salts.

All synthesis methods indicated here and all other suitable processes for the preparation of compounds of the formula I can also be carried out by

means of the novel methods of combinatorial chemistry, i.e. by robot- and computer-assisted syntheses, and subjected to mass screening (for this see: US 5,463,564; M. A. Gallop et al., J. Med. Chem. **1994**, 37, 1233-1251 and 1385-1401 and M.J. Sofia, Drugs Discovery Today **1996**, 1, 27-34).

The invention furthermore relates to pharmaceutical preparations comprising at least one compound of the formula I and/or one of its physiologically acceptable salts, which are prepared, in particular, in an non-chemical way. In this case, the compounds of the formula I can be brought into a suitable dose form together with at least one solid, liquid and/or semi-liquid excipient or auxiliary and, if appropriate, in combination with one or more other active compounds.

These preparations can be used as medicaments in human or veterinary medicine. Possible excipients are organic or inorganic substances which are suitable for enteral (e.g. oral) or parenteral administration or topical application and do not react with the novel compounds, for example water, vegetable oils, benzyl alcohols, alkylene glycols, polyethylene glycols, glyceryl triacetate, gelatin, carbohydrates such as lactose or starch, magnesium stearate, talc and petroleum jelly. Tablets, pills, coated tablets, capsules, powders, granules, syrups, juices or drops are used, in particular, for oral administration, suppositories are used for rectal administration, solutions, preferably oily or aqueous solutions, furthermore suspensions, emulsions or implants, are used for parenteral administration, and ointments, creams or powders are used for topical application. The novel compounds can also be lyophilized and the lyophilizates obtained used, for example, for the production of injection preparations. The preparations indicated can be sterilized and/or can contain auxiliaries such as lubricants, preservatives, stabilizers and/or wetting agents, emulsifiers, salts

for affecting the osmotic pressure, buffer substances, colourants, flavourings and/or one or more other active compounds, e.g. one or more vitamins.

5 The compounds of the formula I and their physiologically acceptable salts act as adhesion receptor antagonists, in particular glycoprotein IbIX antagonists, and can be employed for the prophylaxis and/or therapy of thrombotic disorders and sequelae deriving therefrom. The disorders are acute coronary  
10 syndromes, angina pectoris, myocardial infarct, peripheral circulatory disorders, stroke, transient ischaemic attacks, arteriosclerosis and reocclusion/restenosis after angioplasty/stent implantation.

15 In this case, the substances according to the invention are as a rule administered in the dose of the glycoprotein IIbIIIa antagonist ReoPro® of preferably between approximately 1 and 500 mg, in particular between 5 and 100 mg, per dose unit. The daily dose is  
20 preferably between approximately 0.02 and 10 mg/kg of body weight. The specific dose for each patient depends, however, on all sorts of factors, for example on the efficacy of the specific compound employed, on the age, body weight, general state of health and sex,  
25 on the diet, on the time and route of administration, and on the excretion rate, pharmaceutical combination and severity of the particular disorder to which the therapy applies. Oral administration is preferred.

Above and below, all temperatures are indicated  
30 in °C. In the following examples, "customary working-up" means: if necessary, water is added, if necessary, depending on the constitution of the final product, the mixture is adjusted to pHs between 2 and 10 and extracted with ethyl acetate or dichloromethane, the  
35 organic phase is separated off, dried over sodium sulfate and evaporated, and the residue is purified by chromatography on silica gel and/or by crystallization. Mass spectrometry (MS) apparatuses Kratos Maldi III and Finnigan LCQ. (M+H)<sup>+</sup> values are determined.

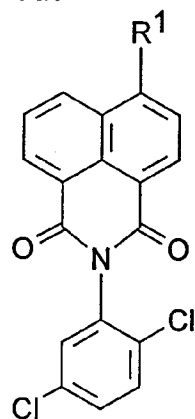
EXAMPLESExample 1:

A suspension of 6.6 g of 6,7-dinitrobenzo[de]isochromene-1,3-dione in 100 ml of toluene is treated with 3.3 g of 5-chloropyridin-2-ylamine and the mixture is heated under reflux. After reaction is complete, the reaction mixture is allowed to cool and is worked up as is customary. 2-(5-Chloropyridin-2-yl)-6,7-dinitrobenzo[de]isoquinoline-1,3-dione is obtained.

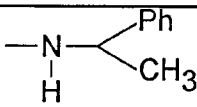
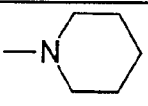
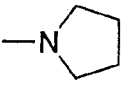
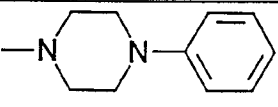
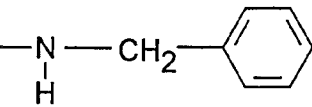
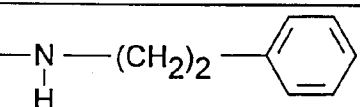
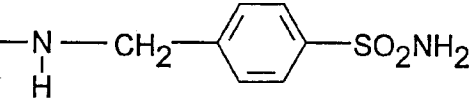
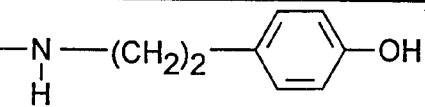
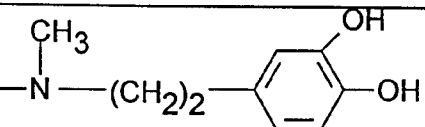
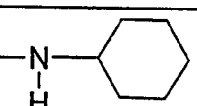
Example 2:

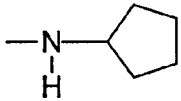
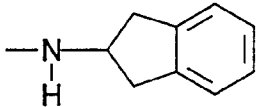
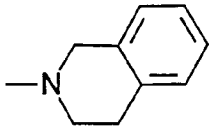
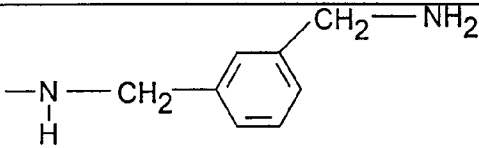
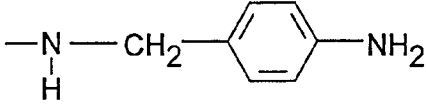
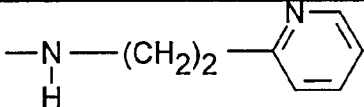
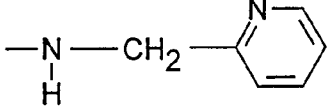
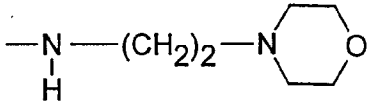
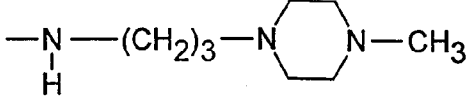
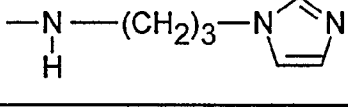
A suspension of 4 g of 6-chlorobenzo[de]isochromene-1,3-dione in 100 ml of toluene is treated with 4.6 g of 2,5-dichlorophenylamine and heated under reflux. After reaction is complete, the reaction mixture is allowed to cool and is worked up as is customary. 6-Chloro-2-(2,5-dichlorophenyl)benzo[de]isoquinoline-1,3-dione is obtained. This compound is then heated in morpholine until conversion is complete. After cooling the reaction mixture, it is worked up as is customary and 2-(2,5-dichlorophenyl)-6-morpholin-4-ylbenzo[de]isoquinoline-1,3-dione is obtained. MS: calculated: 426; found: 427.

Analogously, by reaction of 6-chloro-2-(2,5-dichlorophenyl)benzo[de]isoquinoline-1,3-dione with R<sup>1</sup>-H, the following compounds of the formula Iba are obtained:



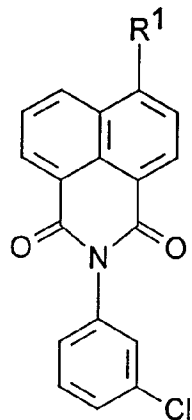
Iba

R <sup>1</sup> in R <sup>1</sup> -H and in Iba	MS	
	calculated	found
	460	461
	424	425
	410	411
	501	502
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH		
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	441	442
		
		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -COOMe	442	443
		
	476	477
		
	438	439

R <sup>1</sup> in R <sup>1</sup> -H and in Iba	MS	
	calculated	found
	424	425
		
	472	473
		
		
		
		
		
		
		
-NH (C <sub>5</sub> H <sub>11</sub> )	426	427
-NH (C <sub>3</sub> H <sub>7</sub> )	398	399
-N (CH <sub>3</sub> ) -C <sub>4</sub> H <sub>9</sub>	426	427
-N (C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	412	413

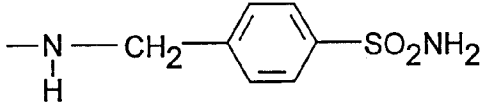
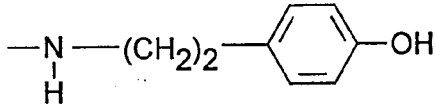
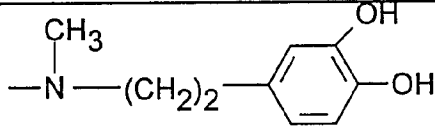
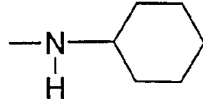
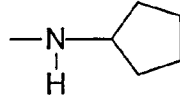
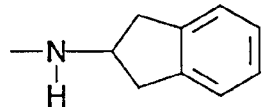
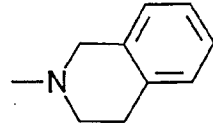
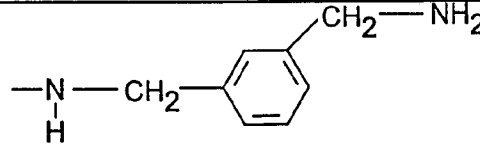
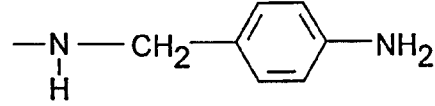
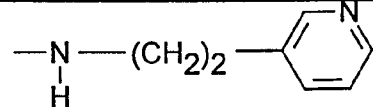
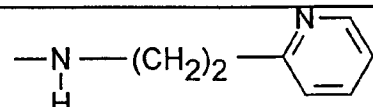
Example 3:

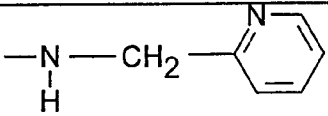
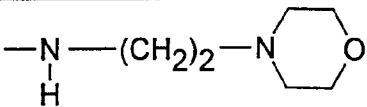
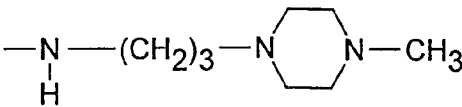
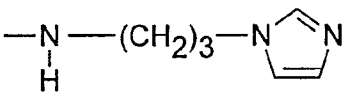
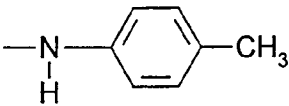
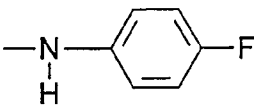
Analogously to Example 2, 6-chloro-  
 5 benzo[de]isochromene-1,3-dione is reacted with  
 3-chlorophenylamine and then with  $R^1$ -H. The following  
 compounds of the formula Ibb are obtained:



Ibb

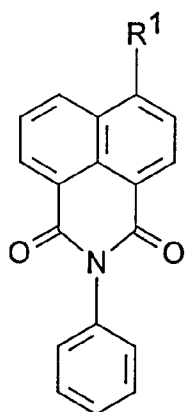
$R^1$ in $R^1$ -H and in Ibb	MS calculated	found
	376	377
	467	468
$-NH-C(CH_2OH)_3$		
$-NH-(CH_2)_3-N(CH_3)_2$	407	408
	412	413
	426	427

R <sup>1</sup> in R <sup>1</sup> -H and in Ibb	MS	
	calculated	found
		
	442	443
		
	404	405
	390	391
	438	439
		
	441	442
		
	427	428
	427	428

R <sup>1</sup> in R <sup>1</sup> -H and in Ibb	MS	
	calculated	found
	413	414
	435	436
		
	430	431
		
		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -COOCH <sub>3</sub>	408	409
-NH(C <sub>5</sub> H <sub>11</sub> )	392	393
-NH(C <sub>3</sub> H <sub>7</sub> )		
-N(CH <sub>3</sub> )-C <sub>4</sub> H <sub>9</sub>	392	393
-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	378	379

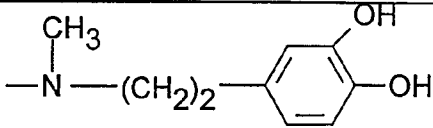
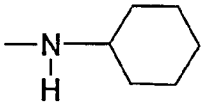
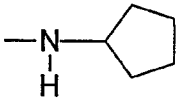
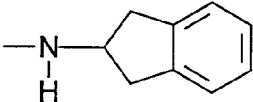
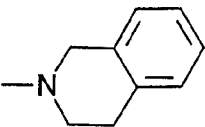
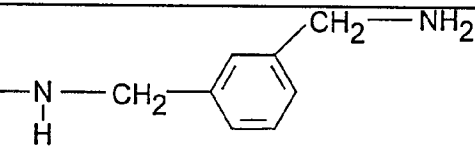
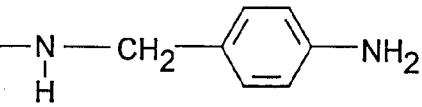
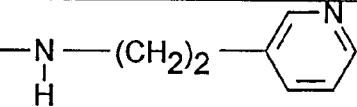
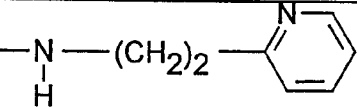
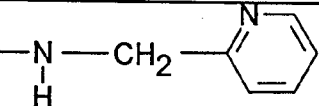
#### 5 Example 4:

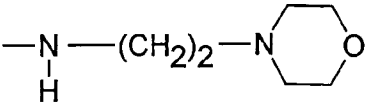
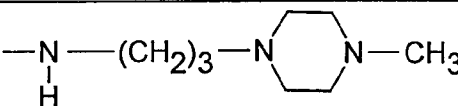
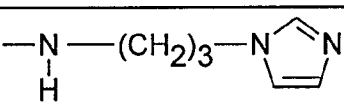
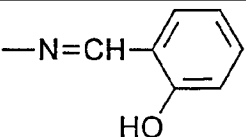
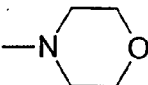
Analogously to Example 2, 6-chloro-benzo[de]isochromene-1,3-dione is reacted with phenylamine and then with R<sup>1</sup>-H. The following compounds of the formula Ibc are obtained:



Ibc

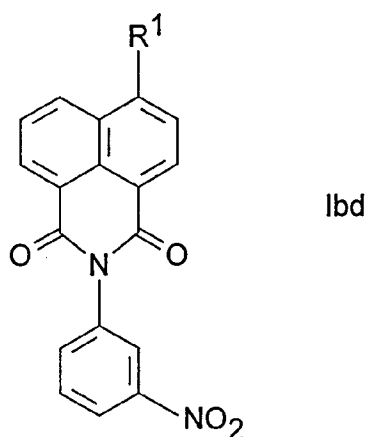
R <sup>1</sup> in R <sup>1</sup> -H and in Ibc	MS	
	calculated	found
	392	393
	342	343
	433	434
$\text{-NH- (CH}_2\text{)}_3\text{-N(CH}_3\text{)}_2$	373	374
	378	379
	392	393

R <sup>1</sup> in R <sup>1</sup> -H and in Ibc		MS	
		calculated	found
			
		370	371
		356	357
		404	405
			
		407	408
			
		393	394
		393	394
		379	380

R <sup>1</sup> in R <sup>1</sup> -H and in Ibc	MS	
	calculated	found
	401	402
		
	396	397
-NH-(CH <sub>2</sub> ) <sub>2</sub> -COOCH <sub>3</sub>	374	375
-NH(C <sub>5</sub> H <sub>11</sub> )	358	359
-NH(C <sub>3</sub> H <sub>7</sub> )	330	331
-N(CH <sub>3</sub> )-C <sub>4</sub> H <sub>9</sub>	358	359
-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	344	345
-NH-CH <sub>2</sub> -CH(CH <sub>2</sub> Cl)-OH		
		
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH	374	375
	358	359

Example 5:

Analogously to Example 2, 6-chlorobenzo-  
 [de]isochromene-1,3-dione is reacted with  
 5 3-nitrophenylamine and then with R<sup>1</sup>-H. The following  
 compounds of the formula Ibd are obtained:



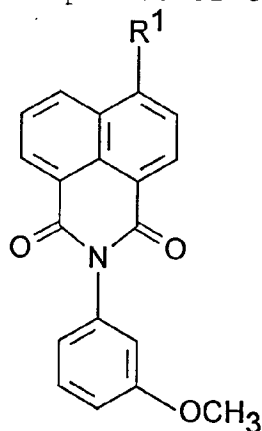
R <sup>1</sup> in R <sup>1</sup> -H and in Ibd	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	418	419
	387	388
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH	476	477
	437	438

R <sup>1</sup> in R <sup>1</sup> -H and in Ibd	MS	
	calculated	found
	401	402
	449	450
	438	439
	438	439
	446	447
	415	416

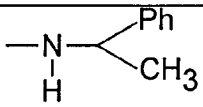
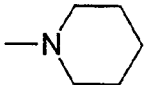
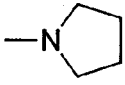
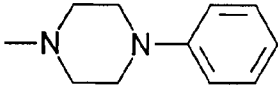
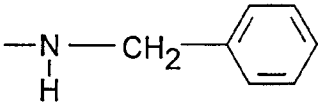
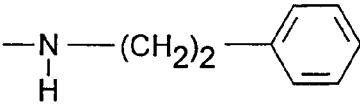
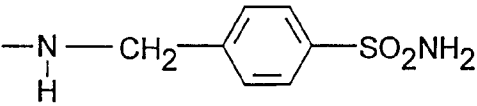
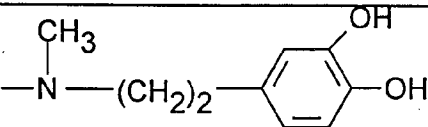
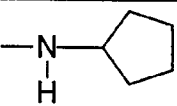
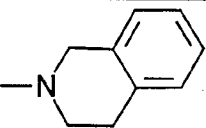
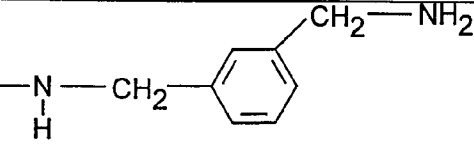
R <sup>1</sup> in R <sup>1</sup> -H and in Ibd	MS	
	calculated	found
	441	442
-NH-(CH <sub>2</sub> ) <sub>2</sub> -COOCH <sub>3</sub>	419	420
-NH(C <sub>5</sub> H <sub>11</sub> )	403	404
-NH(C <sub>3</sub> H <sub>7</sub> )	375	376
-N(CH <sub>3</sub> )-C <sub>4</sub> H <sub>9</sub>	403	404
-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	389	390
	403	404

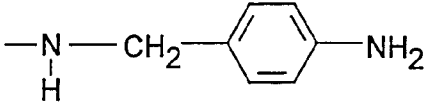
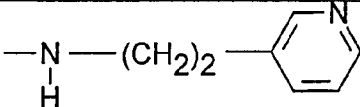
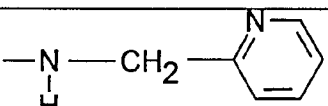
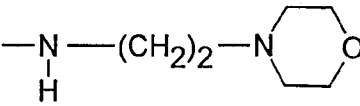
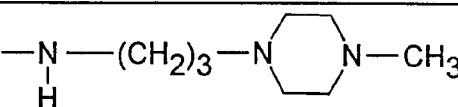
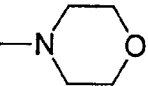
Example 6:

Analogously to Example 2, 6-chlorobenzo-  
 5 [de]isochromene-1,3-dione is reacted with  
 3-methoxyphenylamine and then with R<sup>1</sup>-H. The following  
 compounds of the formula Ibe are obtained:



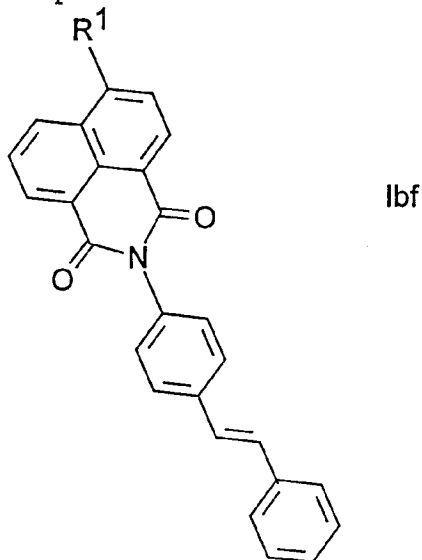
Ibe

R <sup>1</sup> in R <sup>1</sup> -H and in Ibe	MS	
	calculated	found
	422	423
	386	387
	372	373
	463	464
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)_2$	403	404
	408	409
	422	423
		
		
	386	387
		
	437	438

R <sup>1</sup> in R <sup>1</sup> -H and in Ibe	MS	
	calculated	found
	423	424
	423	424
	409	410
	431	432
		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -COOCH <sub>3</sub>	404	405
-NH(C <sub>3</sub> H <sub>7</sub> )	360	361
-N(CH <sub>3</sub> )-C <sub>4</sub> H <sub>9</sub>	388	389
-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	374	375
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH	404	405
	388	389

Example 7:

Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 4-styrylphenylamine and then with R<sup>1</sup>-H. The following 5 compounds of the formula Ibf are obtained:

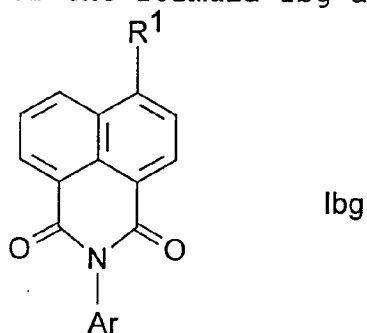


R <sup>1</sup> in R <sup>1</sup> -H and in Ibf	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	475	476
	509	510
	495	496
	495	496
	481	482

R <sup>1</sup> in R <sup>1</sup> -H and in Ibf	MS	
	calculated	found
	503	504
	530	531
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH		
	498	499

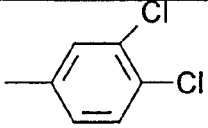
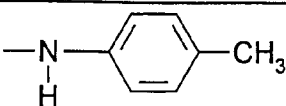
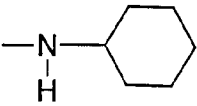
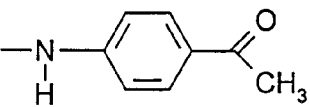
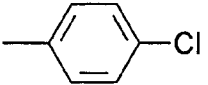
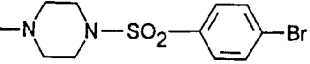
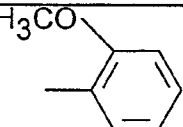
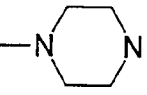
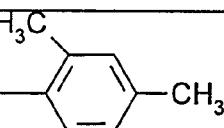
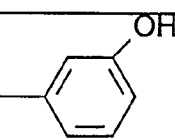
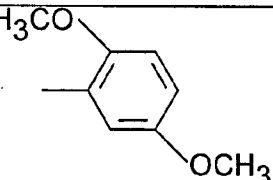
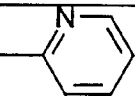
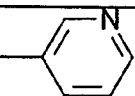
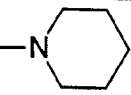
Example 8:

Analogously to Example 2, 6-nitrobenzo-  
[de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-Ar and  
5 then (if necessary) with R<sup>1</sup>-H. The following compounds  
of the formula Ibg are obtained:



Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg
	 -NO <sub>2</sub>

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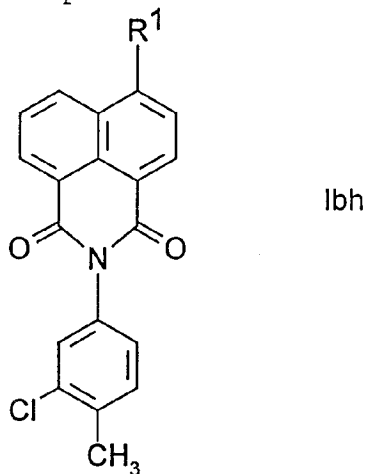
Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg
	  
	
	
	$-\text{NH}-\text{C}(\text{CH}_2\text{OH})_3$
	$-\text{NO}_2$
	$-\text{NO}_2$
	$-\text{NO}_2$
	

Example 9:

Analogously to Example 2, 6-chlorobenzo-  
 5 [de]isochromene-1,3-dione is reacted with 3-chloro-

- 93 -

4-methylphenylamine and then with  $R^1$ -H. The following compounds of the formula Ibh is obtained:



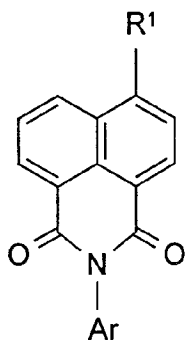
$R^1$ in $R^1$ -H and in Ibh
$-NH-(CH_2)_2-N(C_2H_5)_2$
$-NH-(CH_2)_2-OH$

5

Example 10:

Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with  $H_2N$ -Ar and then with  $R^1$ -H. The following compounds of the formula

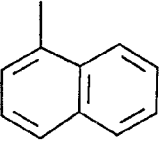
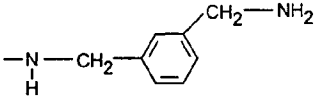
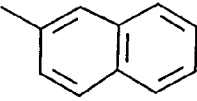
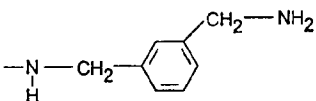
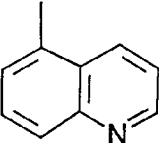
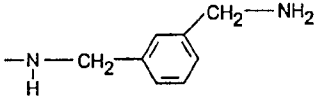
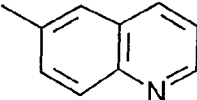
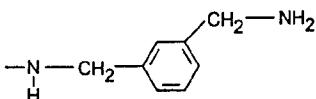
10 Ibi are obtained:



Ibg

Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	MS	
		calc.	find.
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	435	436
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
		497	498
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	433	434
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	462	463
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	490	491
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
		524	524

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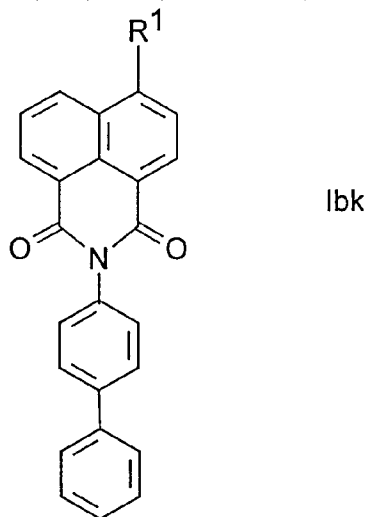
Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	MS	
		calc.	find.
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	395	396
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	423	424
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	451	452
		457	458
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	395	396
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	423	424
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	451	452
		457	458
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	396	397
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	424	425
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	452	453
			
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	396	397
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	424	425
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	452	453
		458	459

Example 11:

A suspension of 4 g of 6-nitrobenzo[de]isochromene-1,3-dione in 100 ml of toluene is treated with 3.1 g of 4-iodophenylamine and the mixture is heated under reflux. After reaction is complete, the reaction mixture is allowed to cool and is worked up as is customary. 6-Nitro-2-(4-iodophenyl)benzo[de]isochromene-1,3-dione is obtained. 1.2 Equivalents of K<sub>2</sub>CO<sub>3</sub>, 1.2 equivalents of Ph-B-(OH)<sub>2</sub> and 10 mol% of Pd((PPh)<sub>3</sub>)<sub>4</sub> are added to a solution of this compound in 80 ml of DMF and it is heated at 80°C until conversion is complete. After filtering off the catalyst and

customary working up, 6-nitro-2-biphenyl-4-ylbenzo[de]isoquinoline-1,3-dione is heated with 1,3-diaminopropane until conversion is complete. After cooling the reaction mixture, it is worked up as is customary and 6-(3-aminopropylamino)-2-biphenyl-4-ylbenzo[de]isoquinoline-1,3-dione is obtained.

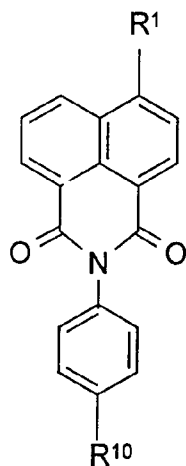
Analogously, by reaction of 6-nitro-2-(4-iodophenyl)benzo[de]isoquinoline-1,3-dione with  $\text{Ph-B}(\text{OH})_2$  and  $\text{R}^1\text{-H}$ , the following compounds of the formula Ibk are obtained:



$\text{R}^1$ in $\text{R}^1\text{-H}$ und in Ibk
$-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$
$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$

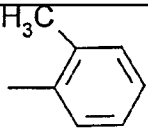
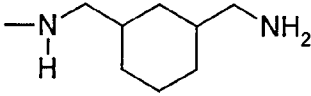
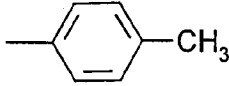
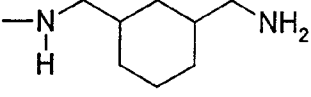
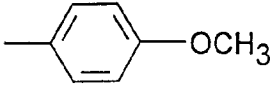
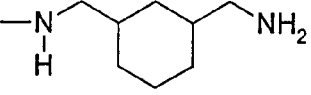
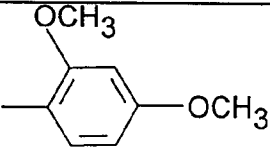
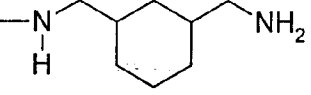
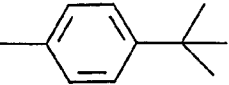
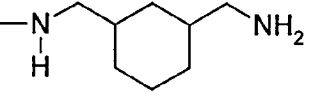
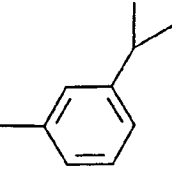
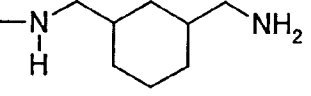
#### Example 12:

Analogously to Example 11, 6-nitro-2-(4-iodophenyl)benzo[de]isoquinoline-1,3-dione is reacted with  $\text{R}^{10}\text{-B}(\text{OH})_2$  and  $\text{R}^1\text{-H}$ . The following compounds of the formula Ibl are obtained:



IbI

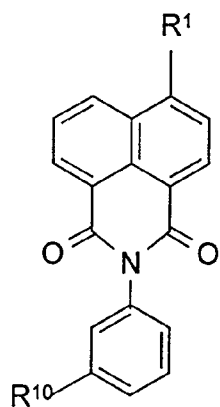
$R^{10}$	$R^1$ in $R^1-H$ and IbI
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

$R^{10}$	$R^1$ in $R^1-H$ and Ibl
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

$R^{10}$	$R^1$ in $R^1-H$ and $Ib1$
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

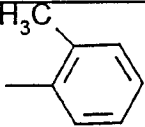
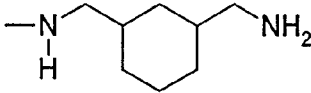
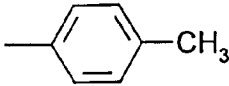
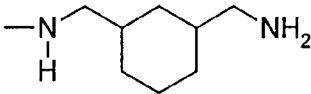
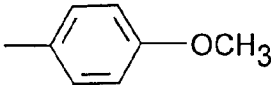
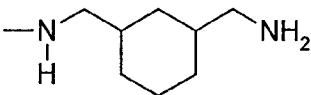
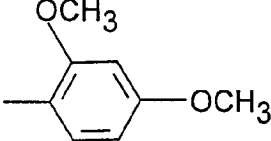
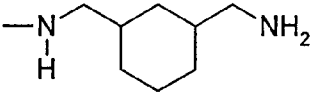
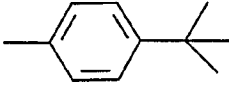
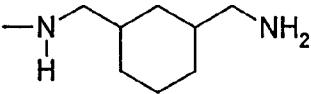
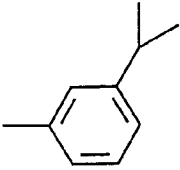
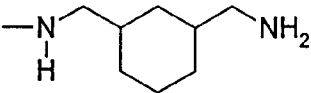
Example 13:

5 Analogously to Example 11, 6-nitro-2-(3-iodophenyl)benzo[de]isoquinoline-1,3-dione is reacted with  $R^{10}-B(OH)_2$  and  $R^1-H$ . The following compounds of the formula  $Ibm$  are obtained:



Ibm

$R^{10}$	$R^1$ in $R^1-H$ and Ibm
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

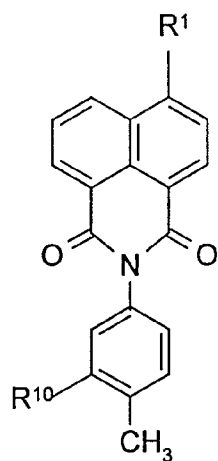
$R^{10}$	$R^1$ in $R^1-H$ and $Ibm$
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

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$R^{10}$	$R^1$ in $R^1-H$ and $Ibm$
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

Example 14:

5 Analogously to Example 11, 6-nitro-2-(3-iodo-4-methylphenyl)benzo[de]isoquinoline-1,3-dione is reacted with  $R^{10}-B-(OH)_2$  and  $R^1-H$ . The following compounds of the formula  $Ibm$  are obtained:

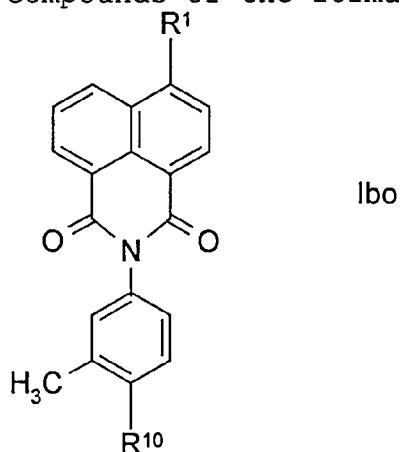


Ibn

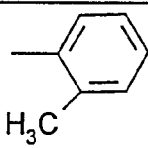
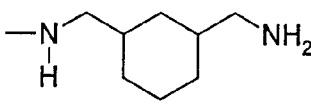
$R^{10}$	$R^1$ in $R^1$ -H und Ibn
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 

Example 15:

Analogously to Example 11, 6-nitro-2-(4-iodo-3-methylphenyl)benzo[de]isoquinoline-1,3-dione is reacted with  $R^{10}$ -B-(OH)<sub>2</sub> and  $R^1$ -H. The following 5 compounds of the formula Ibo are obtained:

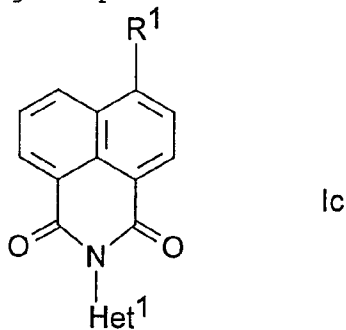


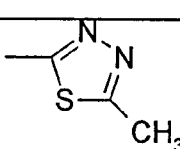
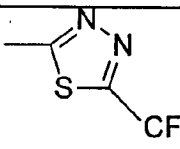
$R^{10}$	$R^1$ in $R^1$ -H and Ibo
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 
	$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 

$R^{10}$	$R^1$ in $R^1-H$ and Ibo
	$-NH-(CH_2)_3-NH_2$
	$-NH-(CH_2)_5-NH_2$
	$-NH-(CH_2)_7-NH_2$
	

Example 16:

Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with  $H_2N-Het^1$ . The following compounds of the formula Ic are obtained:

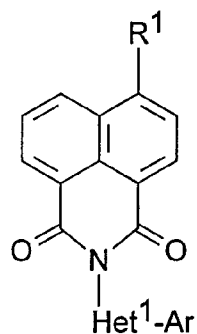


$Het^1$	$R^1$ in Ic
	$-NO_2$
	$-NO_2$

Example 17:

Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with  $H_2N-Het^1-Ar$ . The following compounds of the formula Id are obtained:

- 106 -

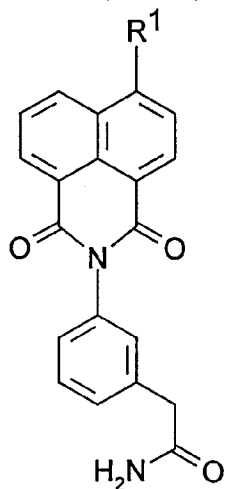


Id

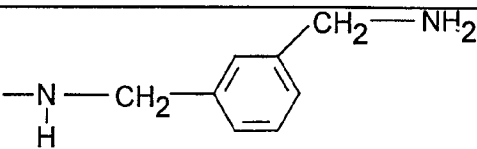
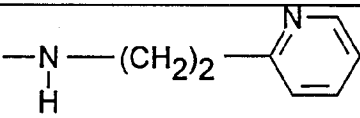
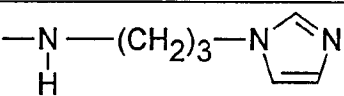
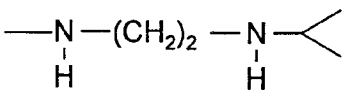
Het¹	R¹ in Id
	-NO₂
	-NO₂
	-NO₂

Example 18:

Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 2-(3-amino-phenyl)acetamide and then with R¹-H. The following compounds of the formula Iea are obtained:

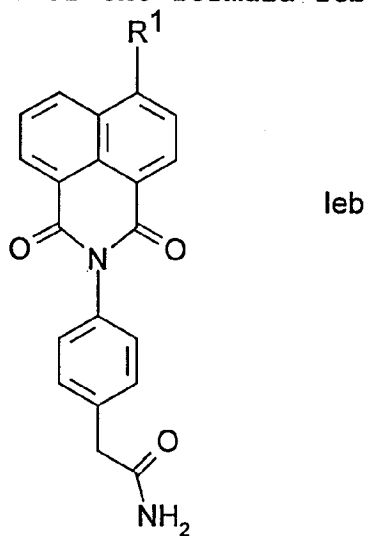


Iea

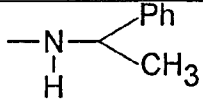
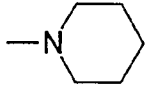
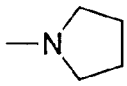
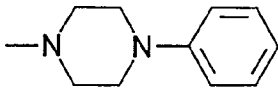
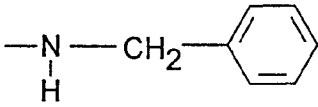
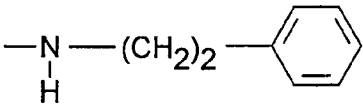
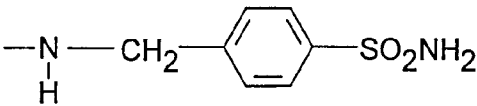
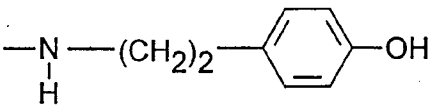
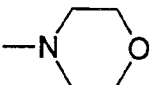
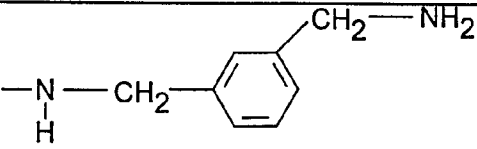
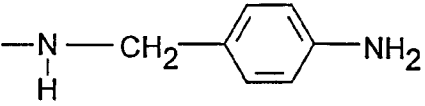
R <sup>1</sup> in R <sup>1</sup> -H and in Iea	MS	
	calculated	found
		
		
	455	456
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	430	431
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	458	459
-NH-(CH <sub>2</sub> ) <sub>8</sub> -NH <sub>2</sub>	472	473
	444	445

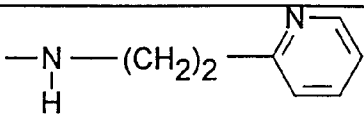
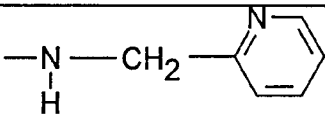
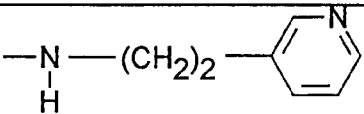
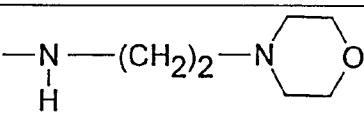
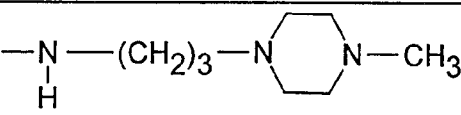
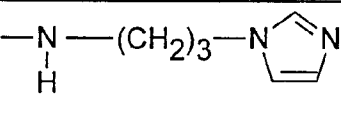
Example 19:

5 Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 2-(4-amino-phenyl)acetamide and then with R<sup>1</sup>-H. The following compounds of the formula Ieb are obtained:



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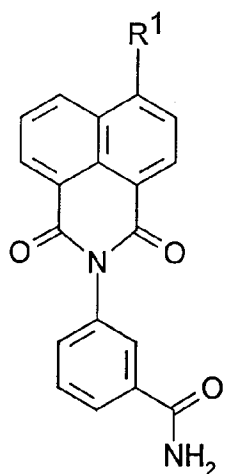
R <sup>1</sup> in R <sup>1</sup> -H and in Ieb	MS	
	calculated	found
		
	413	414
	399	400
		
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH		
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	430	431
		
	449	450
		
	465	466
		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -COOCH <sub>3</sub>	431	432
	464	465
		

R <sup>1</sup> in R <sup>1</sup> -H and in Ieb	MS	
	calculated	found
		
		
	450	451
	458	459
		
	455	456
-NH(C <sub>5</sub> H <sub>11</sub> )		
-NH(C <sub>3</sub> H <sub>7</sub> )	387	388
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	458	459

Example 20:

5 Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with 3-aminobenzamide and then with R<sup>1</sup>-H. The following compounds of the formula Iec are obtained:

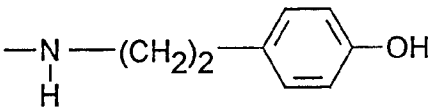
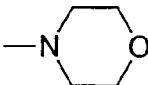
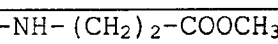
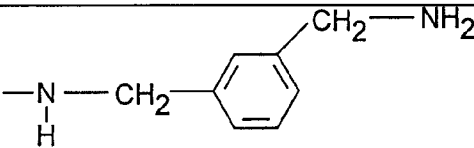
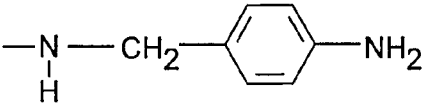
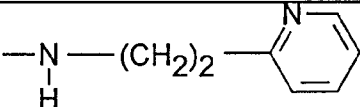
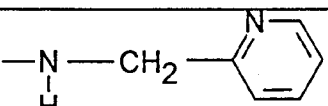
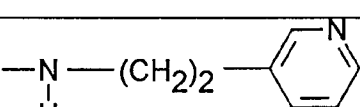
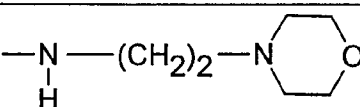
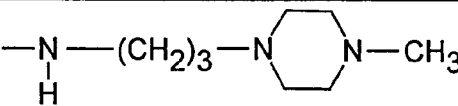
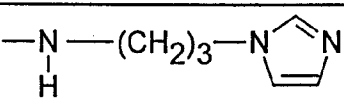
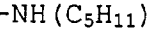
- 110 -



Iec

R <sup>1</sup> in R <sup>1</sup> -H and in Iec	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -OH		
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	416	417

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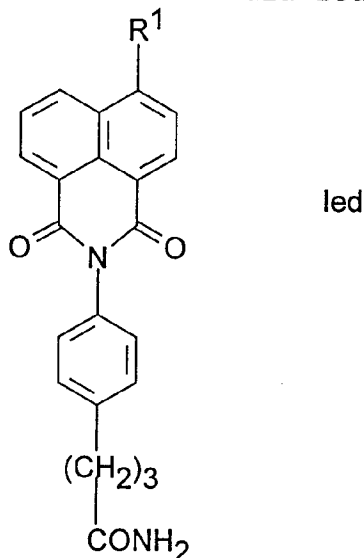
R <sup>1</sup> in R <sup>1</sup> -H and in Iec	MS	
	calculated	found
	451	452
		
	417	418
	450	451
		
	436	437
		
		
	444	445
		
		
		

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R <sup>1</sup> in R <sup>1</sup> -H and in Iec	MS	
	calculated	found
-NH (C <sub>3</sub> H <sub>7</sub> )		
-NH- (CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>		
-NH- (CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		

Example 21:

5. Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 4-(4-amino-phenyl)butyramide and then with R<sup>1</sup>-H. The following compounds of the formula Ied are obtained:



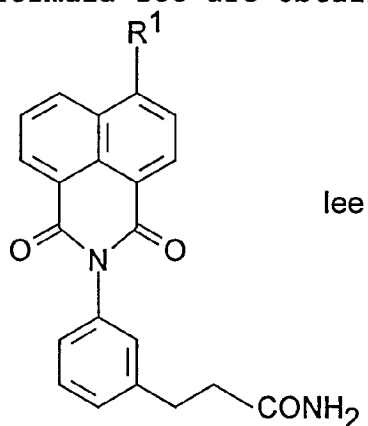
R <sup>1</sup> in R <sup>1</sup> -H and in Ied	MS	
	calculated	found
-NH- (CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>		
-NH- (CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	486	487
-NH- (CH <sub>2</sub> ) <sub>8</sub> -NH <sub>2</sub>	500	501
$\begin{array}{c} \text{---N---(CH}_2\text{)}_2\text{---N---} \\   \qquad \qquad   \\ \text{H} \qquad \qquad \text{H} \end{array}$	458	459
-NH- (CH <sub>2</sub> ) <sub>3</sub> -N (CH <sub>3</sub> ) <sub>2</sub>		
$\begin{array}{c} \text{---N---(CH}_2\text{)}_3\text{---N} \\   \qquad \qquad \diagup \quad \diagdown \\ \text{H} \qquad \qquad \text{C} \quad \text{C} \\ \qquad \qquad \diagdown \quad \diagup \\ \qquad \qquad \text{C} \quad \text{C} \end{array}$		

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R <sup>1</sup> in R <sup>1</sup> -H and in Ied	MS	
	calculated	found
	478	479

Example 22:



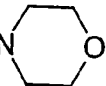




Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with 3-(3-aminophenyl)-  
 5 propionamide and then with R<sup>1</sup>-H. The following compounds of the formula Iee are obtained:



R <sup>1</sup> in R <sup>1</sup> -H and in Iee	MS		R <sup>1</sup> in R <sup>1</sup> -H and in Iee	MS	
	calc.	find.		calc.	find.
	429	430		430	431
	427	428		444	445
	413	414			
	504	505		518	519

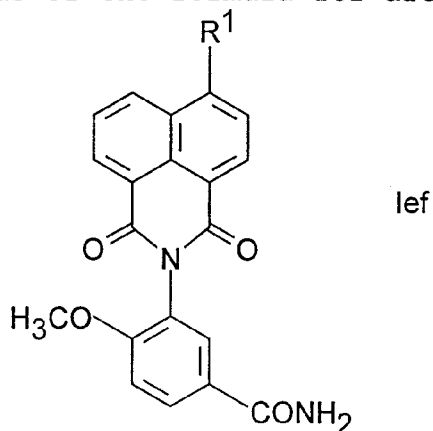
$R^1$ in $R^1-H$ and in Iee	MS calc. fnd.	$R^1$ in $R^1-H$ and in Iee	MS calc. fnd.
$-NH-(CH_2)_2-COOMe$			
		$-NH-(CH_2)_3-N(CH_2)_3-NH_2$	542 543
463 464			498 499
		$-NH-(CH_2)_2-NH-(CH_2)_2-$	446
		OH	447
$-NH-(CH_2)_5-OH$		$-NH-(CH_2)_2-NH(C_3H_7)$	
$-N(CH_3)-(CH_2)_3-$	444 445	$-NH-(CH_2)_3-O-(CH_2)_4-O-(CH_2)_3-$	546
$NH(CH_3)$		$NH_2$	547
$-NH-(CH_2)_3-N(CH_3)_2$	444 445	$-N(CH_3)-(CH_2)_2-$	472
		$N(C_2H_5)_2$	473
			498 499
			484 485
		$-NH-(CH_2)_3-N(CH_3)-(CH_2)_3-$	487
		$NH_2$	488

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$R^1$ in $R^1-H$ and in Iee	MS calc. fnd.	$R^1$ in $R^1-H$ and in Iee	MS calc. fnd.
$-N(H)-(CH_2)_2-$ 	464 465	$-NH-(CH_2)_2-NH(C_2H_5)$	430 431
$-N(H)-(CH_2)_2-$ 		$-N(H)-(CH_2)_2-N$ 	
$-N(H)-CH_2-$ 	450 451	$-N(H)-(CH_2)_3-N(CH_3)$ 	499 500
$-N(H)-(CH_2)_3-$ 	469 470	$-NH-(CH_2)_5-NH_2$	
$-NH(C_5H_{11})$		$-NH-(CH_2)_7-NH_2$	472 473
$-NH(C_3H_7)$		$-N(H)-CH_2-$  $-CH_2-NH_2$	

Example 23:

Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 3-amino-4-methoxybenzamide and then with R<sup>1</sup>-H. The following compounds of the formula Ief are obtained:



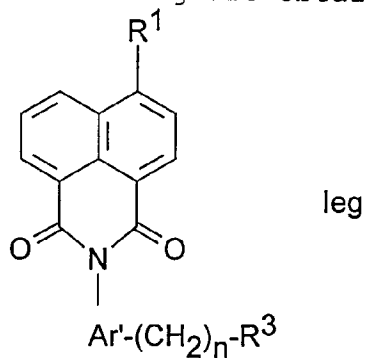
R <sup>1</sup> in R <sup>1</sup> -H and in Ief	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>8</sub> -NH <sub>2</sub>	488	489
	460	461
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>		

Example 24:

Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup> and

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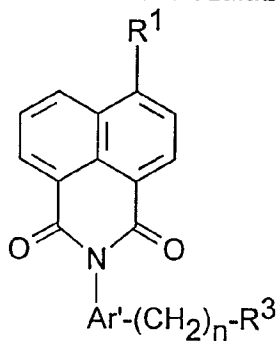
then (if necessary) with  $R^1-H$ . The following compounds of the formula Ieg are obtained:



Ar'-(CH <sub>2</sub> ) <sub>n</sub> -R <sup>3</sup>	R <sup>1</sup> in R <sup>1</sup> -H and in Ieg	MS	
		calc.	find.
	-NO <sub>2</sub>		
	-NO <sub>2</sub>		
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	514	515
		520	521
		511	512
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	542	543
		548	549
		539	540

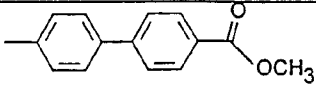
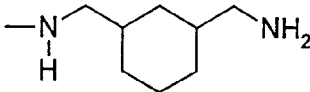
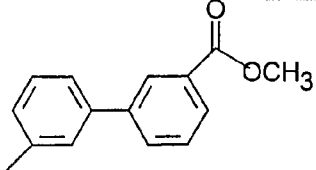
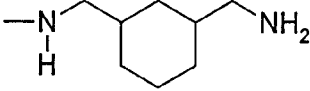
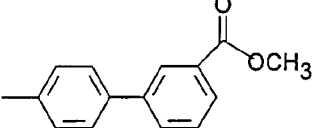
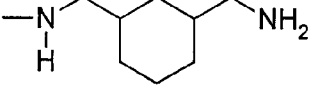
Example 25:

Analogously to Example 11, 6-nitro-2-(3-iodophenyl)benzo[de]isoquinoline-1,3-dione or 6-nitro-2-(4-iodophenyl)benzo[de]isoquinoline-1,3-dione is  
 5 reacted with  $R^3-(CH_2)_n-Ph-B(OH)_2$  and  $R^1-H$ . The following compounds of the formula Ieh ( $Ph-Ph=Ar'$ ) are obtained:



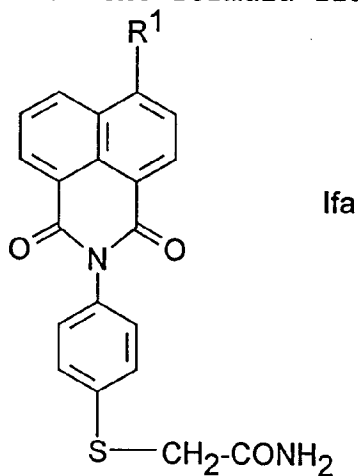
$-Ar'-(CH_2)_n-R^3$	$R^1$ in $R^1-H$ and Ieh
	$-NH-(CH_2)_3-NH_2$
	$-NH-(CH_2)_5-NH_2$
	$-NH-(CH_2)_7-NH_2$
	$-NH-(CH_2)_3-NH_2$
	$-NH-(CH_2)_5-NH_2$
	$-NH-(CH_2)_7-NH_2$
	$-NH-(CH_2)_3-NH_2$
	$-NH-(CH_2)_5-NH_2$
	$-NH-(CH_2)_7-NH_2$

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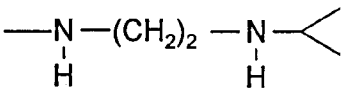
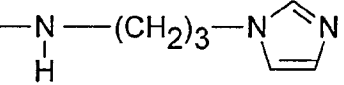
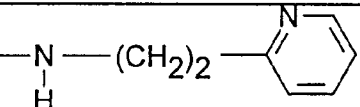
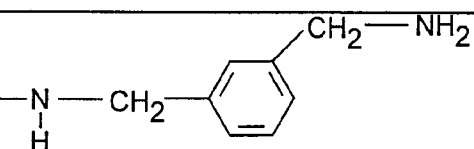
$-\text{Ar}'-(\text{CH}_2)_n-\text{R}^3$	$\text{R}^1$ in $\text{R}^1-\text{H}$ and $\text{Ieh}$
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 

Example 26:

Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with 2-(4-aminophenyl-sulfanyl)acetamide and then with  $\text{R}^1-\text{H}$ . The following compounds of the formula Ifa are obtained:

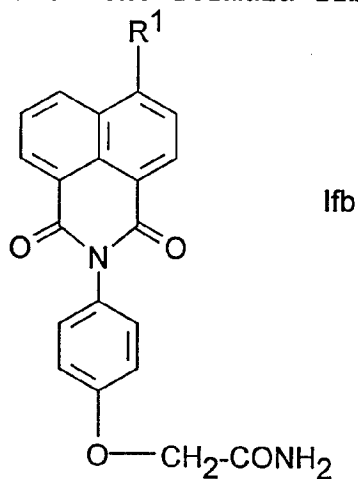


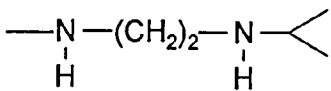
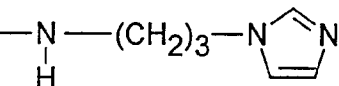
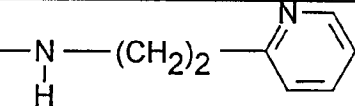
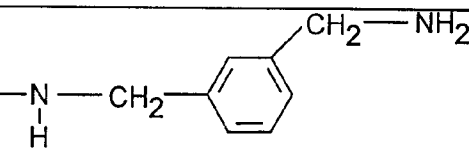
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R <sup>1</sup> in R <sup>1</sup> -H and in Ifa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>	448	449
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	490	491
-NH-(CH <sub>2</sub> ) <sub>8</sub> -NH <sub>2</sub>		
	476	477
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>		
	487	488
		
		

Example 27:

Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 2-(4-amino-  
 5 phenoxy)acetaamide and then with R<sup>1</sup>-H. The following compounds of the formula Ifb are obtained:

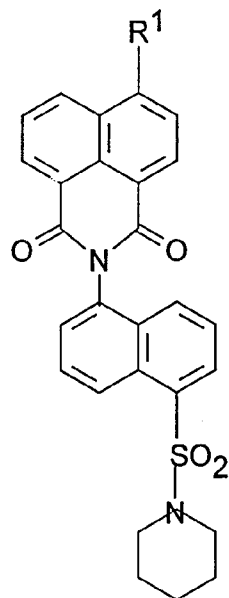


R <sup>1</sup> in R <sup>1</sup> -H and in Ifb	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	474	475
-NH-(CH <sub>2</sub> ) <sub>8</sub> -NH <sub>2</sub>	488	489
	460	461
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> ) <sub>2</sub>	446	447
	471	472
		
	480	481

Example 28:

5 Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with 5-(piperidine-1-sulfonyl)naphthalen-1-ylamine and then with R<sup>1</sup>-H. The following compounds of the formula Ig are obtained:

- 122 -

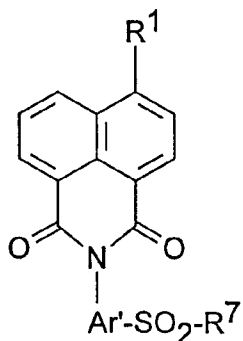


Ig

R <sup>1</sup> in R <sup>1</sup> -H and in Ig	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	542	543
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	570	571
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		

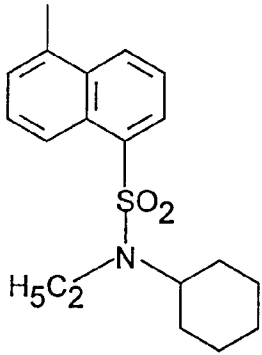
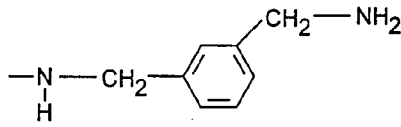
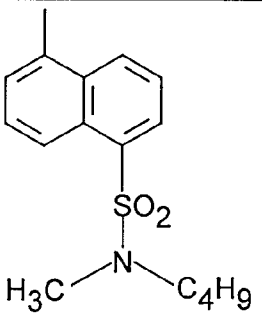
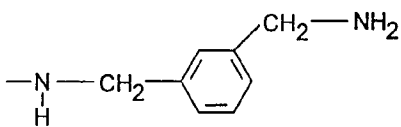
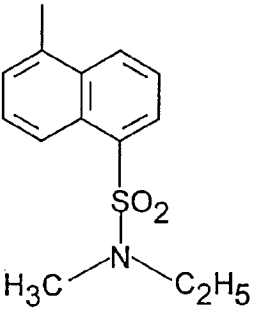
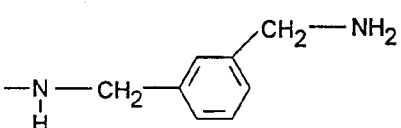
Example 29:

- 5 Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with H<sub>2</sub>N-Ar'-SO<sub>2</sub>-R<sup>7</sup> and then with R<sup>1</sup>-H. The following compounds of the formula Ih are obtained:



Ih

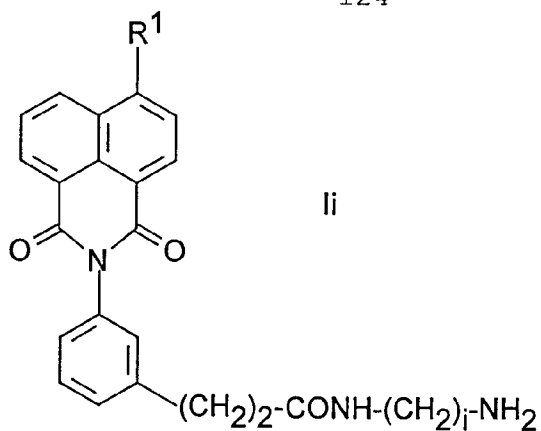
- 123 -

Ar'-SO <sub>2</sub> -R'	R' in R <sup>1</sup> -H and in Ih	MS	
		calc.	find.
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	584	585
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	612	613
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
		646	647
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	544	545
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	572	573
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	600	601
		606	607
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>	516	517
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	544	545
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
		578	579

Example 30:

5 Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-(CH<sub>2</sub>)<sub>4</sub>-NH<sub>2</sub> and then with R<sup>1</sup>-H. The following compounds of the formula Ii are obtained:

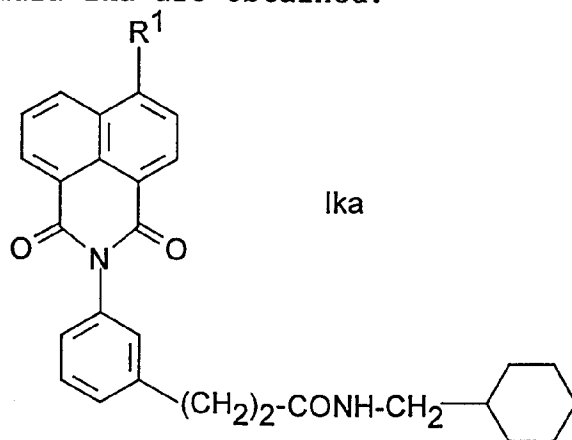
- 124 -

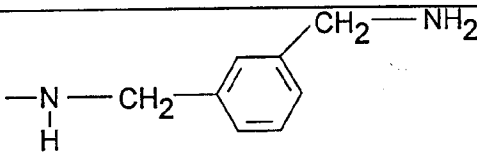


i	R <sup>i</sup> in R <sup>i</sup> -H and in Ii	MS	
		calc.	find.
4	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	543	544
		549	550
2	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	515	516

Example 31:

- 5 Analogously to Example 2, 6-nitrobenzo[de]iso-chromene-1,3-dione is reacted with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-CH<sub>2</sub>-C<sub>6</sub>H<sub>11</sub> and then with R<sup>i</sup>-H. The following compounds of the formula Ika are obtained:

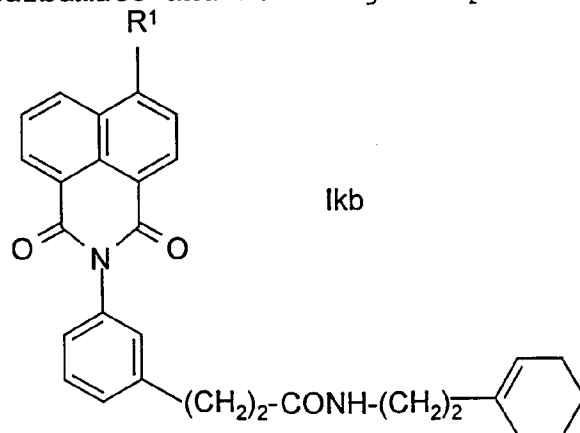


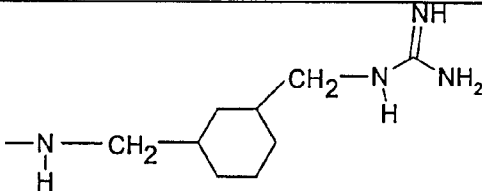
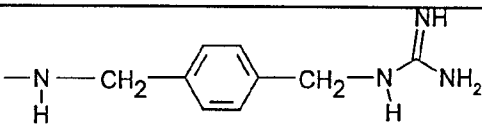
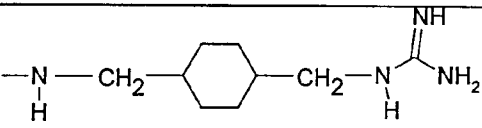
R <sup>1</sup> in R <sup>1</sup> -H and in Ika	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	568	564
	574	575

Example 32:

Analogously to Example 2, 6-nitrobenzo[de]iso-  
 5 chromene-1,3-dione is reacted with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-  
 (CH<sub>2</sub>)<sub>2</sub>-C<sub>6</sub>H<sub>9</sub> and with H<sub>2</sub>N-(CH<sub>2</sub>)<sub>5</sub>-NH<sub>2</sub>. One equivalent of  
 tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-  
 methyl)carbamate is then added to a solution of 3-  
 {3-[6-(5-aminopentylamino)-1,3-dioxo-1H,3H-  
 10 benzo[de]isoquinolin-2-yl]phenyl}-N-(2-cyclohex-  
 1-enylethyl)propionamide in 60 ml of DMF and, after  
 reaction is complete, the BOC protective groups are  
 removed by addition of TFA in 1,2-dichloroethane.  
 N-(2-Cyclohex-1-enylethyl)-3-{3-[6-(5-guanidinopentyl-  
 15 amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-  
 phenyl}propionamide is obtained.

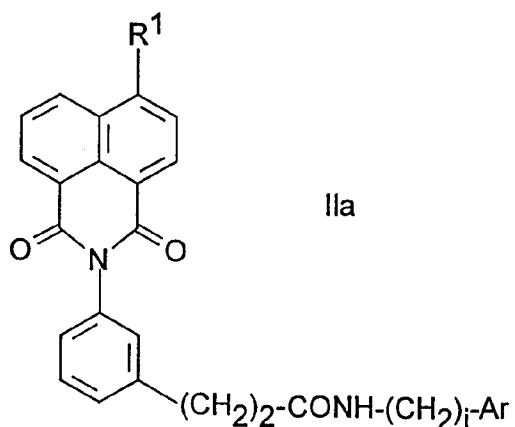
The following compounds of the formula Ikb are  
 obtained analogously by reacting H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-  
 (CH<sub>2</sub>)<sub>2</sub>-C<sub>6</sub>H<sub>9</sub> with the appropriate diamine in each case and  
 20 tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-  
 methyl)carbamate and removing the protective groups:



$R^1$ in Ikb
$-\text{NH}-(\text{CH}_2)_5-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$
$-\text{NH}-(\text{CH}_2)_2-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$
$-\text{NH}-(\text{CH}_2)_7-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$


$-\text{NH}-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$

$-\text{NH}-(\text{CH}_2)_6-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$
$-\text{NH}-(\text{CH}_2)_4-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$

Example 33:

- 5 Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N}-\text{C}_6\text{H}_4-(\text{CH}_2)_2-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$  and then with  $R^1-\text{H}$ . The following compounds of the formula IIa are obtained:

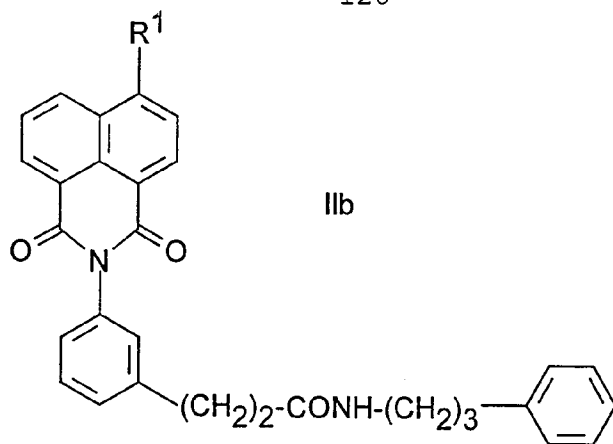


$-\text{C}_6\text{H}_4-(\text{CH}_2)_2-\text{CONH}-$ $(\text{CH}_2)_i-\text{Ar}$	$\text{R}^1$ in $\text{R}^1-\text{H}$ and in $\text{Ila}$	MS calc. fnd.
	$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	569 570 560 561
	$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	591 592 597 598
	$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	624 625 630 631 621 622
	$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	596 597

Example 34:

Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N}-\text{C}_6\text{H}_4-$   
 $(\text{CH}_2)_2-\text{CONH}-(\text{CH}_2)_3-\text{C}_6\text{H}_5$ , the appropriate diamine in each  
 case and (if necessary) with tert-butyl (tert-  
 butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
 removal of the protective groups, the following  
 10 compounds of the formula  $\text{Ilb}$  are obtained:

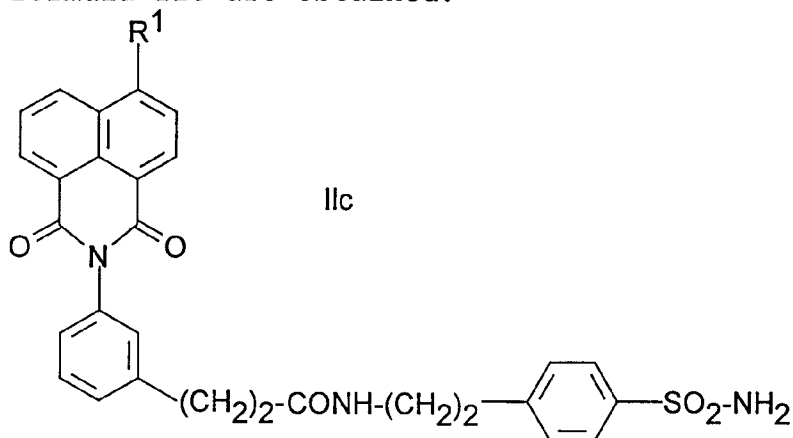
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R <sup>1</sup> in IIb	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>		
	596	597
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	604, 8	605, 3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	562, 7	563, 6
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	632, 8	633, 4
	644, 8	645, 5
	638, 8	639, 5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	576, 7	577, 5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	647, 8	648, 4
	644, 8	645, 7
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	590, 7	591, 7

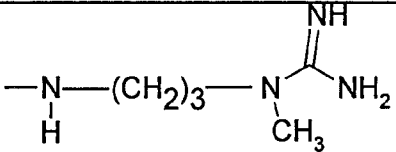
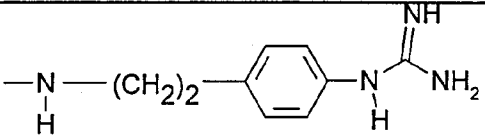
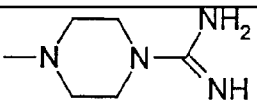
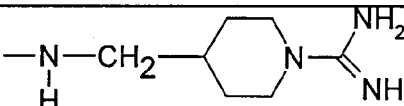
Example 35:

Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N}-\text{C}_6\text{H}_4-(\text{CH}_2)_2-\text{CONH}-(\text{CH}_2)_2-\text{C}_6\text{H}_4-\text{SO}_2-\text{NH}_2$ , the appropriate diamine  
 5 in each case and tert-butyl (tert-butoxycarbonyl-  
 iminopyrazol-1-ylmethyl)carbamate. After removal of the  
 protective groups, the following compounds of the  
 formula IIc are obtained:



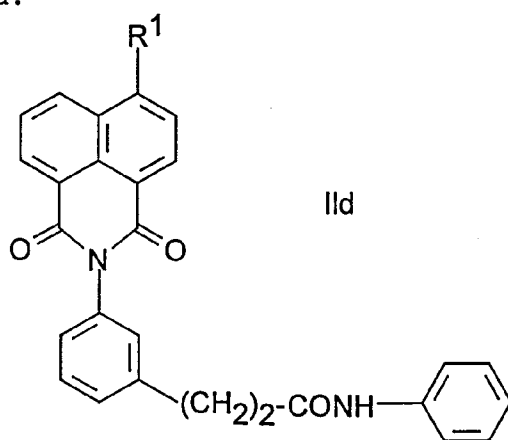
10

R <sup>1</sup> in IIc	MS	
	calculated	found
$-\text{NH}-(\text{CH}_2)_5-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	669,8	670,5
$-\text{NH}-(\text{CH}_2)_2-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	627,7	628,4
$-\text{NH}-(\text{CH}_2)_7-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	697,9	698,5
$-\text{NH}-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	641,8	642,3
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$		

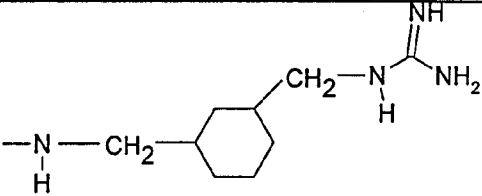
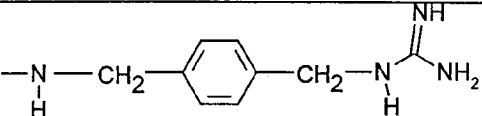
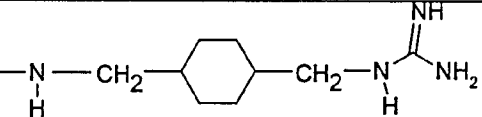
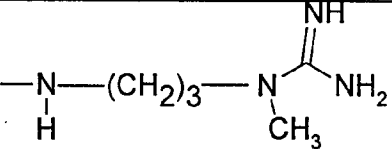
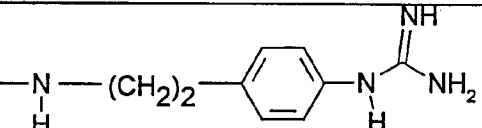
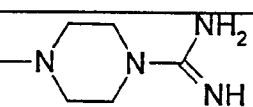
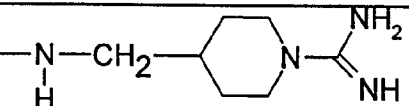
R <sup>1</sup> in Ilc	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	683,8	684,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	655,8	656,4
	655,8	656,4
	703,8	704,0
	653,8	654,5
	681,8	682,5

Example 36:

- 5 Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-C<sub>6</sub>H<sub>5</sub>, the appropriate diamine in each case and tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate. After removal of the protective
- 10 groups, the following compounds of the formula Ild are obtained:

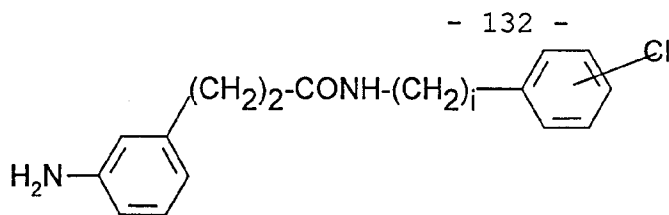


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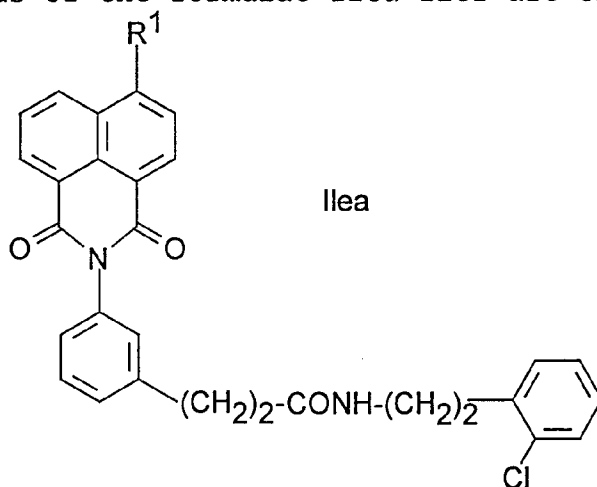
R <sup>1</sup> in IId	MS	
	calculated	found
$-\text{NH}-(\text{CH}_2)_5-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	562,7	563,3
$-\text{NH}-(\text{CH}_2)_2-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	520,6	521,3
$-\text{NH}-(\text{CH}_2)_7-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	590,7	591,4
	602,7	603,4
	596,7	597,3
$-\text{NH}-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	534,6	535,3
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	605,7	606,4
	602,7	603,4
$-\text{NH}-(\text{CH}_2)_6-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	576,7	577,3
$-\text{NH}-(\text{CH}_2)_4-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	548,6	549,3
	548,6	549,5
	596,7	597,0
	546,6	547,7
	574,7	575,5

Example 37:

Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with

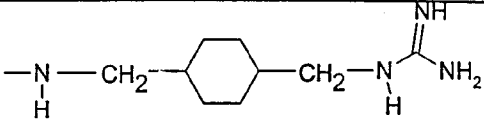
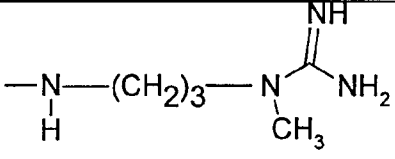
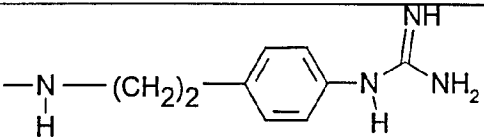
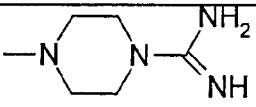
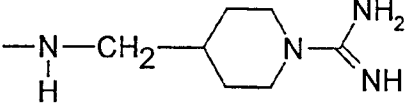


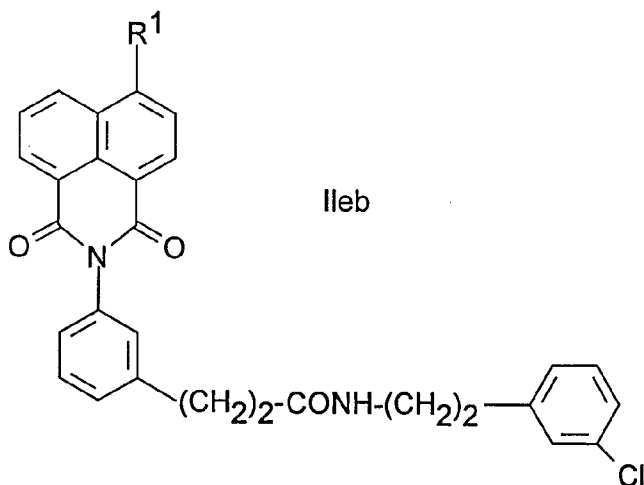
the appropriate diamine and tert-butyl (tert-butoxy-carbonyliminopyrazol-1-ylmethyl)carbamate. After  
 5 removal of the protective groups, the following compounds of the formulae Ilea-Ilef are obtained:



R <sup>1</sup> in Ilea	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	625,2	625,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	583,1	583,2
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	653,2	653,3
	665,2	665,4
	659,2	659,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	597,1	597,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	668,2	668,3

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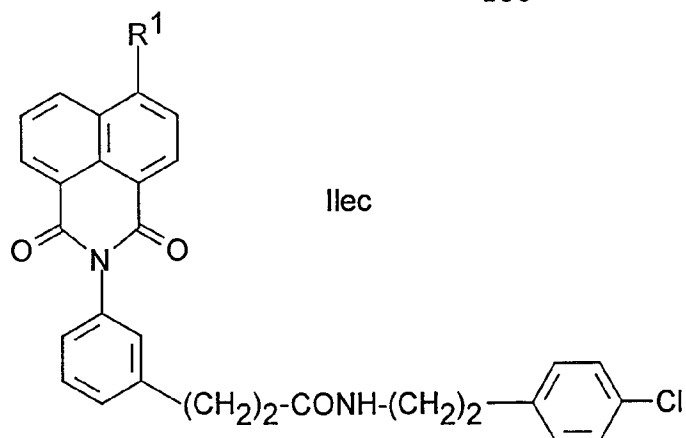
R <sup>1</sup> in Ilea	MS	
	calculated	found
	665,2	665,4
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	639,2	639,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	611,1	611,3
	611,1	611,6
	659,2	659,0
	609,1	609,6
	637,2	637,6



R <sup>1</sup> in Ileb	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	625,2	625,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	583,1	583,3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	653,2	653,4

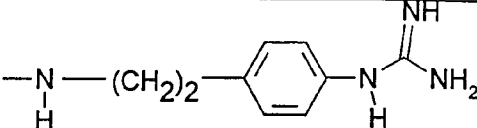
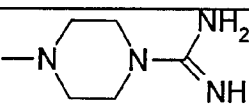
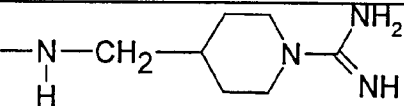
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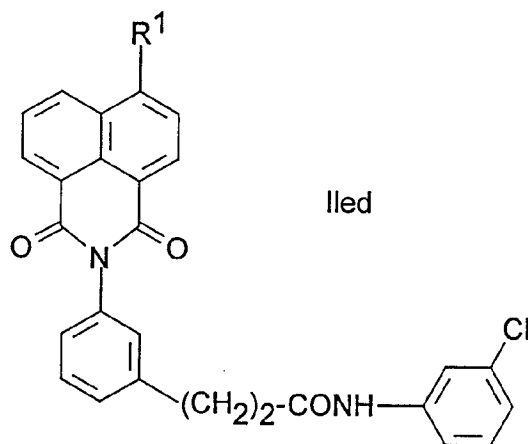
R <sup>1</sup> in Ileb		MS	
		calculated	found
		665,2	665,4
		659,2	659,3
$-\text{NH}-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$		597,1	597,3
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$		668,2	668,3
		665,2	665,3
$-\text{NH}-(\text{CH}_2)_6-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$		639,2	639,4
$-\text{NH}-(\text{CH}_2)_4-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$		611,1	611,3
		611,1	611,6
		659,2	659,2
		609,1	609,6
		637,2	637,6

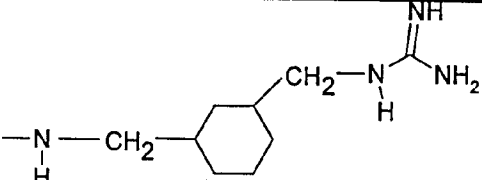
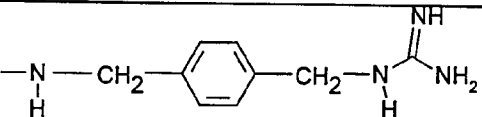


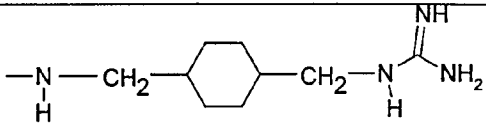
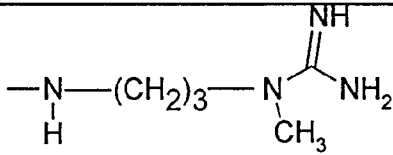
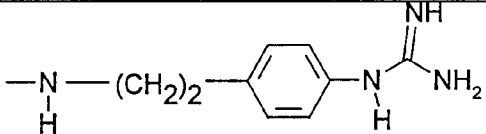
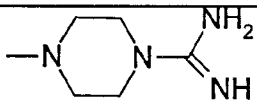
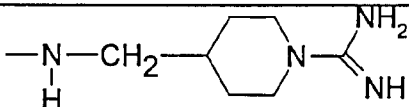
R <sup>+</sup> in Ilec	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	625, 2	625, 4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	583, 1	583, 4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	653, 2	653, 5
	665, 2	665, 4
	659, 2	659, 4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	597, 1	597, 3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	668, 2	668, 4
	665, 2	665, 4
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	639, 2	639, 5
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	611, 1	611, 4
	611, 1	612, 4

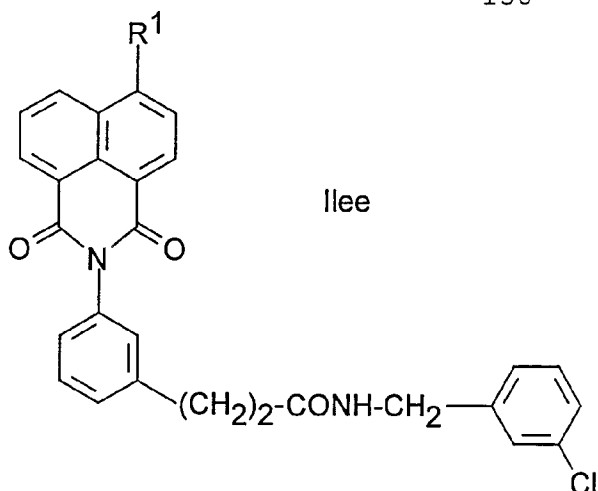
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R <sup>1</sup> in Ilec	MS	
	calculated	found
	659,2	659,2
	609,1	609,5
	637,2	637,5



R <sup>1</sup> in Iled	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	555,0	555,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	625,2	625,3
	637,2	637,4
	631,1	631,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	569,1	569,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	640,2	640,3

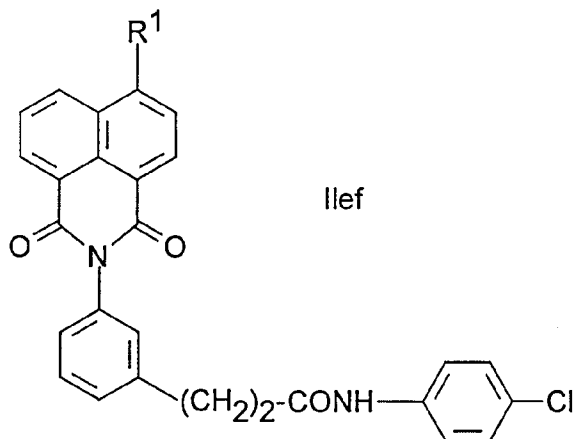
R <sup>1</sup> in Iled	MS	
	calculated	found
	637,2	637,3
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	611,1	611,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	583,1	583,3
	583,1	583,4
	631,1	631,2
	581,1	581,3
	609,1	609,3



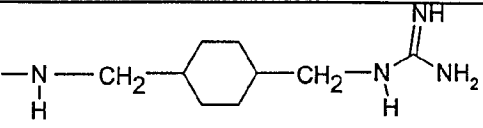
R <sup>1</sup> in Ilee	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	611,1	611,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	569,1	569,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	639,2	639,3
	651,2	651,5
	645,2	645,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	583,1	583,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	654,2	654,2
	651,2	651,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	625,2	625,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	597,1	597,4

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R <sup>1</sup> in Ilee	MS	
	calculated	found

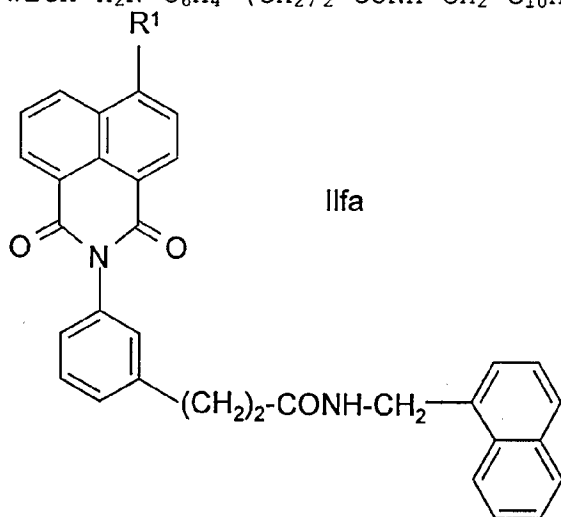


R <sup>1</sup> in Ilef	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	597,1	597,2
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	555,0	555,3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	625,2	625,3
	637,2	637,2
	631,1	631,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	569,1	569,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	640,2	640,2

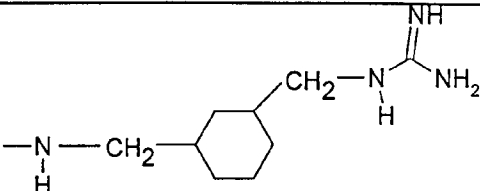
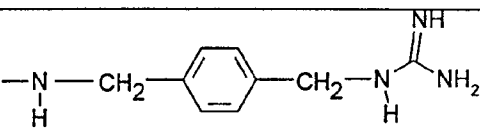
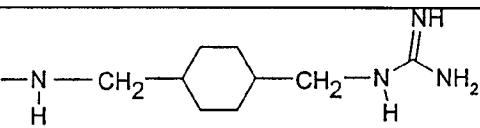
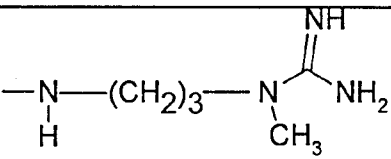
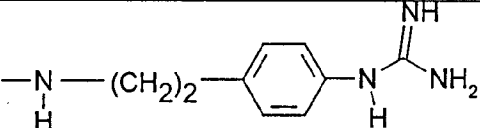
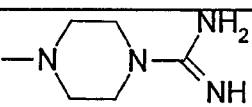
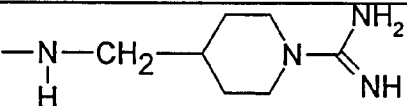
R <sup>1</sup> in Ilef	MS	
	calculated	found
	637,2	637,5
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	611,1	611,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	583,1	583,3

Example 38:

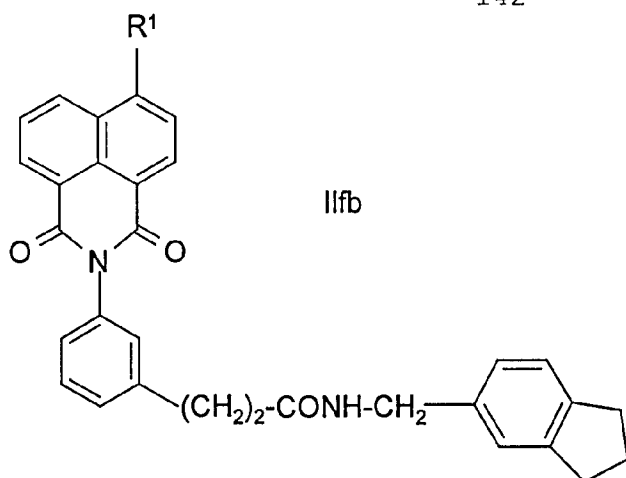
Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-CH<sub>2</sub>-Ar, the corresponding diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)-carbamate. After removal of the protective groups, the following compounds of the formula IIfa are obtained with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-CH<sub>2</sub>-C<sub>10</sub>H<sub>7</sub>:



R <sup>1</sup> in IIfa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	626,8	627,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	584,7	585,3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	654,8	655,4

R <sup>1</sup> in Ilfa	MS	
	calculated	found
	666,8	667,4
	660,8	661,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	598,7	599,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	669,8	670,4
	666,8	667,4
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	640,8	641,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	612,7	613,3
	612,7	613,6
	660,8	661,0
	610,7	611,6
	638,8	639,6

After removal of the protective groups, the following compounds of the formula Ilfb are obtained with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>2</sub>-CONH-CH<sub>2</sub>-C<sub>9</sub>H<sub>9</sub>:



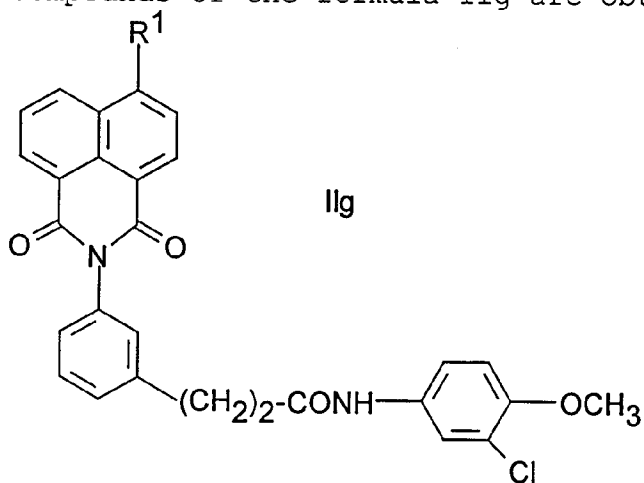
R <sup>1</sup> in IIfb	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	602,7	603,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	560,7	561,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	630,8	631,3
	642,8	643,5
	636,8	637,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	574,7	575,6
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	645,8	646,5
	642,8	643,5
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	616,8	617,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	588,7	589,4

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R <sup>1</sup> in Ilfb	MS	
	calculated	found
	612,7	613,6
	660,8	661,0
	610,7	611,6
	638,8	639,6

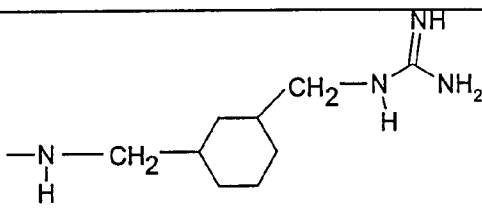
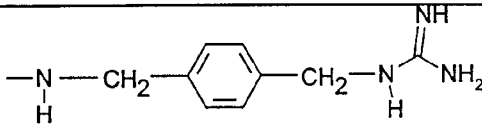
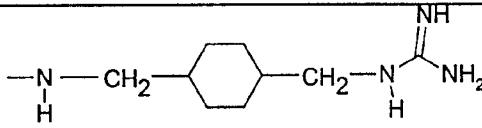
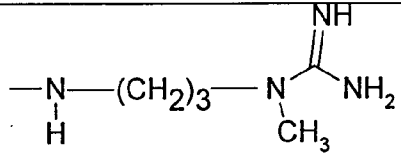
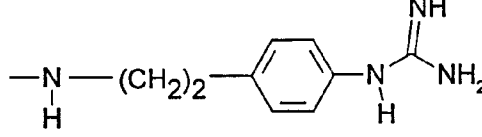
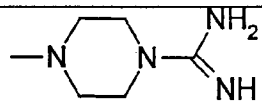
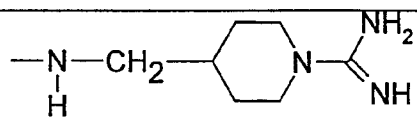
Example 39:

Analogously to Example 32, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 3-(3-aminophenyl)-N-(3-chloro-4-methoxyphenyl)propion-  
 5 amide, the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the formula Ilg are obtained:



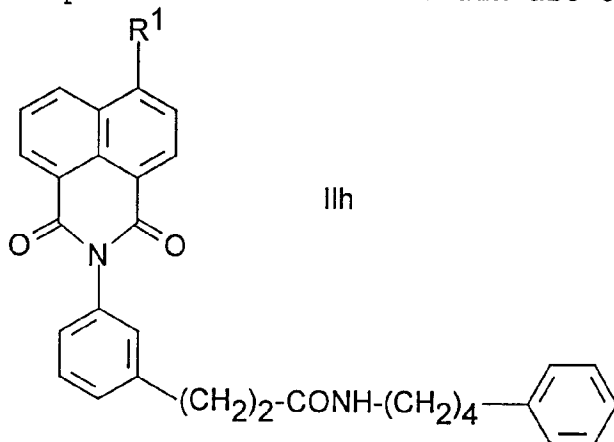
R <sup>1</sup> in Ilg	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	627,1	627,3

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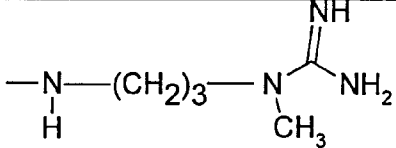
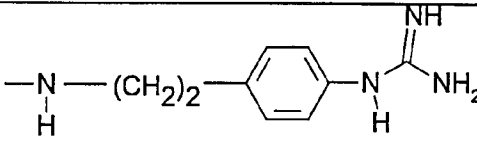
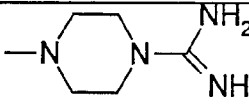
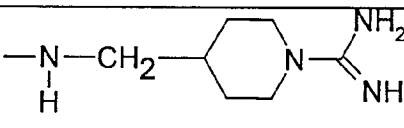
R <sup>1</sup> in Ilg	MS	
	calculated	found
$-\text{NH}-(\text{CH}_2)_2-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	585,1	585,2
$-\text{NH}-(\text{CH}_2)_7-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	655,2	655,3
	667,2	667,3
	661,2	661,2
$-\text{NH}-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	599,1	599,2
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	670,2	670,3
	667,2	667,3
$-\text{NH}-(\text{CH}_2)_6-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	641,2	641,3
$-\text{NH}-(\text{CH}_2)_4-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	613,1	613,3
	613,1	613,5
	661,2	661,2
	611,1	611,4
	639,2	639,4

## Example 40:

Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with 3-(3-aminophenyl)-N-(4-phenylbutyl)propionamide, the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the formula IIh are obtained:

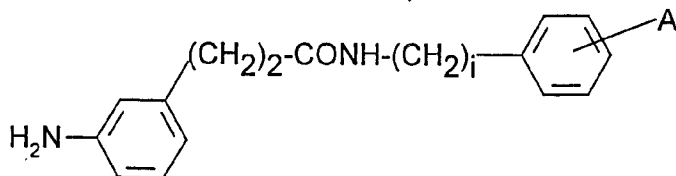


R <sup>1</sup> in IIh	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	618,8	619,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	576,7	577,3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	646,8	647,4
	658,8	659,4
	652,8	653,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	590,7	591,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	661,8	662,4
	658,8	659,5
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	632,8	633,4

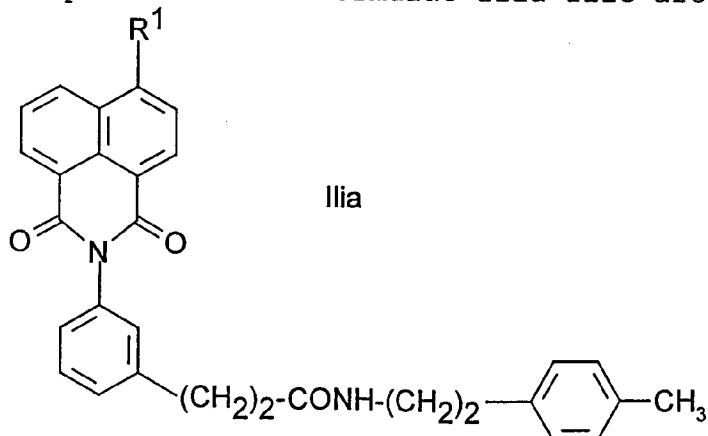
R <sup>1</sup> in I1h	MS calculated	found
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	604,8	605,4
	604,8	605,7
	652,8	653,3
	602,7	603,8
	630,8	631,6

Example 41:

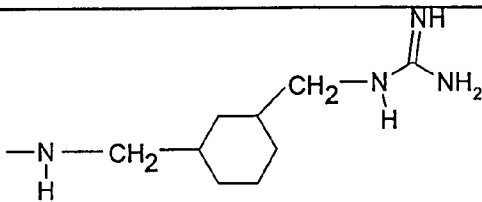
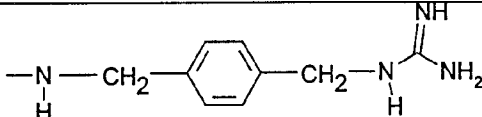
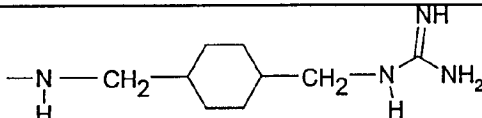
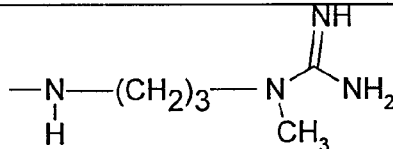
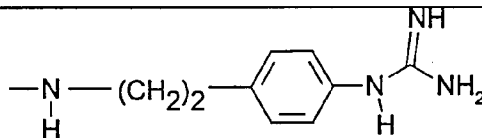
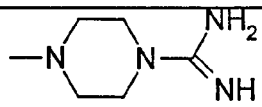
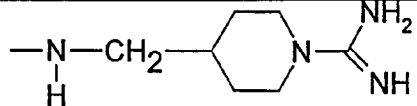
Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with

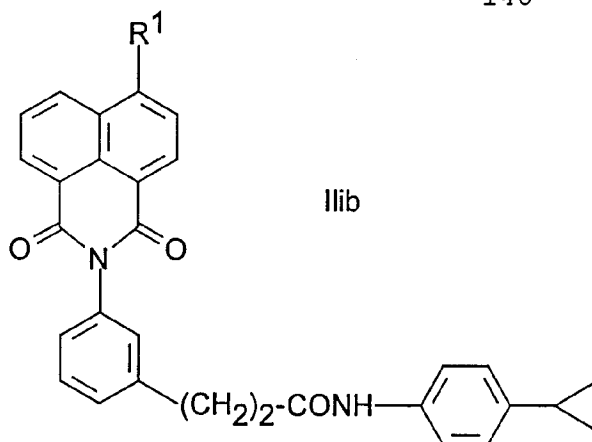


the appropriate diamine and tert-butyl (tert-  
 10 butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
 removal of the protective groups, the following  
 compounds of the formulae I1ia-I1ic are obtained:



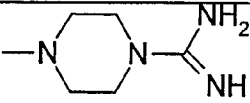
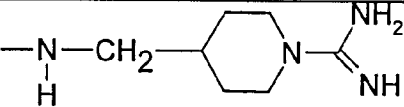
- 147 -

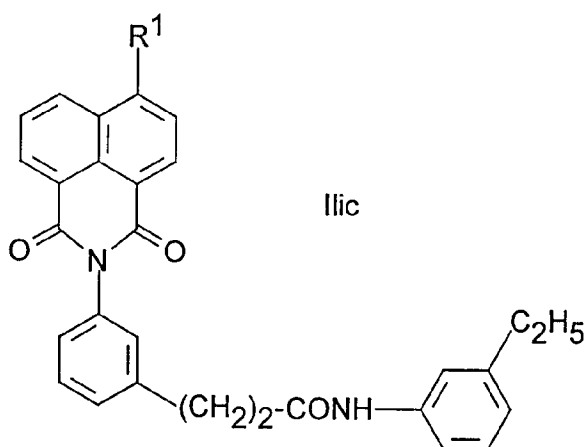
R <sup>1</sup> in Ila	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	604,8	605,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	562,7	563,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	632,8	633,4
	644,8	645,5
	638,8	639,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-C(=NH)-NH <sub>2</sub>	647,8	648,4
	644,8	645,5
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	618,8	619,5
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	590,7	591,4
	590,7	591,6
	638,8	639,3
	588,7	589,8
	616,8	617,7

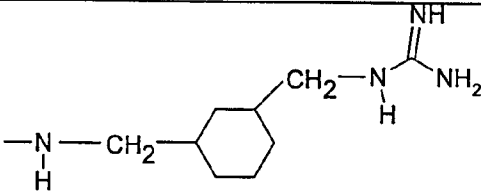
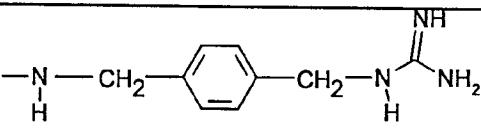


R <sup>1</sup> in IIlib	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	604, 8	605, 4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	562, 7	563, 3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	632, 8	633, 4
	644, 8	645, 6
	638, 8	639, 5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	576, 7	577, 6
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	647, 8	648, 4
	644, 8	645, 7
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	618, 8	619, 4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	590, 7	591, 4
	590, 7	591, 8
	638, 8	639, 3

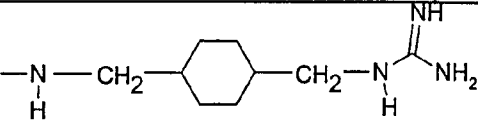
- 149 -

R <sup>1</sup> in Ilib	MS	
	calculated	found
	588,7	589,6
	616,8	617,8



R <sup>1</sup> in Ilic	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	590,7	591,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	548,6	549,6
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	618,8	619,4
	630,8	631,7
	624,7	625,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	562,7	563,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	633,8	634,4

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R <sup>1</sup> in Ilic	MS	
	calculated	found
	630,8	631,8
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	604,8	605,2
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	576,7	577,5

Example 42:

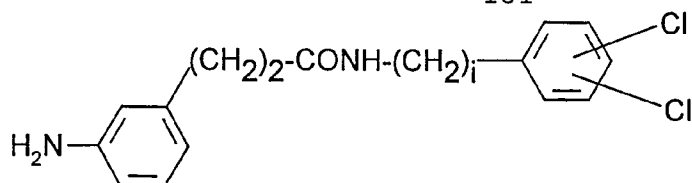
A suspension of 4.1 g of 6-nitrobenzo[de]isochromene-1,3-dione in 100 ml of glacial acetic acid is treated with 4.3 g of 3-(3-aminophenyl)propionic acid and the mixture is heated under reflux. After reaction is complete, the reaction mixture is allowed to cool and is worked up as is customary.

3-[3-(6-Nitro-1,3-dioxo-2,3-dihydro-1H-phenalen-2-yl)phenyl]propionic acid in 80 ml of THF is treated with 1.5 equivalents of oxalyl chloride, the mixture is stirred and 1.5 equivalents of 2-p-tolyethylamine are added. After conversion is complete, the mixture is worked up as is customary. A solution of 3-[3-(6-nitro-1,3-dioxo-2,3-dihydro-1H-phenalen-2-yl)phenyl]-N-(2-p-tolyethyl)propionamide in 80 ml of DMF is treated with one equivalent of propane-1,3-diamine and the mixture is heated under reflux. After customary working up, the amine obtained is heated with 1.5 equivalents of pyrazole-1-carboxamide and diisopropylethylamine in 80 ml of DMF. After reaction is complete and customary working up, 3-{3-[6-(3-guanidinopropylamino)-1,3-dioxo-2,3-dihydro-1H-phenalen-2-yl]phenyl}-N-(2-p-tolylethyl)propionamide is obtained. MS: calculated: 576.7; found: 577.4.

Example 43:

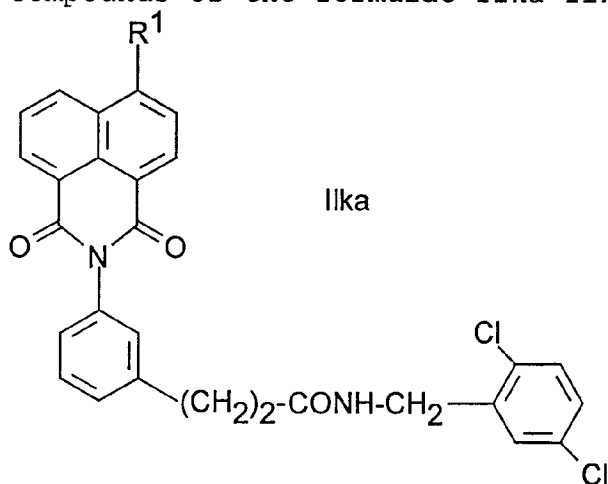
Analogously to Example 32, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with

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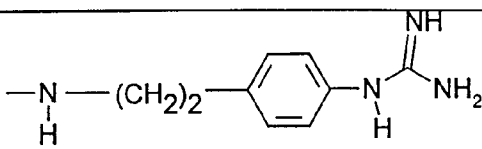
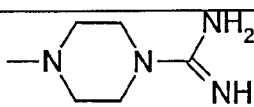
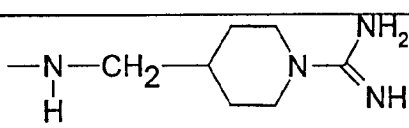


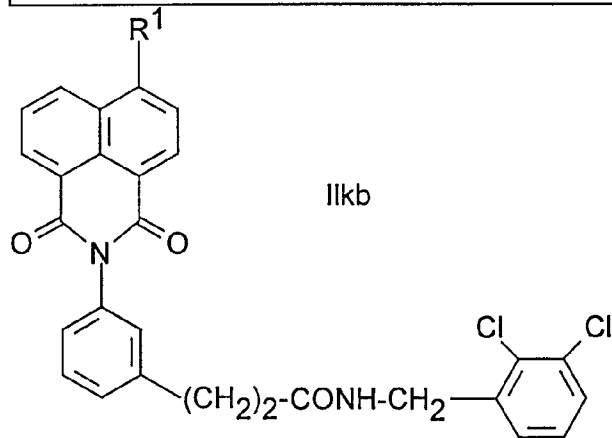
the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following

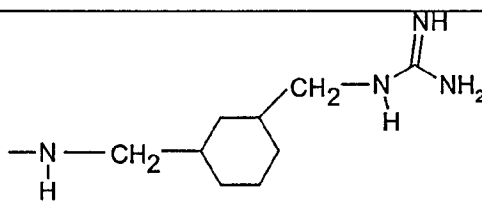
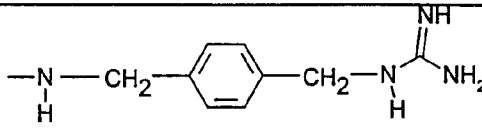
5 compounds of the formulae Ilka-Ilke are obtained:



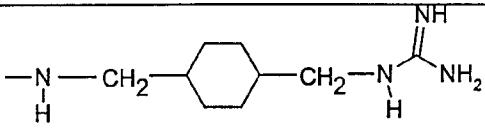
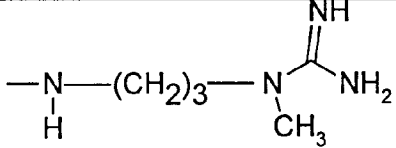
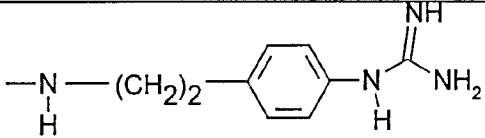
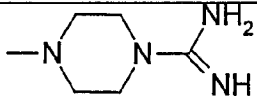
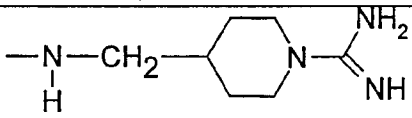
R <sup>1</sup> in Ilka	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	645, 6	645, 4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	603, 5	603, 3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	673, 6	673, 4
	685, 7	685, 4
	679, 6	679, 3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	617, 5	617, 3
	631, 6	631, 4

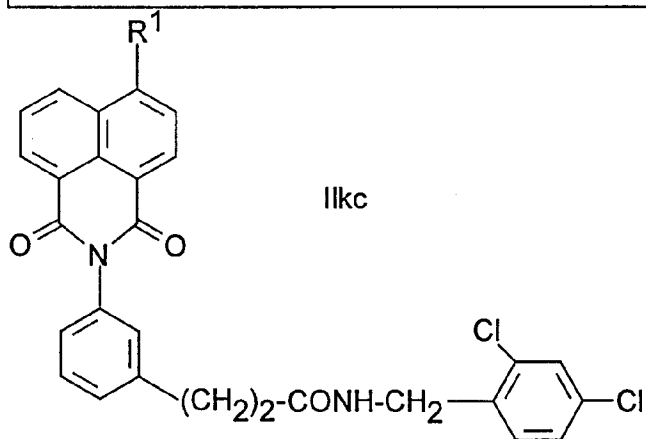
R <sup>1</sup> in Ilka	MS calculated	found
	679,6	681,2
	629,5	630,5
		



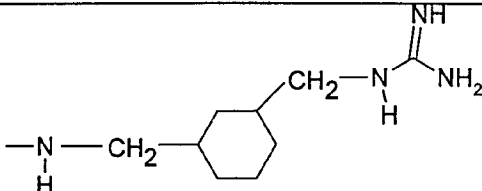
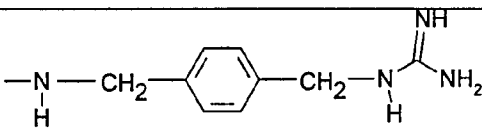
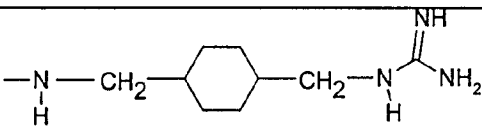
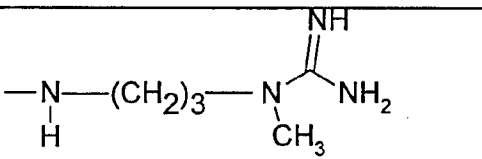
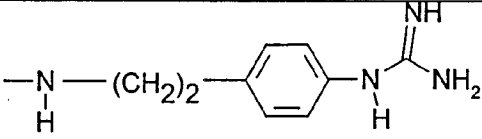
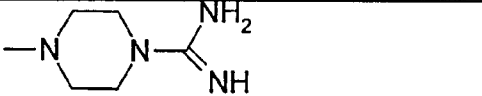
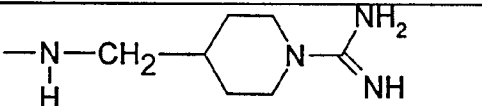
R <sup>1</sup> in Ilkb	MS calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	645,6	645,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	603,5	603,3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	673,6	673,4
	685,7	685,5
	679,6	679,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	617,5	617,5

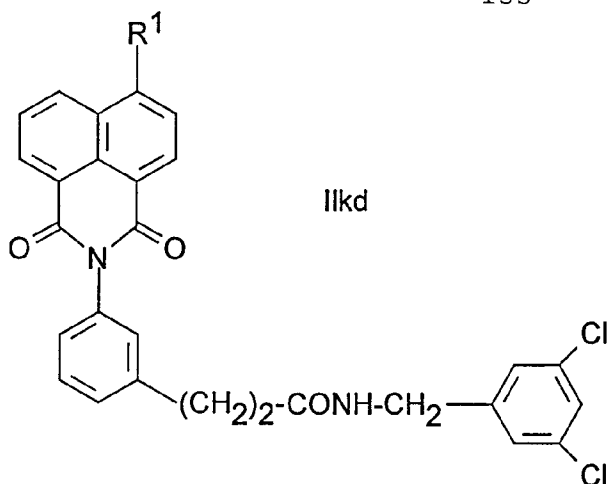
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R <sup>1</sup> in Ilkb	MS	
	calculated	found
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	688,7	688,4
	685,7	687,4
$-\text{NH}-(\text{CH}_2)_6-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	659,6	659,4
$-\text{NH}-(\text{CH}_2)_4-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	631,6	633,3
	631,6	632,4
	679,6	679,1
	629,5	630,4
	657,6	658,5

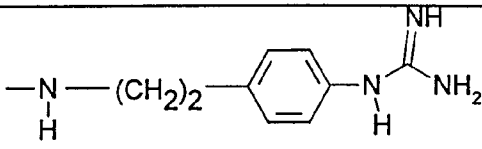
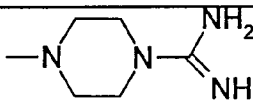
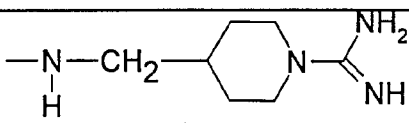


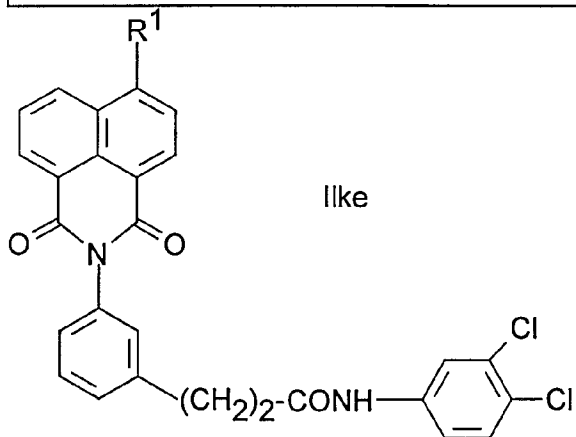
R <sup>1</sup> in Ilkc	MS	
	calculated	found
$-\text{NH}-(\text{CH}_2)_5-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	645,6	645,6
$-\text{NH}-(\text{CH}_2)_2-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	603,5	604,6
$-\text{NH}-(\text{CH}_2)_7-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	673,6	673,4

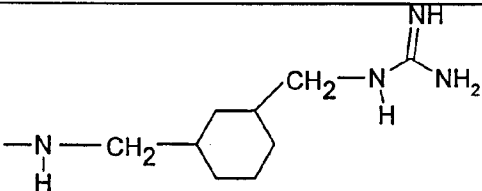
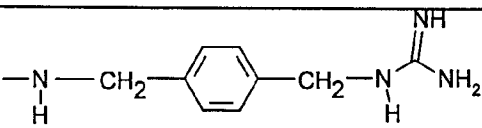
R <sup>1</sup> in Ilkc	MS	
	calculated	found
	685,7	686,6
	679,6	681,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	617,5	618,6
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	688,7	688,5
	685,7	686,5
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	659,6	659,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	631,6	633,3
	631,6	632,5
	679,6	679,1
	629,5	630,4
	657,6	658,6

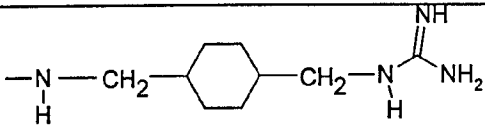


R <sup>1</sup> in IIkd	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	645, 6	645, 3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	603, 5	603, 3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	673, 6	673, 3
	685, 7	685, 5
	679, 6	679, 3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	617, 5	617, 4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	688, 7	688, 3
	685, 7	687, 3
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	659, 6	659, 4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	631, 6	631, 4
	631, 6	631, 4

R <sup>1</sup> in Ilkd	MS	
	calculated	found
	679,6	679,1
	629,5	629,4
	657,6	658,4

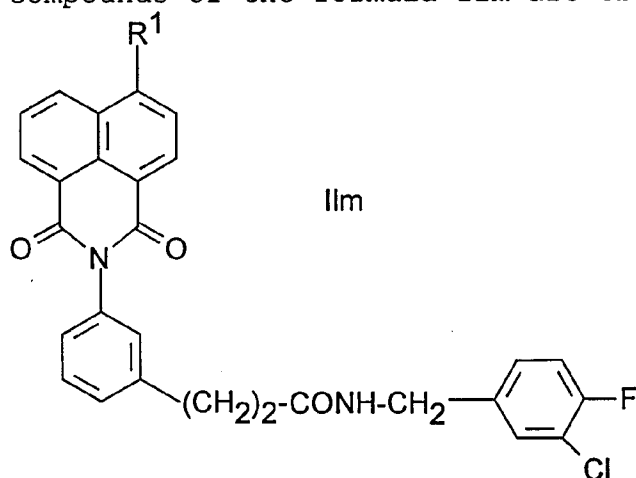


R <sup>1</sup> in Ilke	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	631,6	631,2
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	589,5	589,1
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	659,6	659,2
	671,6	671,2
	665,6	665,1
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	603,5	603,2
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	674,6	674,2

R <sup>1</sup> in Ilke	MS	
	calculated	found
	671,6	671,2
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	645,6	645,2
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	617,5	619,2

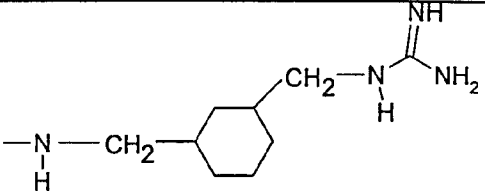
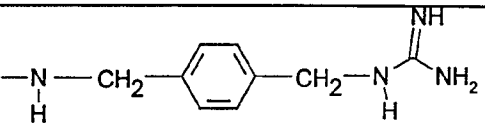
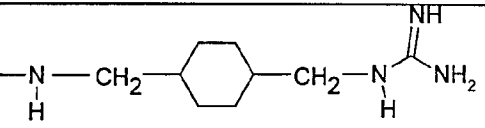
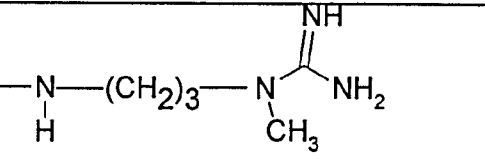
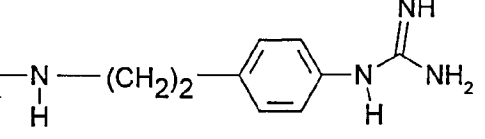
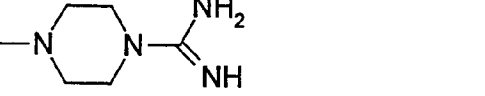
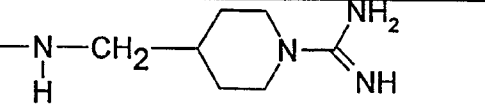
Example 44:

- 5 Analogously to Example 32, 6-nitro-  
benzo[de]isochromene-1,3-dione is reacted with 3-(3-  
aminophenyl)-N-(3-chloro-4-fluorobenzyl)propionamide,  
the appropriate diamine and tert-butyl (tert-  
butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
10 removal of the protective groups, the following  
compounds of the formula Ilm are obtained:



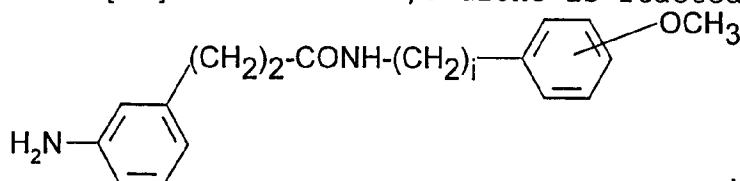
R <sup>1</sup> in Ilm	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	629,1	629,5
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	587,1	587,5
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	657,2	657,3

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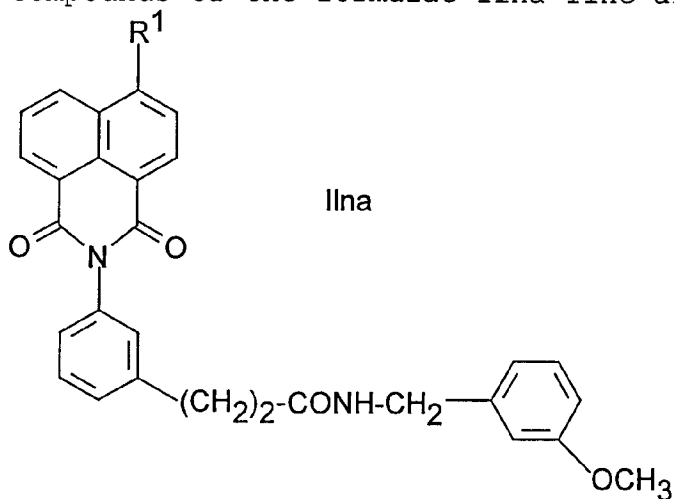
R <sup>1</sup> in 11m	MS calculated	found
	669,2	669,6
	663,2	663,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	601,1	601,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	672,2	672,3
	669,2	669,7
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	643,2	643,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	615,1	615,5
	615,1	615,5
	663,2	663,2
	613,1	613,4
	641,1	641,4

Example 45:

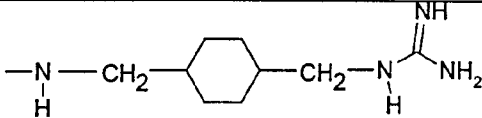
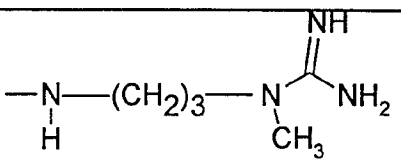
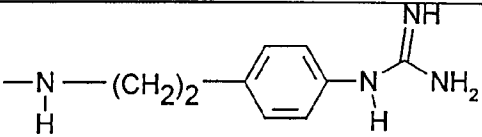
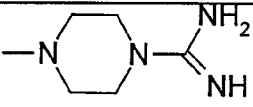
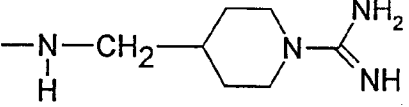
Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with

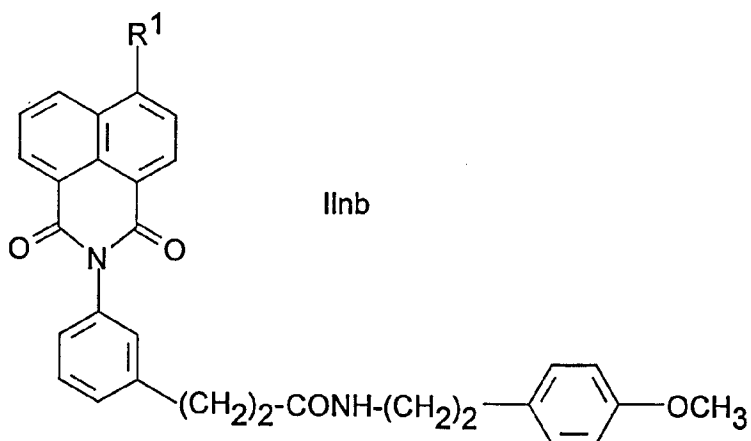


- 5 the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the formulae Ilna-Ilnc are obtained:

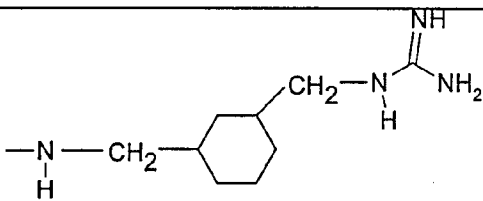
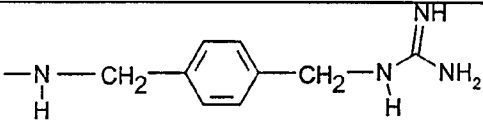
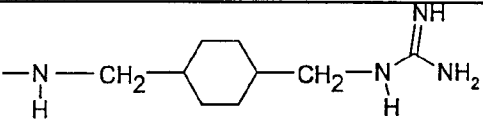
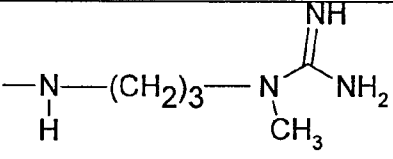
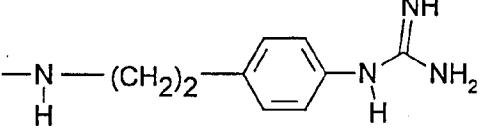
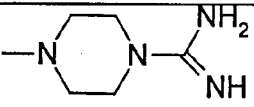
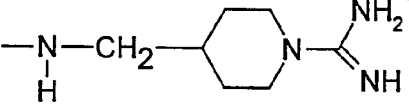


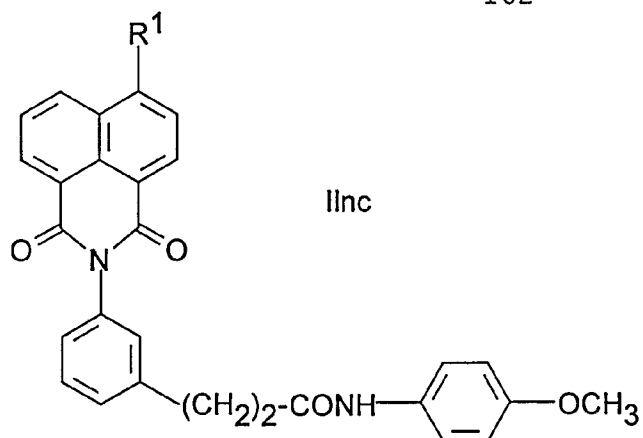
R <sup>1</sup> in Ilna	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	606,7	607,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	564,6	565,6
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	634,8	635,3
	646,8	647,6
	640,7	641,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	578,7	579,6
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	649,8	650,5

R <sup>1</sup> in Ilna	MS calculated	found
	646,8	647,9
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	620,8	621,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	592,7	593,4
	592,7	593,7
	640,7	641,2
	590,7	591,6
	618,7	619,6



R <sup>1</sup> in Ilnb	MS calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	620,8	621,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	578,7	579,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	648,8	649,5

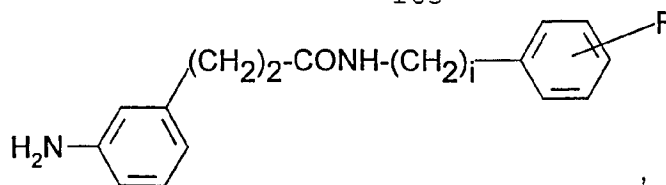
R <sup>1</sup> in Ilnb	MS calculated	found
	660,8	661,5
	654,8	655,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	592,7	593,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	663,8	664,4
	660,8	661,9
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	634,8	635,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	606,7	607,4
		
		
		
		



R <sup>1</sup> in Ilnc	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	592,7	593,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	550,6	551,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	620,8	621,3
	632,8	633,4
	626,7	627,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	564,6	565,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	635,8	636,3
	632,8	633,4
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	606,7	607,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	578,7	579,4

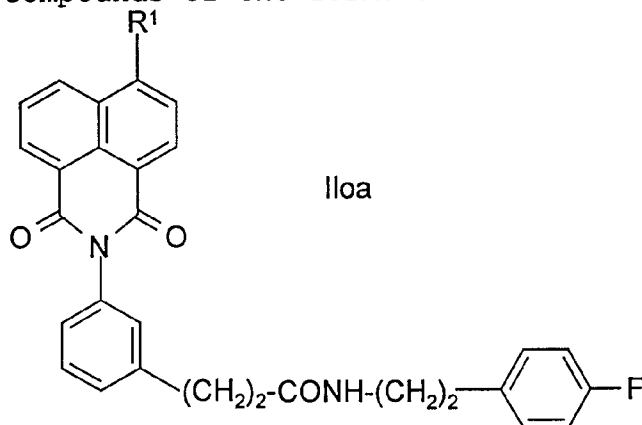
**Example 46:**

Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with



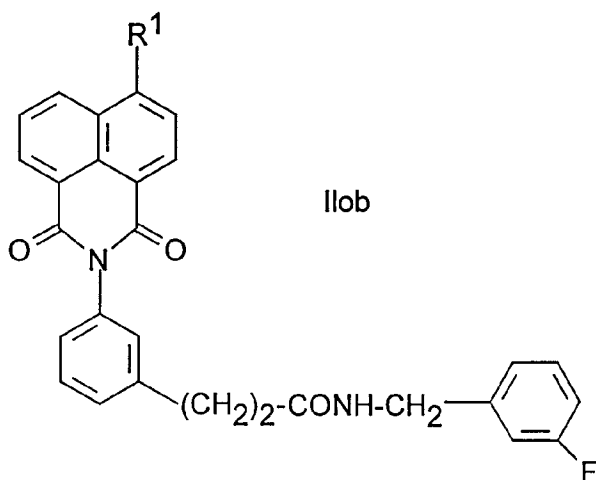
the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following

5 compounds of the formulae Iloa-Iloc are obtained:

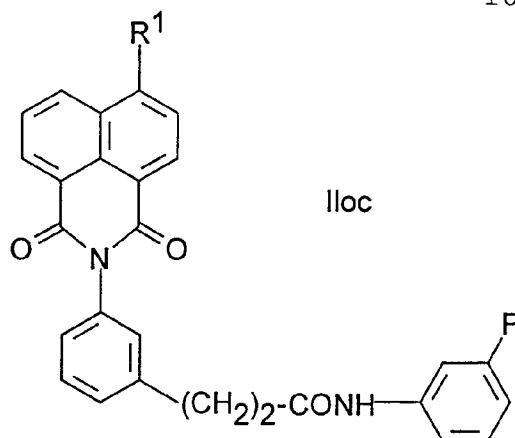


R <sup>1</sup> in Iloa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	608,7	609,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	566,6	567,5
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	636,8	637,3
	648,8	649,5
	642,7	643,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	580,7	581,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	651,8	652,4
	648,8	649,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	622,7	623,3

R <sup>1</sup> in Iloa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	594,7	595,5



R <sup>1</sup> in Ilob	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	594,7	595,5
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	552,6	553,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	622,7	623,2
	634,8	635,5
	628,7	629,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	566,6	567,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	637,8	638,3
	634,8	635,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	608,7	609,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	580,7	581,4

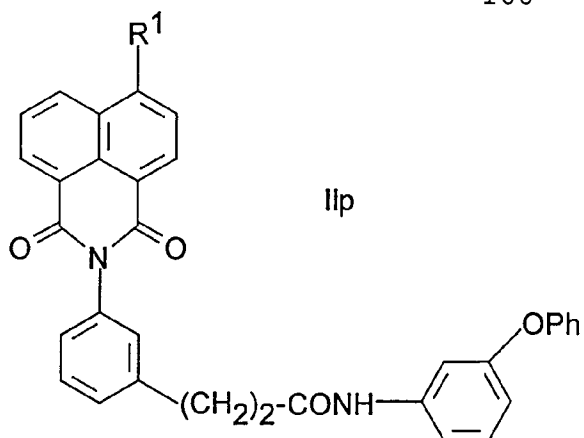


R <sup>1</sup> in Iloc	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	580,7	581,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	538,6	539,3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	608,7	609,3
	620,7	621,4
	614,7	615,2
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	552,6	553,4

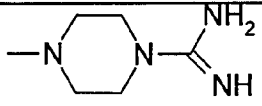
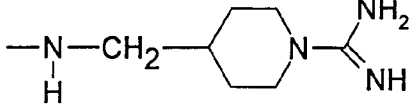
Example 47:

- 5 Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with 3-(3-aminophenyl)-N-(3-phenoxyphenyl)propionamide, the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After
- 10 removal of the protective groups, the following compounds of the formula Ilp are obtained:

- 166 -

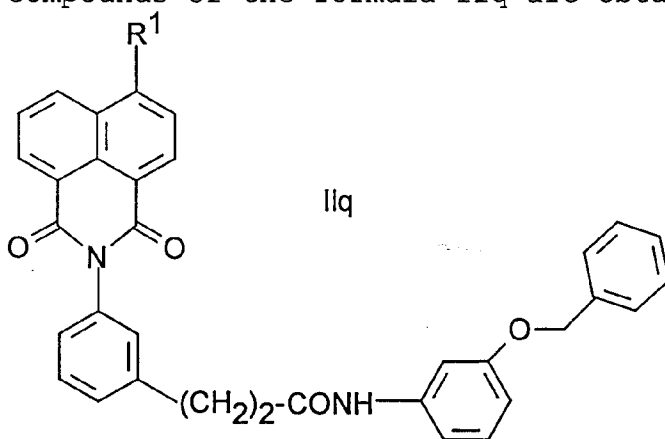


R <sup>1</sup> in Ilp	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	682, 8	683, 3
	694, 8	695, 4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>		
	688, 8	689, 4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	626, 7	627, 4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	697, 8	698, 4
	694, 8	695, 6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	668, 8	669, 3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	640, 7	641, 5
	640, 7	641, 5
	688, 8	689, 2

R <sup>1</sup> in Ilp	MS	
	calculated	found
	638,7	639,5
	666,8	667,5

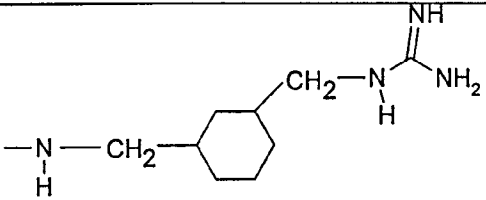
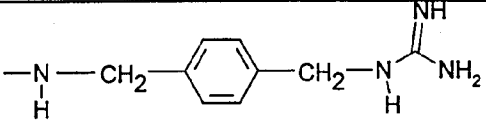
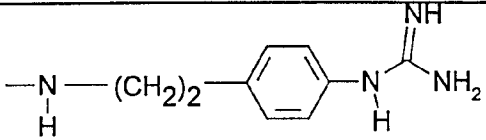
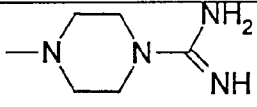
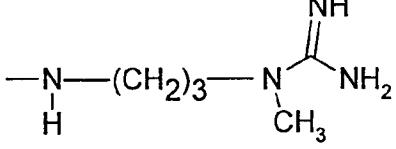
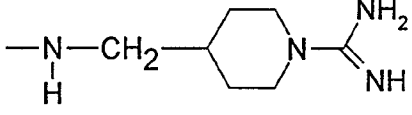
Example 48:

- 5 Analogously to Example 32, 6-nitro-  
benzo[de]isochromene-1,3-dione is reacted with  
3-(3-aminophenyl)-N-(3-benzyloxyphenyl)propionamide,  
the appropriate diamine and tert-butyl (tert-  
butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
10 removal of the protective groups, the following  
compounds of the formula Ilq are obtained:



R <sup>1</sup> in Ilq	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	668,8	669,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	626,7	627,4
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	696,8	697,3

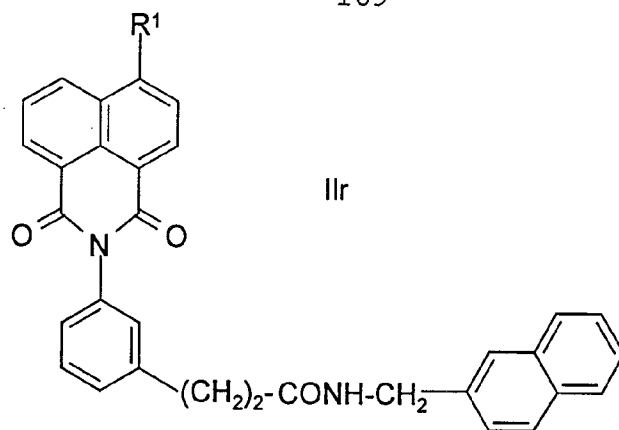
- 168 -

R <sup>1</sup> in Ilq	MS	
	calculated	found
	708,9	709,5
		
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	640,7	641,5
	702,8	703,0
	652,8	653,5
	654,8	655,5
	680,8	681,5

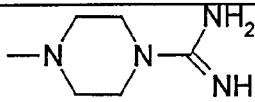
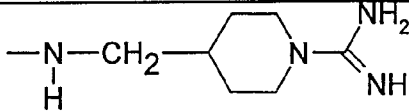
Example 49:

Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with  
 5 3-(3-aminophenyl)-N-naphthalen-2-ylpropionamide,  
 the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the formula Ilr are obtained:

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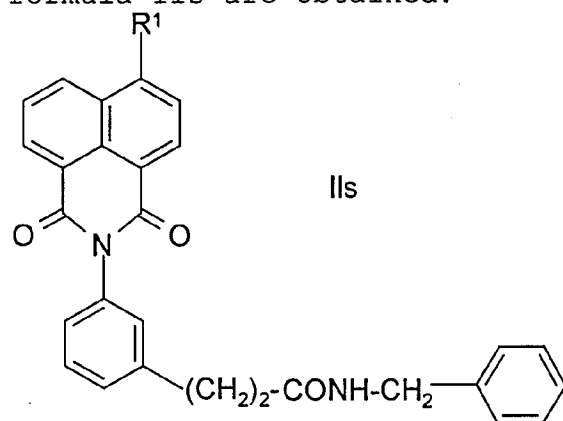


R <sup>1</sup> in IIr
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>

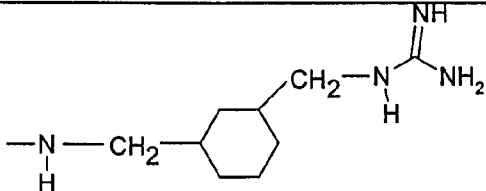
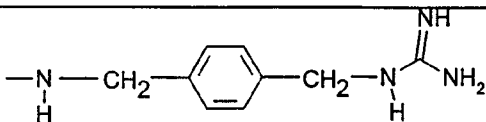
R <sup>1</sup> in IIr



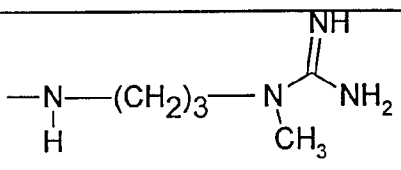
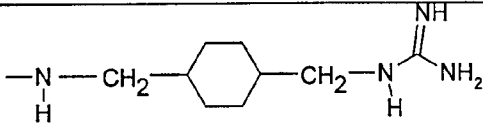
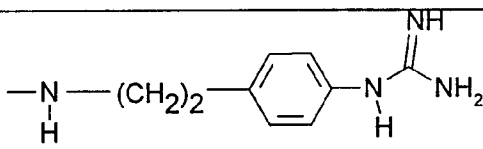
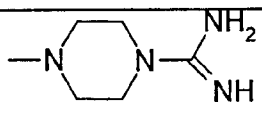
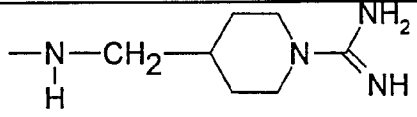
Example 50:

Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with  
 5 3-(3-aminophenyl)-N-benzylpropionamide, the appropriate diamine and tert-butyl (tert-butoxycarbonyl-  
 iminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the  
 formula IIs are obtained:



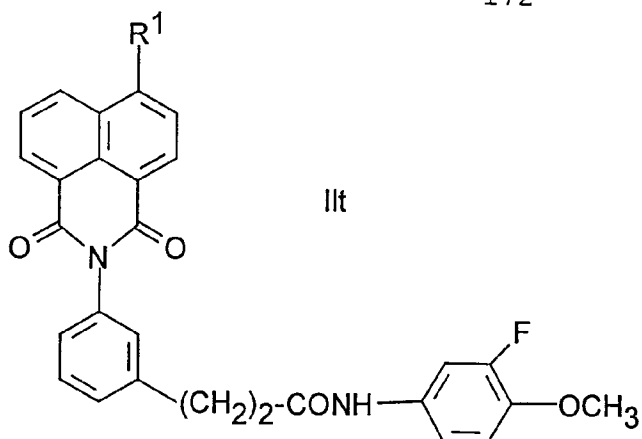
10

R <sup>1</sup> in IIs	MS calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	576,7	577,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	534,6	535,5
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	604,8	605,4
	616,8	617,5
	610,7	611,3

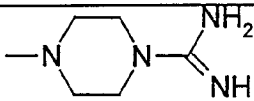
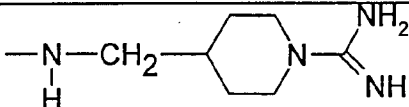
R <sup>1</sup> in IIs	MS	
	calculated	found
$-\text{NH}-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	548,6	549,5
$-\text{NH}-(\text{CH}_2)_3-\text{N}(\text{CH}_3)-(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	619,8	620,3
$-\text{NH}-(\text{CH}_2)_6-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	590,7	591,3
$-\text{NH}-(\text{CH}_2)_4-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$	562,7	563,5
		
	616,8	617,6
		
		
		

Example 51:

- 5 Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with
- 3-(3-aminophenyl)-N-(3-fluoro-4-methoxyphenyl)propion-
- amide, the appropriate diamine and tert-butyl (tert-
- 10 butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After
- removal of the protective groups, the following
- compounds of the formula IIt are obtained:

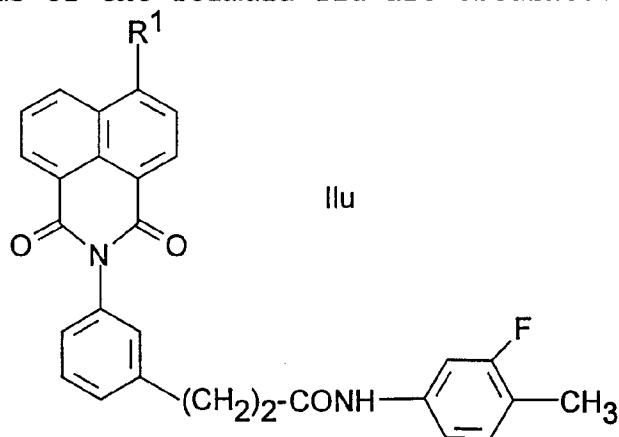


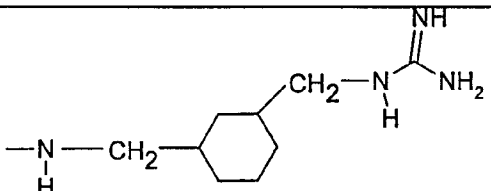
R <sup>1</sup> in IIIa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	568, 6	569, 3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	638, 7	639, 4
	644, 7	645, 3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-C(=NH)-NH <sub>2</sub>	653, 8	654, 3
	650, 8	651, 8
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	624, 7	625, 3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	596, 7	597, 5
	596, 7	597, 7
	644, 7	645, 2

R <sup>1</sup> in Ilt	MS	
	calculated	found
	594,6	595,7
	622,7	623,5

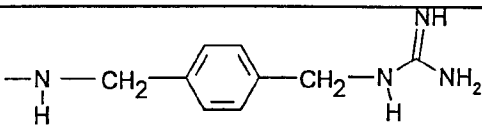
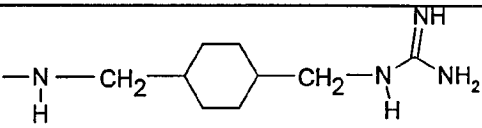
Example 52:

Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with  
 3-(3-aminophenyl)-N-(3-fluoro-4-methylphenyl)propion-  
 amide, the appropriate diamine and tert-butyl (tert-  
 butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
 removal of the protective groups, the following  
 10 compounds of the formula Ilu are obtained:



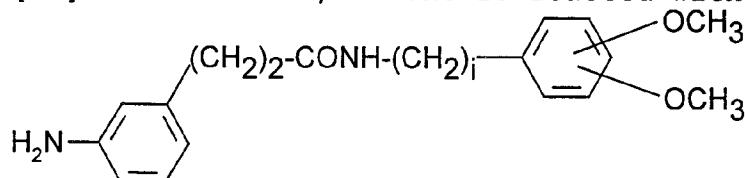
R <sup>1</sup> in Ilu	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	594,7	595,3
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	552,6	553,5
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	622,7	623,4
	634,8	635,5

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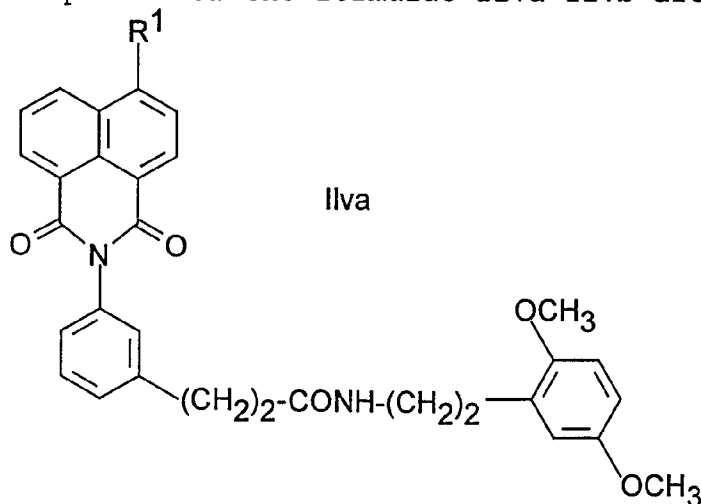
R <sup>1</sup> in Ilu	MS	
	calculated	found
	628,7	629,3
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	566,6	567,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	637,8	638,3
	634,8	635,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	608,7	609,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	580,7	581,4

Example 53:

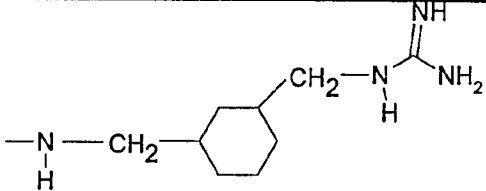
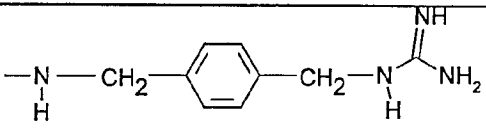
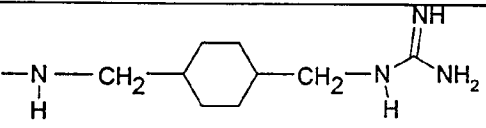
Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with

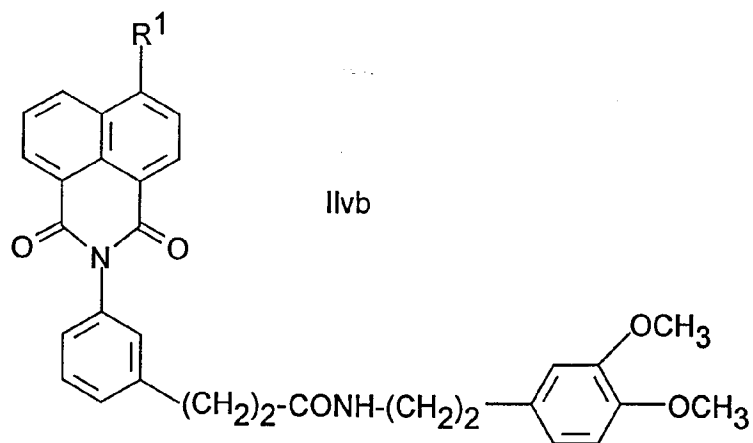


the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
 removal of the protective groups, the following  
 10 compounds of the formulae Ilva-Ilvb are obtained:

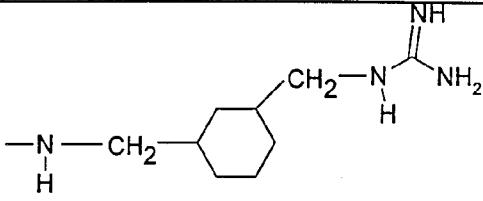
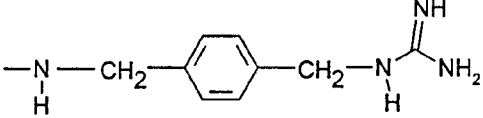
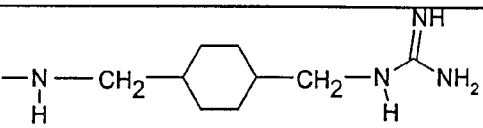


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R <sup>1</sup> in Ilva	MS calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	650,8	651,5
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	608,7	609,5
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	678,8	679,4
	690,8	691,6
	684,8	685,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	622,7	623,5
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	693,8	694,4
	690,8	691,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	664,8	665,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	636,7	637,5

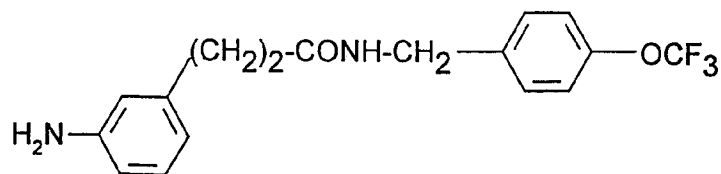


R <sup>1</sup> in Ilvb	MS calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	650,8	651,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	608,7	609,5

R <sup>1</sup> in Ilvb	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	678,8	679,4
	690,8	691,8
	684,8	685,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	622,7	623,4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	693,8	694,4
	690,8	691,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	664,8	665,3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	636,7	637,4

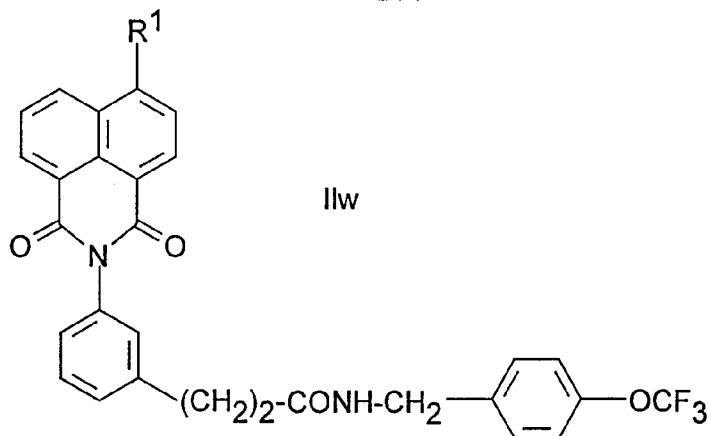
Example 54:

Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with



the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After  
 removal of the protective groups, the following  
 10 compounds of the formulae Ilw are obtained:

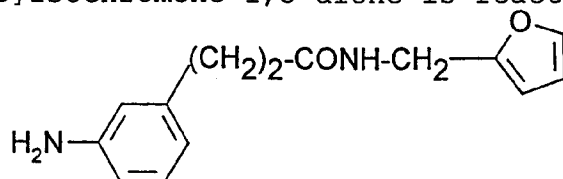
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R <sup>1</sup> in Ilw
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>

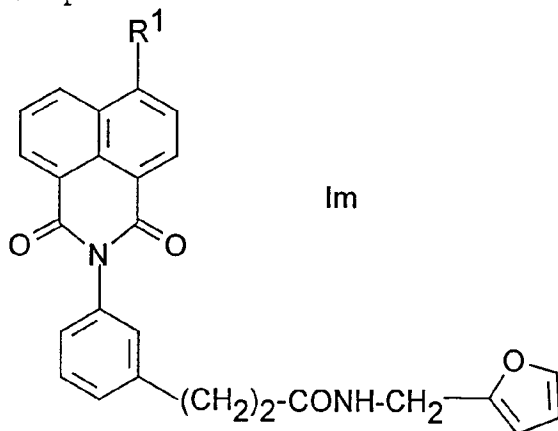
Example 55:

Analogously to Example 32, 6-nitro-  
 5 benzo[de]isochromene-1,3-dione is reacted with



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the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the formulae Im are obtained:

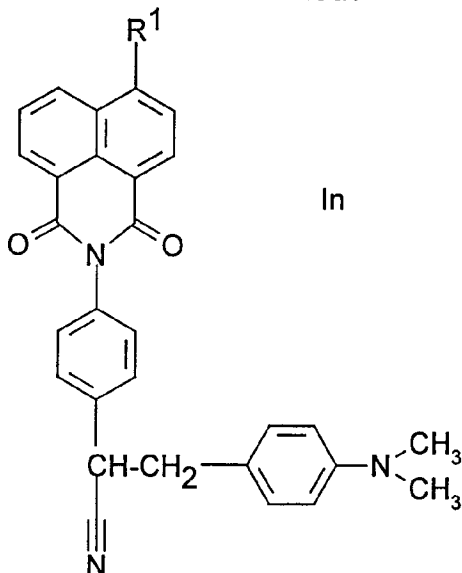


5

R <sup>1</sup> in Im	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>		
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	524, 6	525, 3
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	594, 7	595, 3
	606, 7	607, 3
	600, 7	601, 2
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	538, 6	539, 4
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	609, 7	610, 3
	606, 7	607, 4
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	580, 7	581, 3
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>		

Example 56:

Analogously to Example 2, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with  
 2-(4-aminophenyl)-3-(4-dimethylaminophenyl)propio-  
 5 nitrile and then with R<sup>1</sup>-H. The following compounds of  
 the formula In are obtained:



R <sup>1</sup> in R <sup>1</sup> -H und in In	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>	545	546
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	573	574

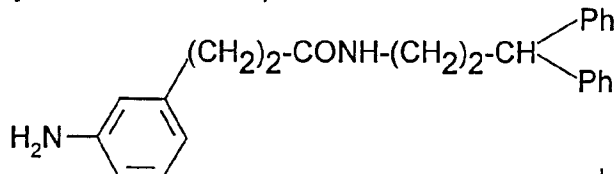
Example 57:

10 10 ml of TFA are added at room temperature to a  
 solution of 2.4 g of tert-butyl [3-(2-{4-[1-cyano-  
 2-(4-dimethylaminophenyl)ethyl]phenyl}-1,3-dioxo-  
 2,3-dihydro-1H-benzo[de]isoquinolin-6-ylamino)propyl]-  
 carbamate in 40 ml of dichloromethane [obtainable by  
 15 reaction of 6-nitrobenzo[de]isochromene-1,3-dione with  
 2-(4-aminophenyl)-3-(4-dimethylaminophenyl)propio-  
 nitrile and H<sub>2</sub>N-(CH<sub>2</sub>)<sub>3</sub>-NHBOC] and the reaction mixture  
 is stirred until removal is complete. After customary  
 working up, 2-{4-[6-(3-aminopropylamino)-1,3-dioxo-

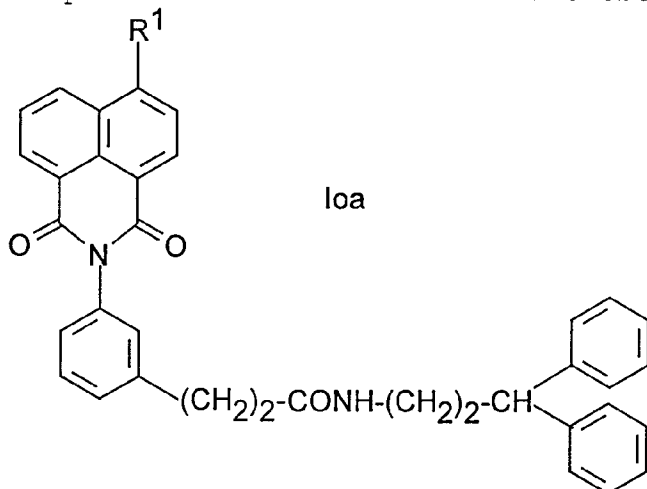
1H, 3H-benzo[de]isoquinolin-2-yl)-3-(4-dimethylamino-phenyl)propionitrile is obtained.

Example 58:

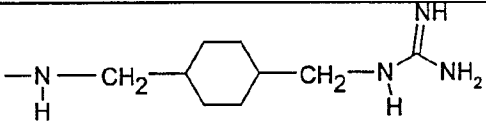
- 5 Analogously to Example 32, 6-nitro-benzo[de]isochromene-1,3-dione is reacted with



- 10 the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrazol-1-ylmethyl)carbamate. After removal of the protective groups, the following compounds of the formula Ioa are obtained:

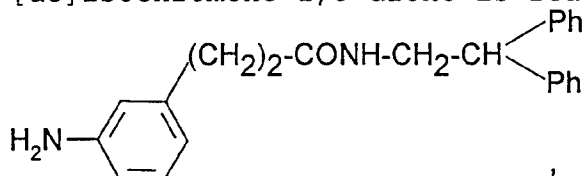


R <sup>1</sup> in Ioa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	680,8	681,4
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	638,8	639,6
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	708,9	709,4
	720,9	721,6
	714,9	715,5

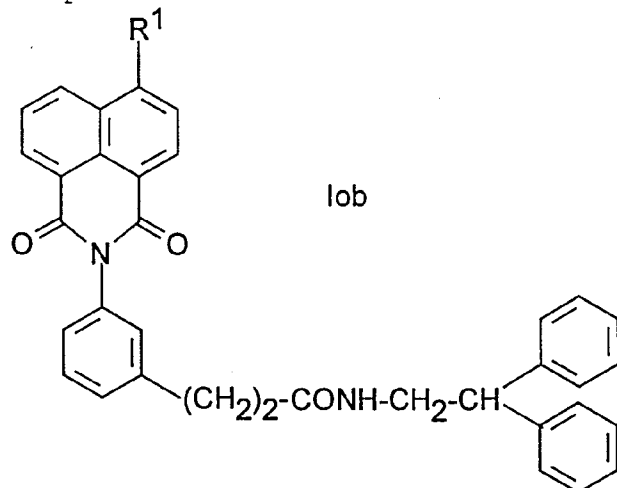
R <sup>1</sup> in Ioa	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	652,8	653,6
-NH-(CH <sub>2</sub> ) <sub>3</sub> -N(CH <sub>3</sub> )-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	723,9	724,4
	720,9	721,6
-NH-(CH <sub>2</sub> ) <sub>6</sub> -NH-C(=NH)-NH <sub>2</sub>	694,9	695,4
-NH-(CH <sub>2</sub> ) <sub>4</sub> -NH-C(=NH)-NH <sub>2</sub>	666,8	667,3

Example 59:

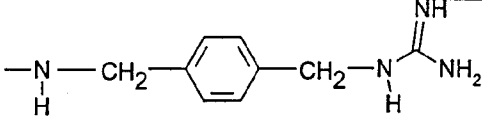
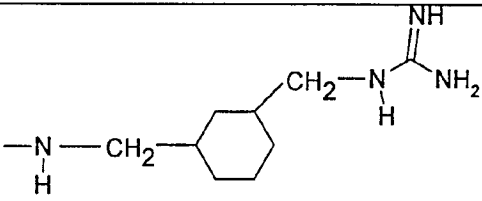
Analogously to Example 32, 6-nitrobenzo-  
 5 [de]isochromene-1,3-dione is reacted with



the appropriate diamine and tert-butyl (tert-butoxycarbonyliminopyrozol-1-ylmethyl)carbamate. After removal of the protective groups, the following  
 10 compounds of the formula Iob are obtained:

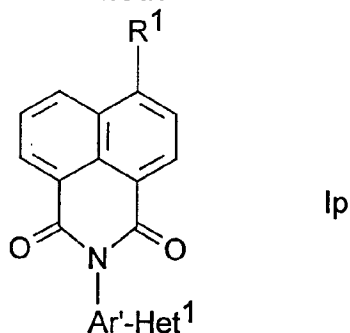


R <sup>1</sup> in Iob	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH-C(=NH)-NH <sub>2</sub>	666,8	667,3

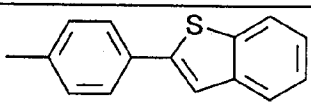
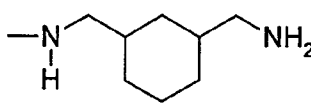
R <sup>1</sup> in Iob	MS	
	calculated	found
-NH-(CH <sub>2</sub> ) <sub>2</sub> -NH-C(=NH)-NH <sub>2</sub>	624,7	625,5
-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH-C(=NH)-NH <sub>2</sub>	694,9	695,4
	700,8	701,4
	706,9	707,6
-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH-C(=NH)-NH <sub>2</sub>	638,8	639,6

Example 60:

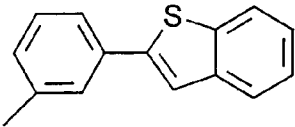
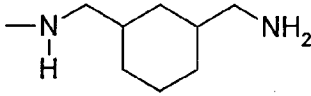
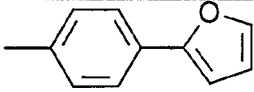
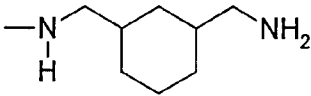
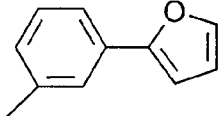
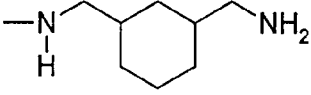
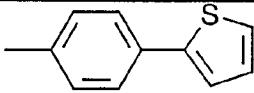
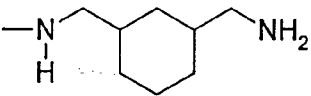
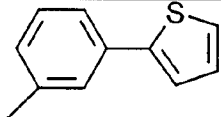
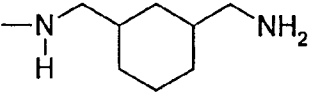
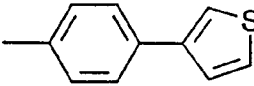
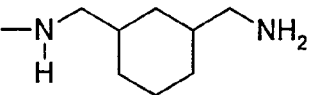
Analogously to Example 11, 6-nitrobenzo[de]iso-  
 5 chromene-1,3-dione is reacted with 4-iodophenylamine or  
 3-iodophenylamine (= I-Ar'-NH<sub>2</sub>), Het<sup>1</sup>-B(OH)<sub>2</sub> and then  
 with R<sup>1</sup>-H. The following compounds of the formula Ip are  
 obtained:

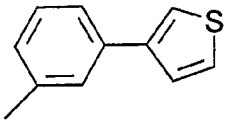
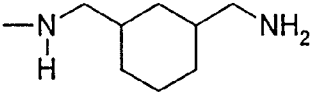
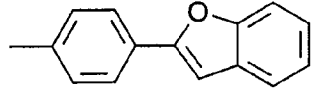
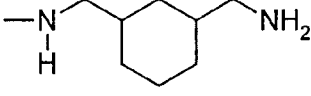
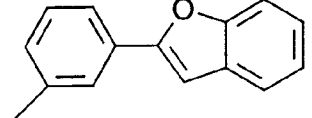
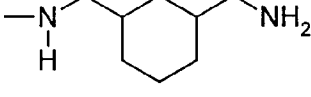
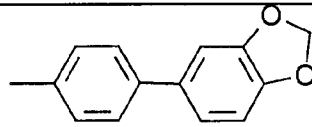
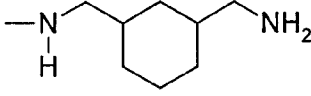
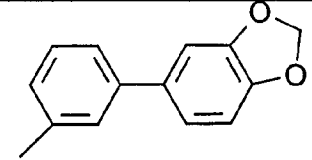
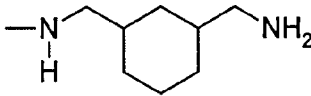


10

-Ar'-Het <sup>1</sup>	R <sup>1</sup> in R <sup>1</sup> -H and Ip
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub>
	-NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub>
	-NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>
	

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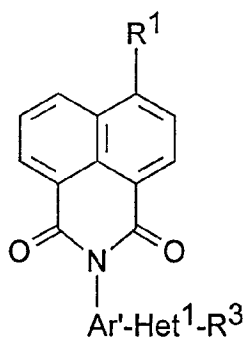
$-\text{Ar}'-\text{Het}^1$	$\text{R}^1$ in $\text{R}^1-\text{H}$ and $\text{Ip}$
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 

$-\text{Ar}'-\text{Het}^1$	$\text{R}^1$ in $\text{R}^1-\text{H}$ and $\text{Ip}$
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 

**Example 61:**

Analogously to Example 11, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with 4-iodophenylamine or  
 5 3-iodophenylamine ( $= \text{I}-\text{Ar}'-\text{NH}_2$ ),  $\text{R}^3-\text{Het}^1-\text{B}(\text{OH})_2$  and then  
 with  $\text{R}^1-\text{H}$ . The following compounds of the formula  $\text{Iq}$  are  
 obtained:

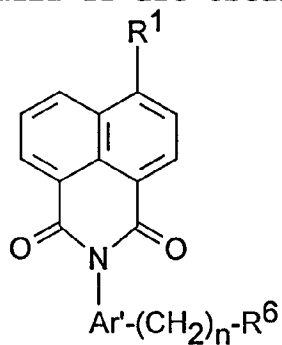
- 185 -

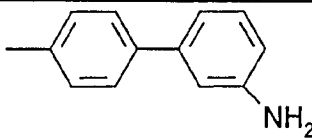
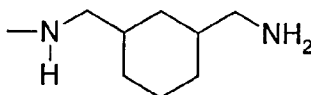
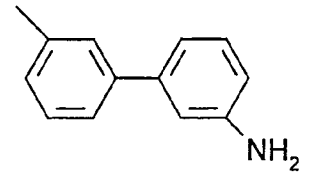
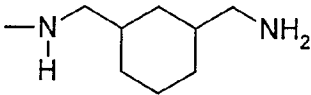
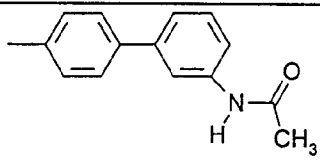
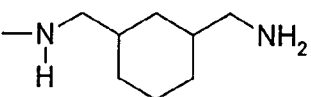
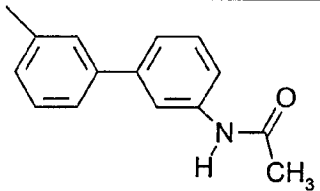
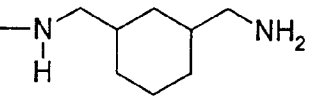


$-\text{Ar}'-\text{Het}^1-\text{R}^3$	$\text{R}^1$ in $\text{R}^1-\text{H}$ and Iq
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$
	$-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$
	$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$
	$-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$
	$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$

Example 62:

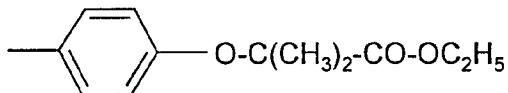
Analogously to Example 11, 6-nitrobenzo[de]iso-  
 5 chromene-1,3-dione is reacted with 4-iodophenylamine or  
 3-iodophenylamine ( $= \text{I}-\text{Ph}-\text{NH}_2$ ),  $\text{R}^6-(\text{CH}_2)_n-\text{Ph}-\text{B}-(\text{OH})_2$  and  
 then with  $\text{R}^1-\text{H}$  ( $\text{Ph}-\text{Ph} = \text{Ar}'$ ). The following compounds of  
 the formula Ir are obtained:



$-\text{Ar}'-(\text{CH}_2)_n-\text{R}^6$	$\text{R}^1$ in $\text{R}^1-\text{H}$ and $\text{Ir}$
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 

Example 63:

Analogously to Example 11, 6-nitro-2-(4-iodophenyl)benzo-[de]isoquinoline-1,3-dione is reacted with  $R^{10}$ -B-(OH)<sub>2</sub>, wherein  $R^{10}$  is



and Propan-1,3-diamine. 2-{4'-[6-(3-Amino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-biphenyl-4-yloxy}-2-methyl-propionic acid ethyl ester is obtained.

10 Example 64:

Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 5-methoxy-pyrimidine-2-sulfonic acid (4-amino-phenyl)-amide and Propan-1,3-diamine. 5-Methoxy-pyrimidine-2-sulfonic

15 acid {4-[6-(3-amino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-amide is obtained.

Example 65:

Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 1-(6-amino-2,3-dihydro-indol-1-yl)-ethanone and propan-1,3-diamine. 2-(1-Acetyl-2,3-dihydro-1H-indol-6-yl)-6-(3-amino-propylamino)-benzo[de]isoquinoline-1,3-dione is obtained.

25

Example 66:

Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 4-(pyrrolidine-1-sulfonyl)-phenylamine and propan-1,3-diamine. 6-(3-Amino-propylamino)-2-[4-(pyrrolidine-1-sulfonyl)-phenyl]-benzo[de]isoquinoline-1,3-dione is obtained.

30

Example 67:

35 Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 4-cyclohexyl-phenylamine and Propan-1,3-diamine. 6-(3-Amino-

propylamino)-2-(4-cyclohexyl-phenyl)-benzo[de]isoquinoline-1,3-dione is obtained.

Example 68:

5 Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 3-(3-amino-phenyl)-N-(2-phenyl-propyl)-propionamide and 3-aminomethyl-benzylamine. 3-{3-[6-(3-Aminomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(2-phenyl-propyl)-propionamide is  
10 obtained.

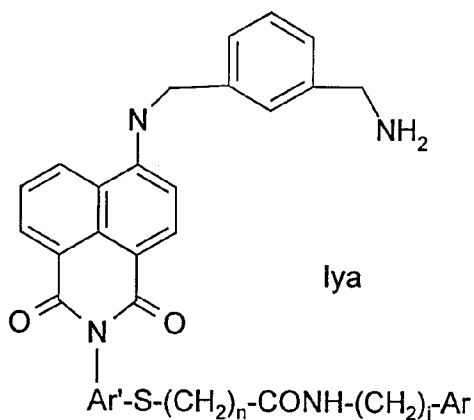
Analogously, by reaction of 6-chlorobenzo-[de]isochromene-1,3-dione with 3-(3-Amino-phenyl)-N-(1-phenyl-ethyl)-propionamide and 3-aminomethyl-benzylamine, 3-{3-[6-(3-aminomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(1-phenyl-ethyl)-propionamide is obtained.

20 Example 69:

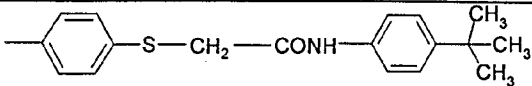
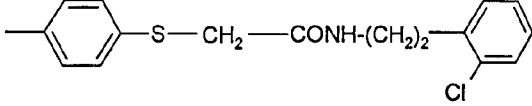
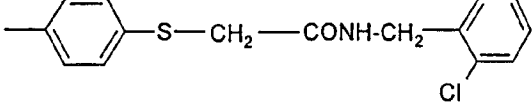
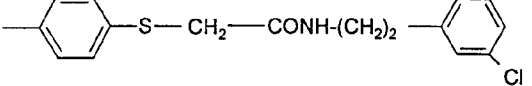
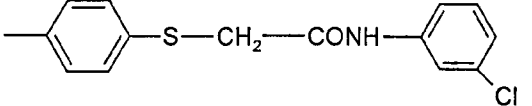
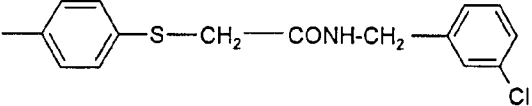
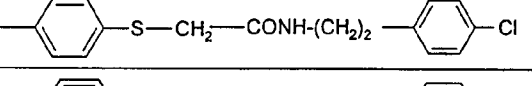
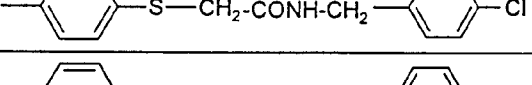
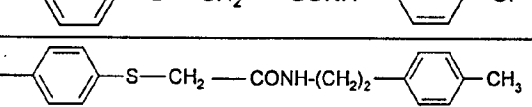
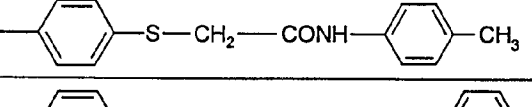
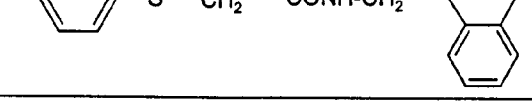
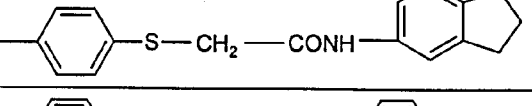
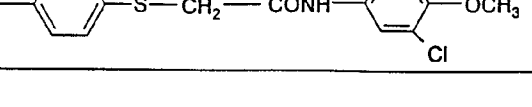
Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with 3-(3-amino-phenyl)-1-(3,4-dihydro-2H-quinolin-1-yl)-propan-1-one and 3-aminomethyl-cyclohexylamine. 6-[(3-Aminomethyl-cyclohexylmethyl)-amino]-2-{3-[3-(3,4-dihydro-2H-quinolin-1-yl)-3-oxo-propyl]-phenyl}-benzo[de]isoquinoline-1,3-dione is obtained.

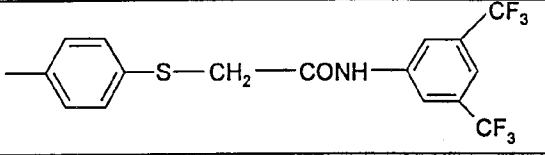
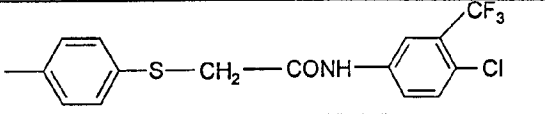
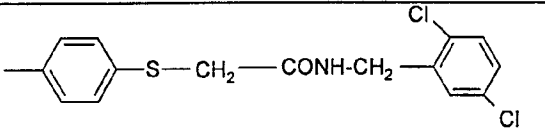
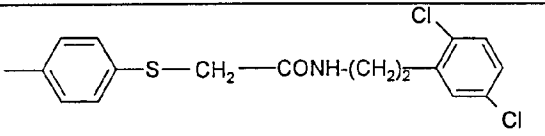
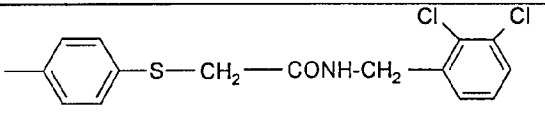
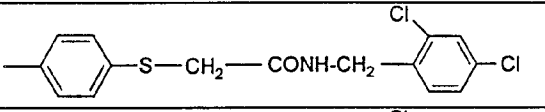
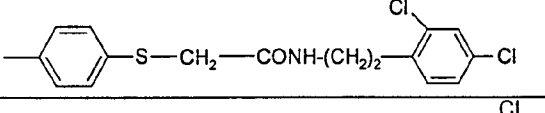
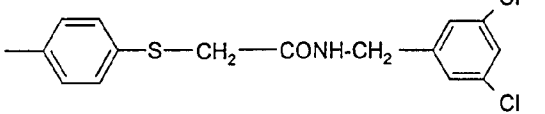
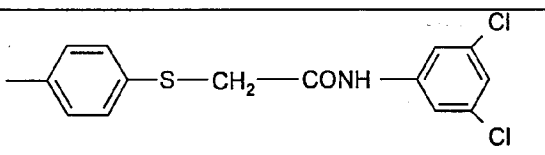
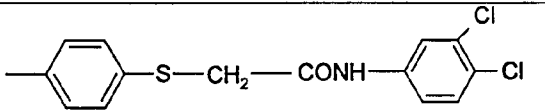
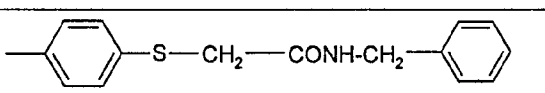
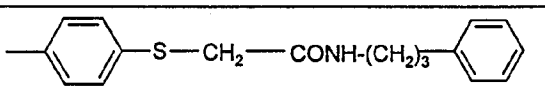
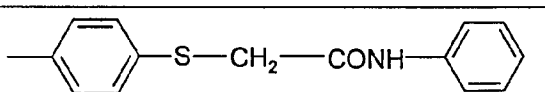
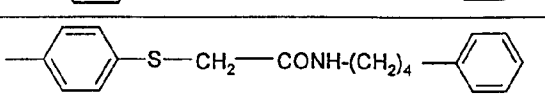
Example 70:

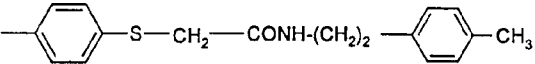
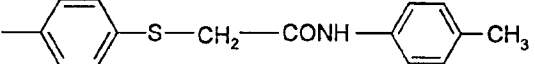
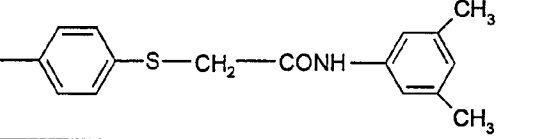
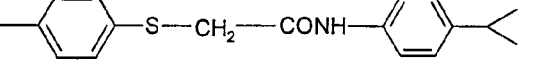
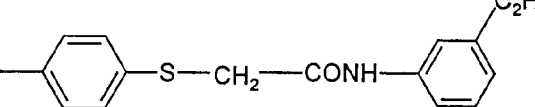
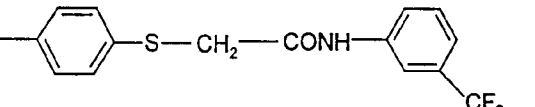
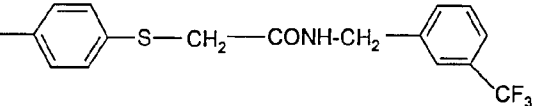
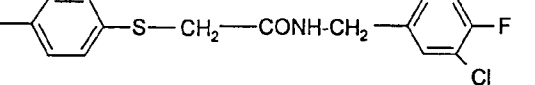
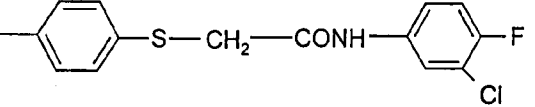
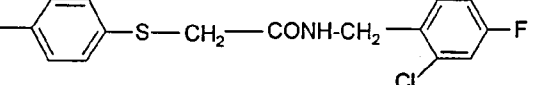
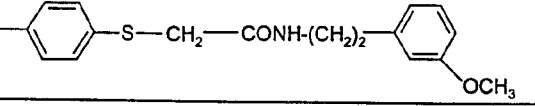
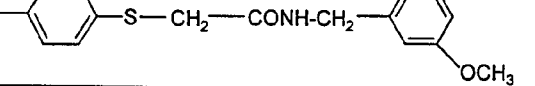
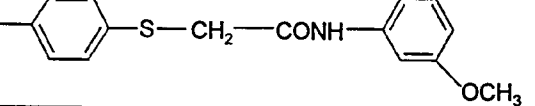
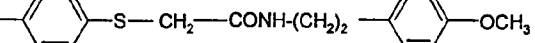
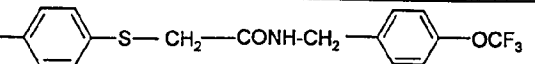
30 Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with  $H_2N-Ar'-S-(CH_2)_n-CONH-(CH_2)_i-Ar$  and 3-aminomethyl-benzylamine. The following compounds of the formula Iya are obtained:

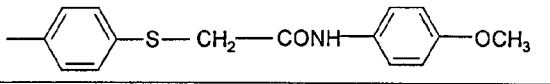
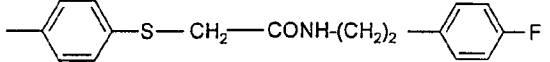
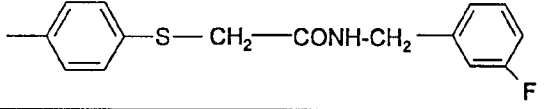
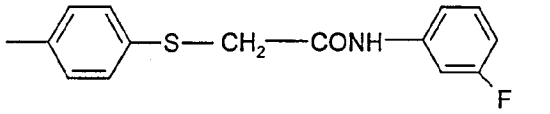
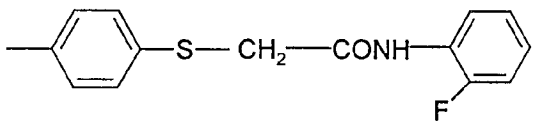
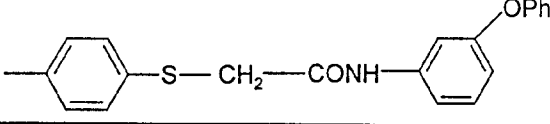
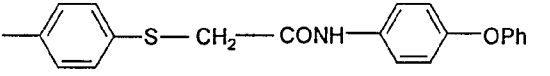
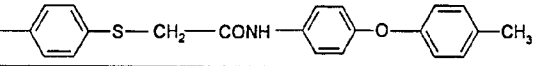
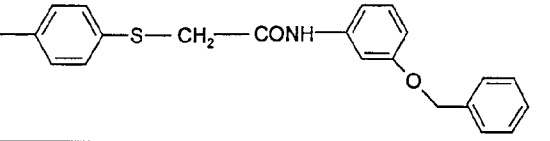
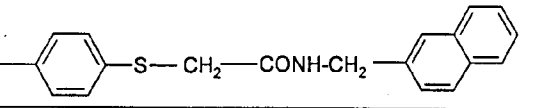
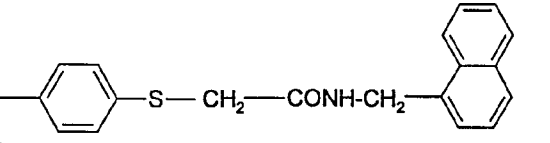
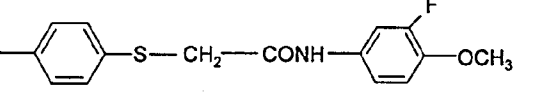
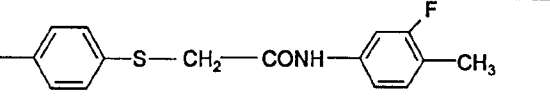
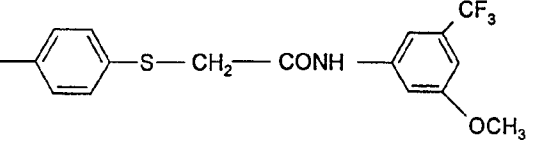


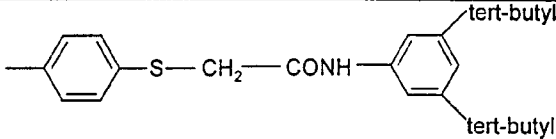
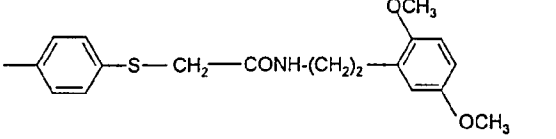
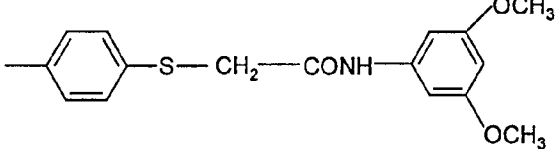
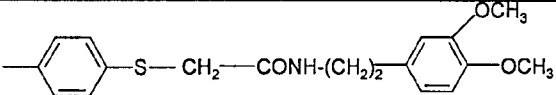
$\text{Ar}'\text{-S-(CH}_2\text{)}_n\text{-CONH-(CH}_2\text{)}_i\text{-Ar}$ in Iya	

$\text{Ar}'-\text{S}-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in Iya	
	
	
	
	
	
	
	
	
	
	
	
	
	

Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in Iya	
	
	
	
	
	
	
	
	
	
	
	
	
	
	

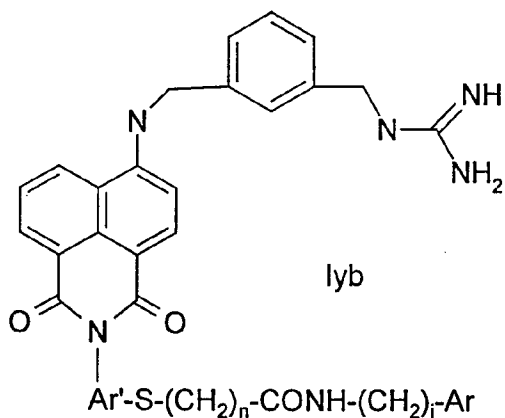
$\text{Ar}'-\text{S}-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in Iya	
	
	
	
	
	
	
	
	
	
	
	
	
	
	
	

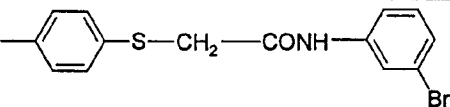
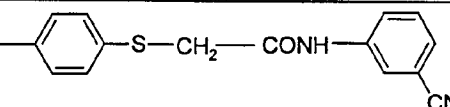
Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in Iya	
	
	
	
	
	
	
	
	
	
	
	
	
	
	

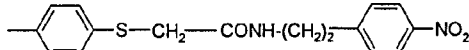
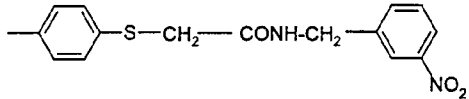
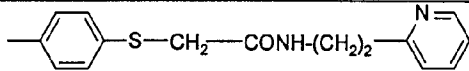
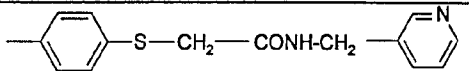
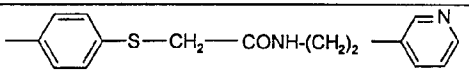
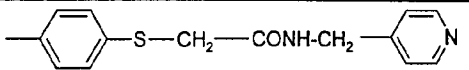
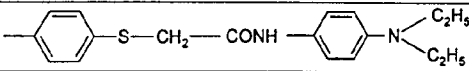
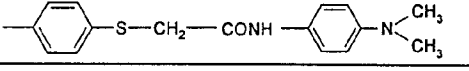
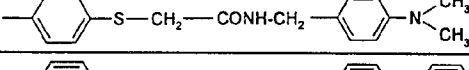
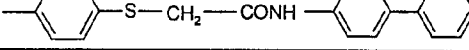
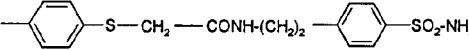
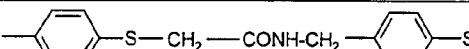
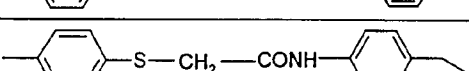
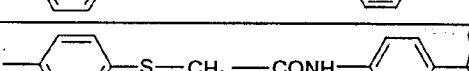
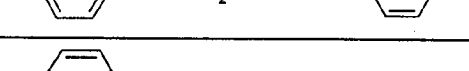
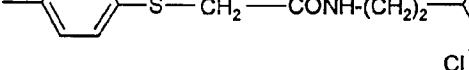
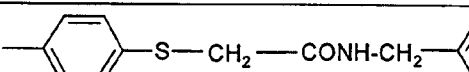
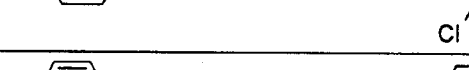
Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in Iya	
	
	
	
	

Analogously to example 32, the compounds of the formula Iya as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate.

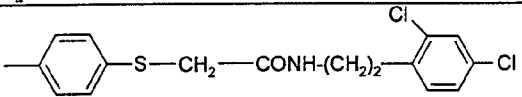
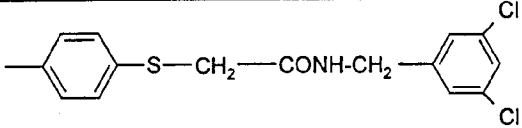
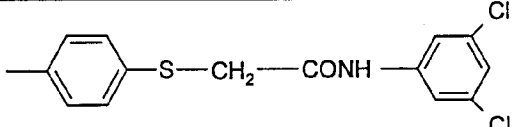
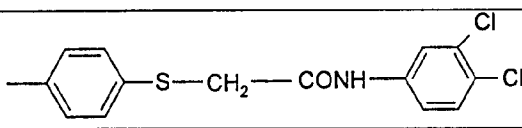
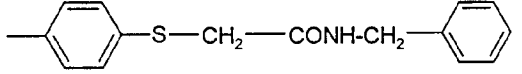
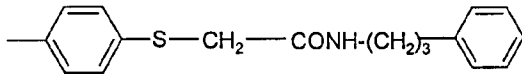
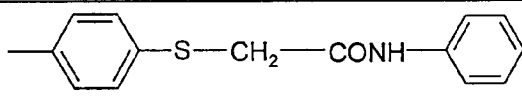
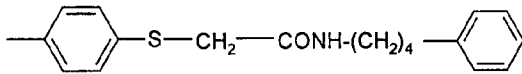
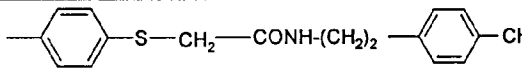
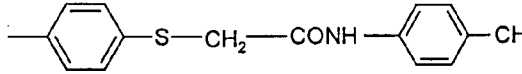
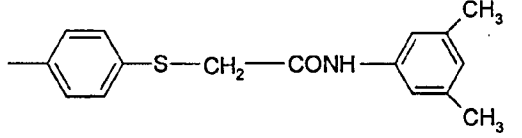
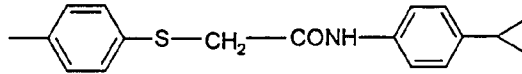
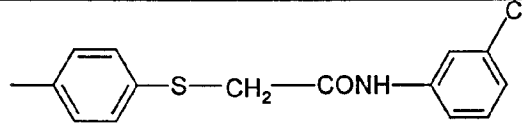
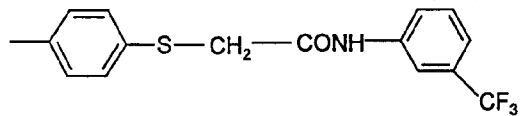
- 5 After removing of the protection group, the following compounds of the formula Iyb are obtained:



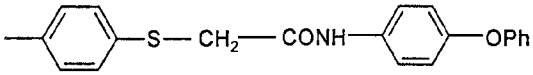
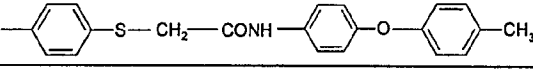
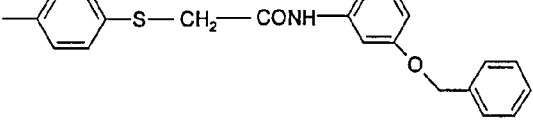
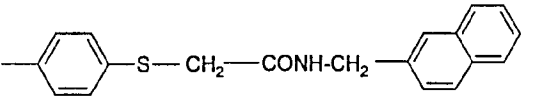
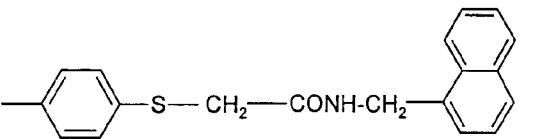
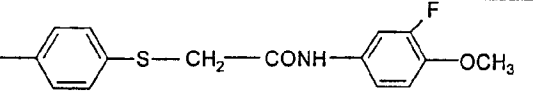
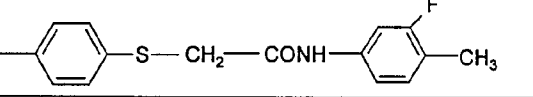
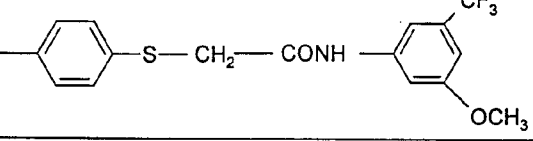
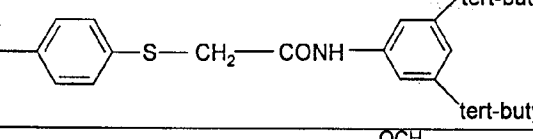
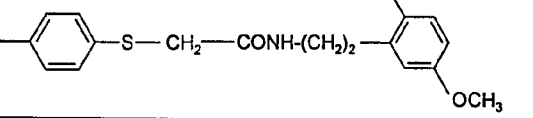
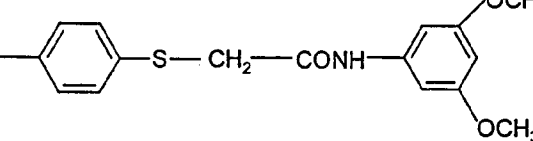
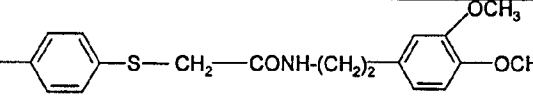
Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in Iyb	
	
	

$\text{Ar}'-\text{S}-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in Iyb	
	
	
	
	
	
	
	
	
	
	
	
	
	
	
	
	
	
	

$\text{Ar}'-\text{S}-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in Iyb	

$\text{Ar}'-\text{S}-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in Iyb	
	
	
	
	
	
	
	
	
	
	
	
	
	
	

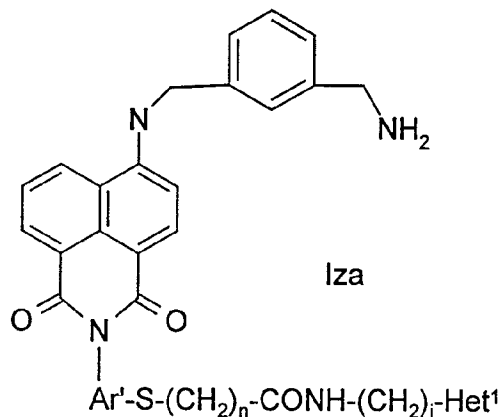
Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in Iyb	

$\text{Ar}'-\text{S}-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in Iyb	
	
	
	
	
	
	
	
	
	
	
	
	

Example 71:

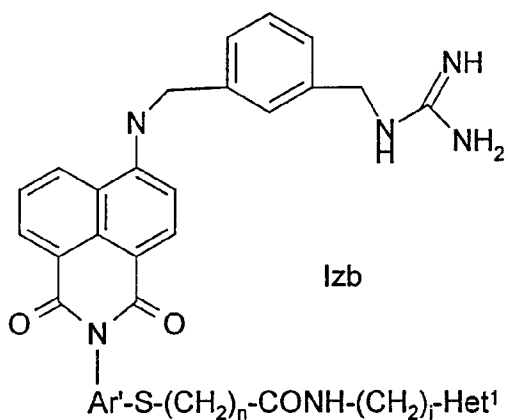
Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N-Ar}'\text{-S-(CH}_2\text{)}_n\text{-CONH-(CH}_2\text{)}_i\text{-Het}^1$  and 3-aminomethyl-benzylamine.

- 5 The following compounds of the formula Iza are obtained:



$\text{Ar}'\text{-S-(CH}_2\text{)}_n\text{-CONH-(CH}_2\text{)}_i\text{-Het}^1$ in Iza	

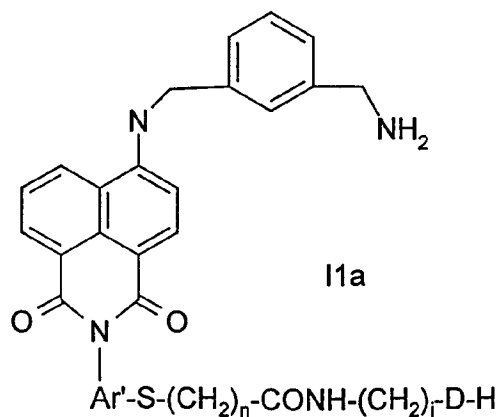
- 10 Analogously to example 32, the compounds of the formula Iza as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate. After removing of the protection group, the following compounds of the formula Izb are obtained:

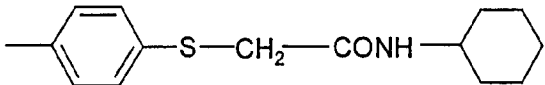
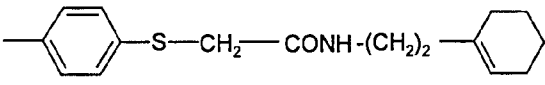


$\text{Ar}'\text{-S-(CH}_2\text{)}_n\text{-CONH-(CH}_2\text{)}_l\text{-Het}^1$ in Izb	

Example 72:

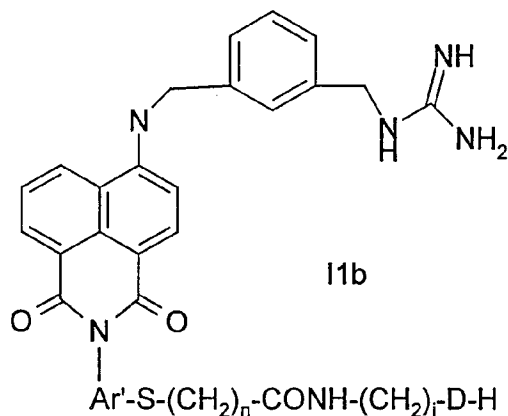
Analogously to Example 2, 6-chlorobenzo-  
 5 [de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N-Ar}'\text{-S-(CH}_2\text{)}_n\text{-CONH-(CH}_2\text{)}_l\text{-D-H}$  and 3-aminomethyl-benzylamine. The following compounds of the formula I1a are obtained:

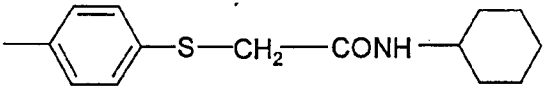
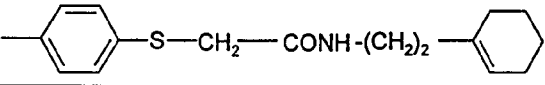


Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -D-H Ila	
	
	

Analogously to example 32, the compounds of the formula Ila as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate.

- 5 After removing of the protection group, the following compounds of the formula I1b are obtained:



Ar'-S-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -D-H I1b	
	
	

10 Example 73:

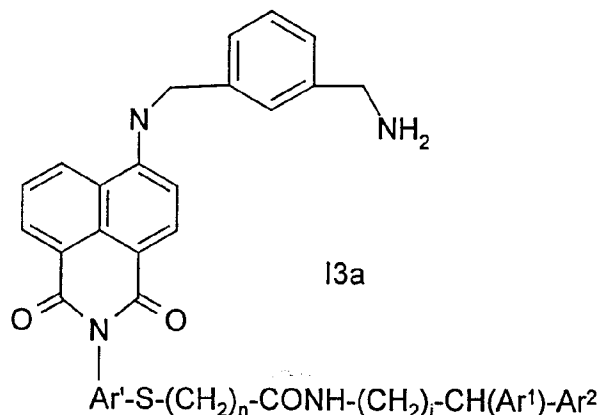
Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with 2-(3-aminophenylsulfanyl)-N-(2-phenyl-propyl)-acetamide and 3-aminomethyl-benzylamine.

- 15 2-{3-[6-(3-Aminomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenylsulfanyl}-N-(2-phenyl-propyl)-acetamide is obtained.

Analogously to example 32, 2-{3-[6-(3-Aminomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenylsulfanyl}-N-(2-phenyl-propyl)-acetamide is reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate. After removing of the protection group 2-{3-[6-(3-guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenylsulfanyl}-N-(2-phenyl-propyl)-acetamide is obtained.

Example 74:

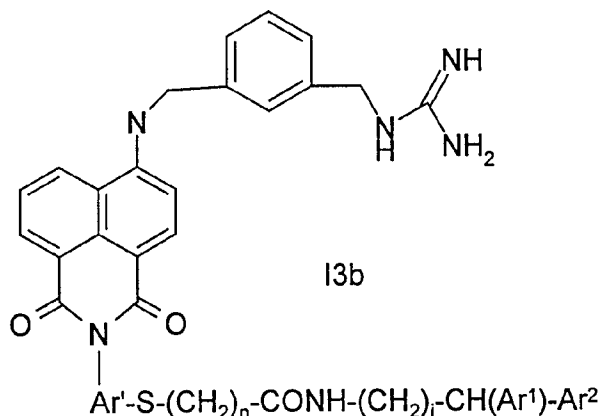
Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with  $H_2N-Ar'-S-(CH_2)_n-CONH-(CH_2)_i-CH(Ar^1)-Ar^2$  and 3-aminomethylbenzylamine. The following compounds of the formula I3a are obtained:



$Ar'-S-(CH_2)_n-CONH-(CH_2)_i-CH(Ar^1)-Ar^2$ in I3a	

Analogously to example 32, the compounds of the formula I3a as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate.

After removing of the protection group, the following compounds of the formula I3b are obtained:



Ar' - S - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - CH (Ar <sup>1</sup> ) - Ar <sup>2</sup> in in I3b	

5

#### Example 75:

Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with 2-(3-amino-phenyl)-N-(4-chloro-benzyl)-acetamide and 4-aminomethyl-cyclohexylmethylamine. 2-(3-(6-((4-aminomethyl-cyclohexylmethyl)-amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-phenyl)-N-(4-chloro-benzyl)-acetamide is then, analogously to example 32, reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate. After removing of the protection group N-(4-chloro-benzyl)-2-(3-(6-((4-guanidinomethyl-cyclohexylmethyl)-amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-phenyl)-acetamide is obtained.

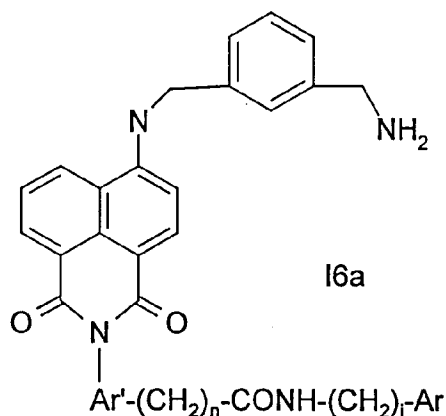
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15

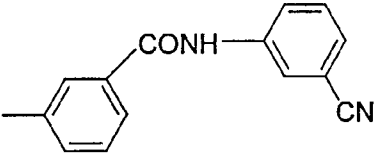
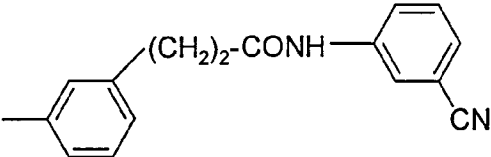
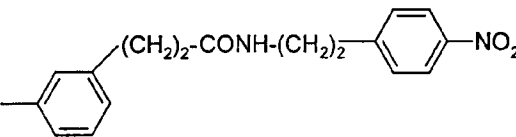
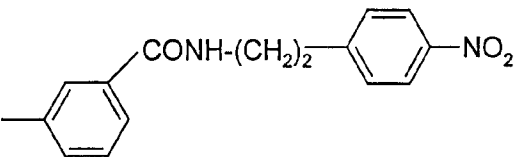
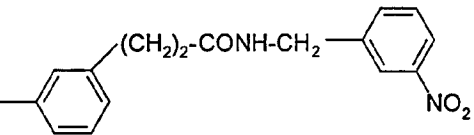
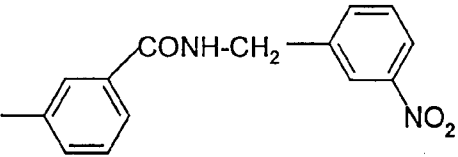
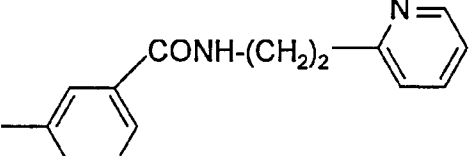
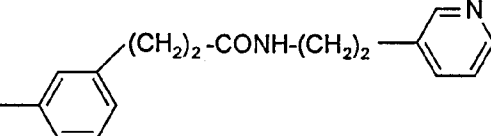
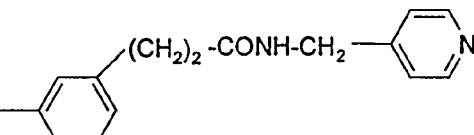
Analogously is reacted 6-chlorobenzo[de]isochromene-1,3-dione with 3-(3-amino-phenyl)-N-phenethyl-propionamide and 4-aminomethyl-cyclohexylmethylamine and the following product 3-(3-{6-[(4-Aminomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl}-phenyl)-N-phenethyl-propionamide with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate. After removing of the protection group 3-(3-{6-[(4-guanidinomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl}-phenyl)-N-phenethyl-propionamide is obtained.

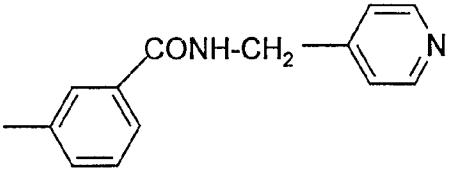
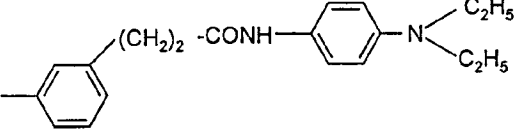
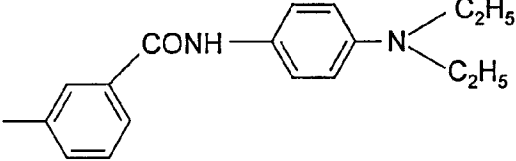
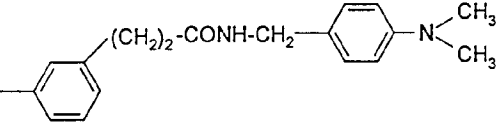
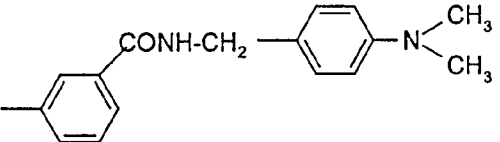
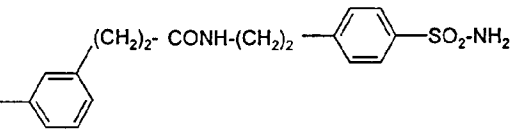
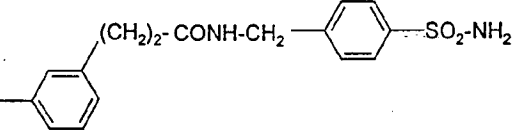
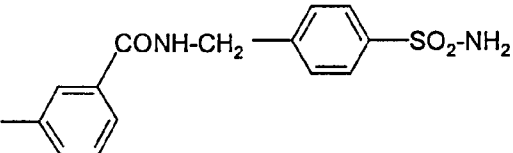
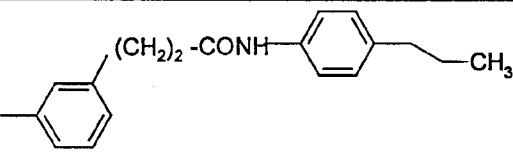
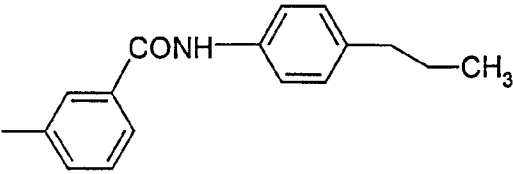
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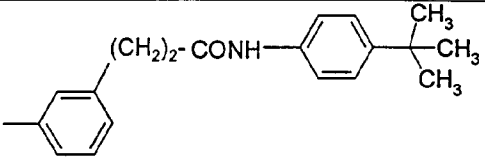
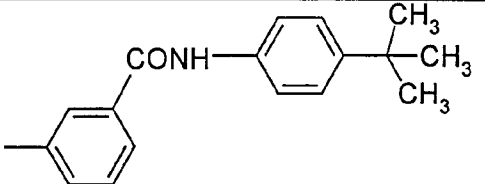
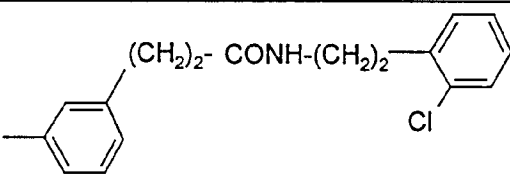
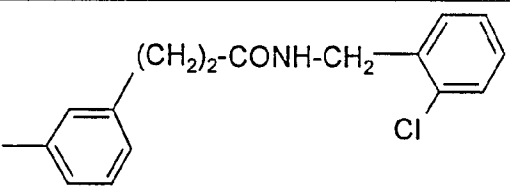
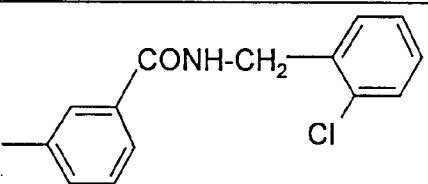
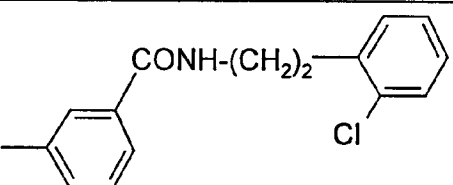
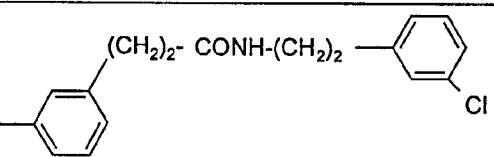
Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with  $H_2N-Ar'-(CH_2)_n-CONH-(CH_2)_i-Ar$  and 3-aminomethyl-benzylamine. The following compounds of the formula I6a are obtained:

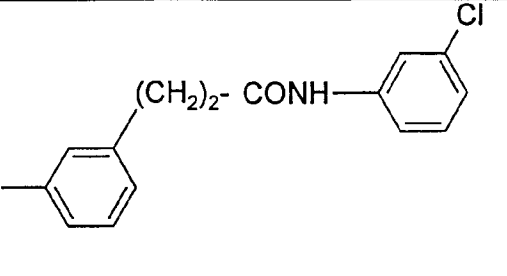
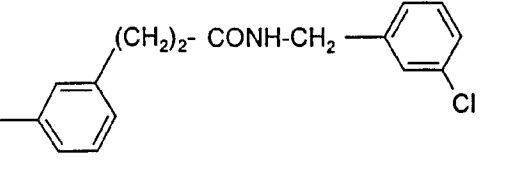
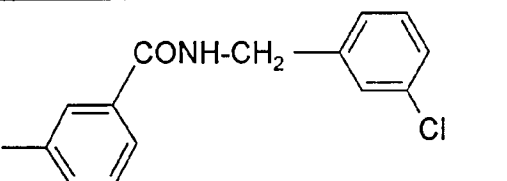
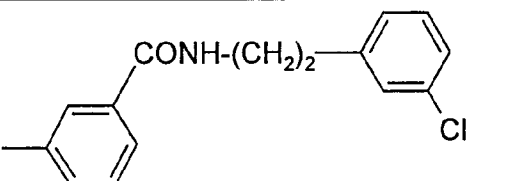
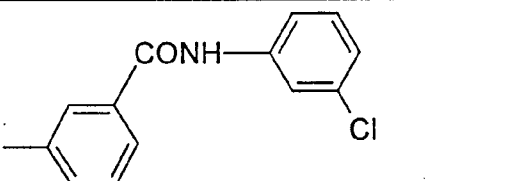
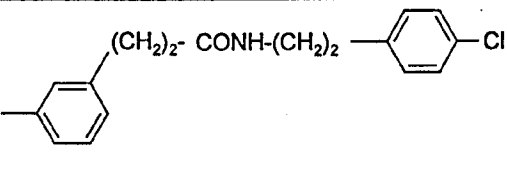
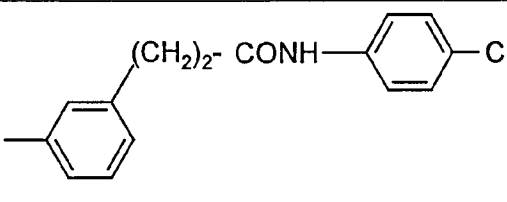


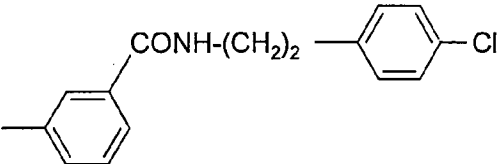
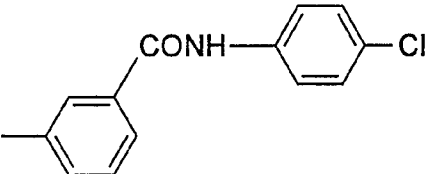
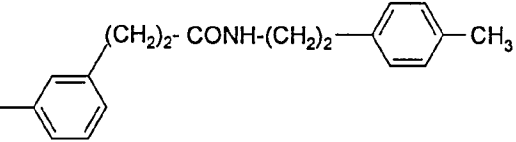
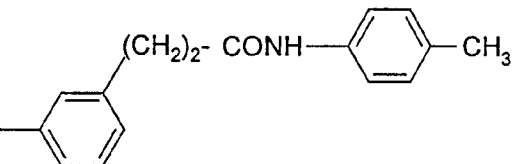
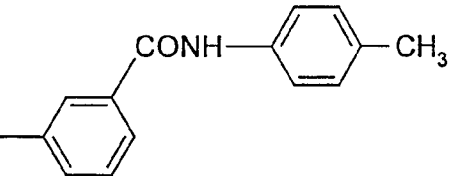
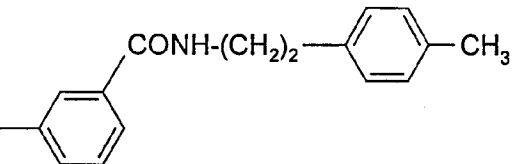
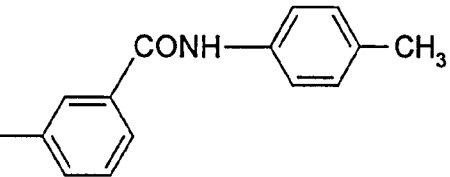
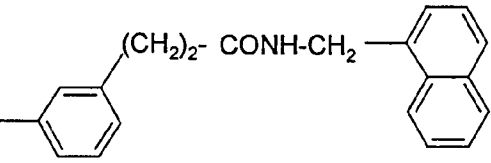
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	

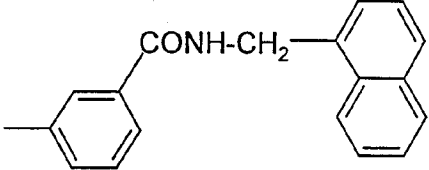
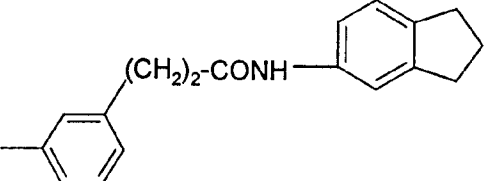
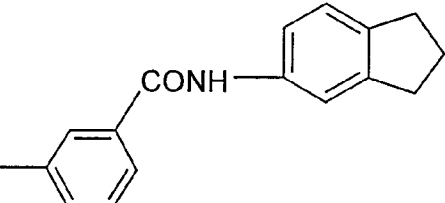
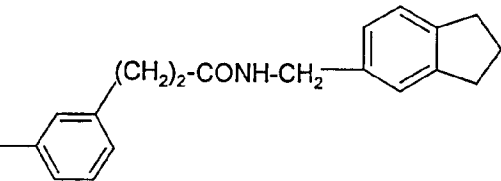
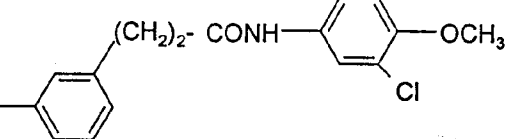
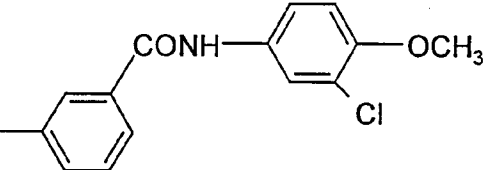
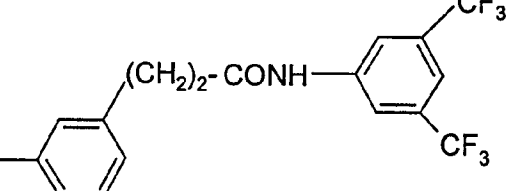
$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I 6a	
	
	
	
	
	
	
	
	
	

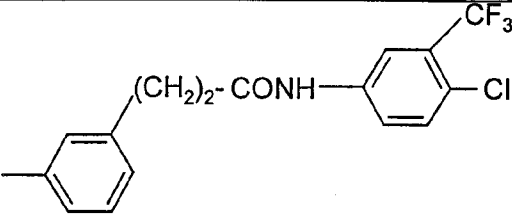
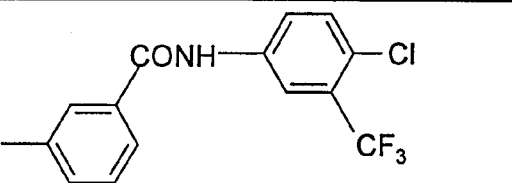
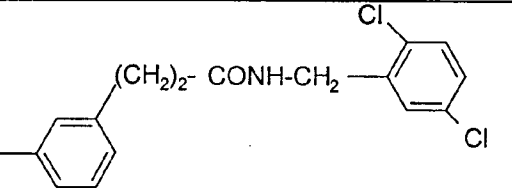
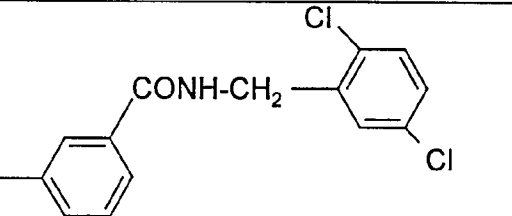
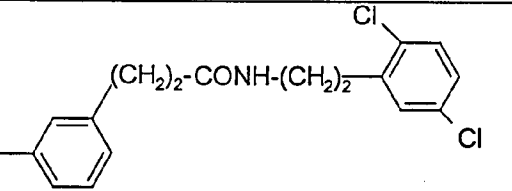
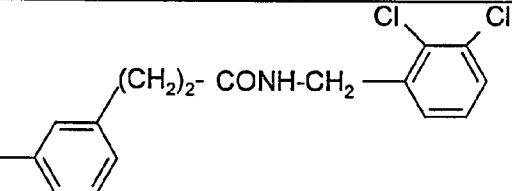
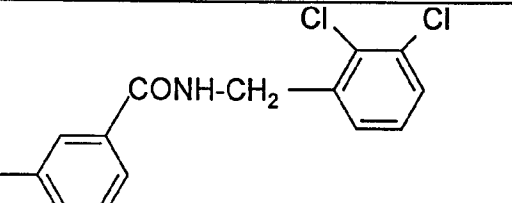
$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I 6a	
	
	
	
	
	
	
	
	
	
	

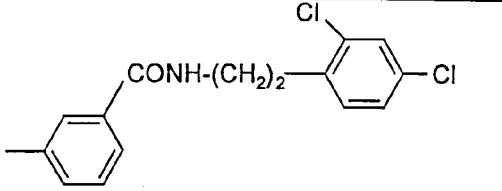
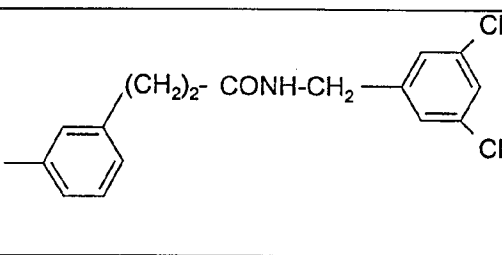
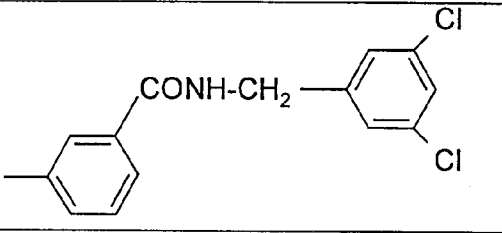
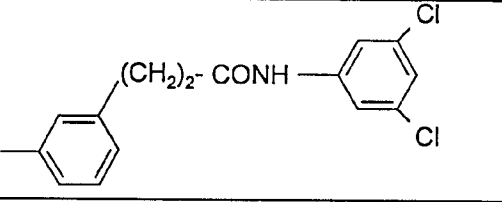
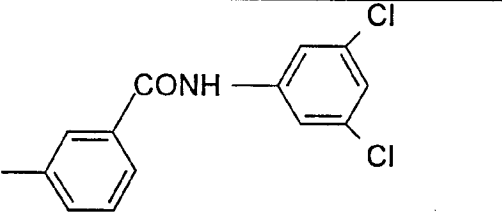
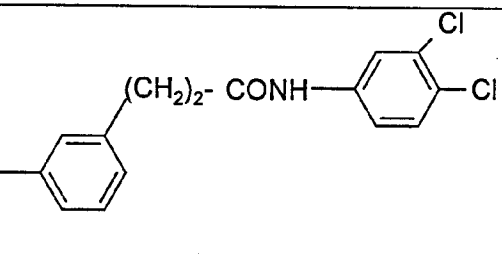
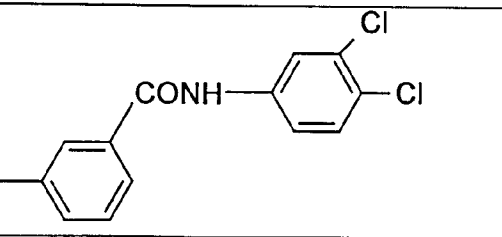
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I 6a	
	
	
	
	
	
	
	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	
	
	
	
	
	
	
	

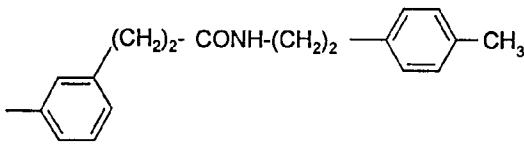
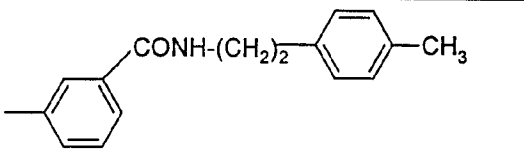
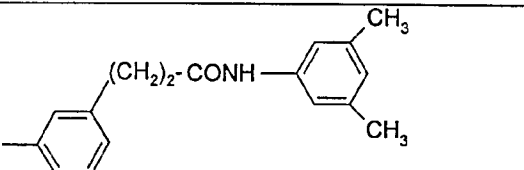
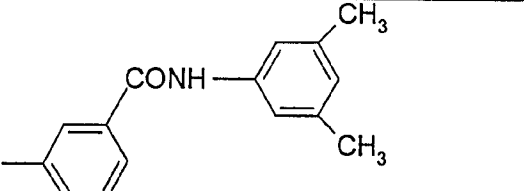
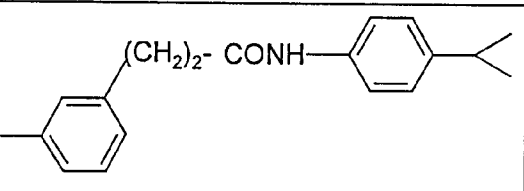
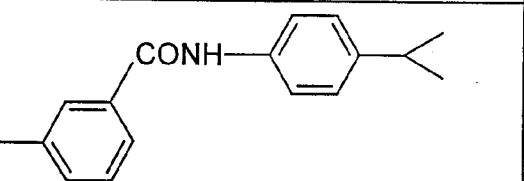
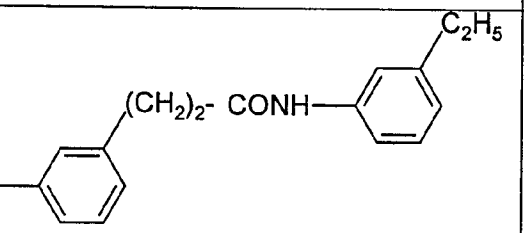
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	
	
	
	
	
	
	
	
	

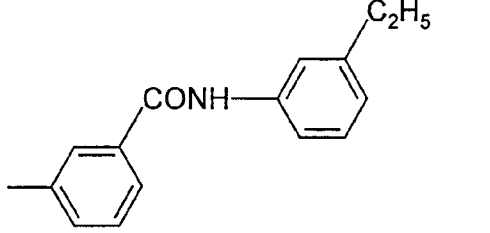
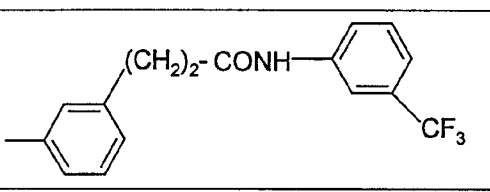
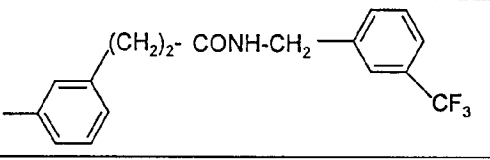
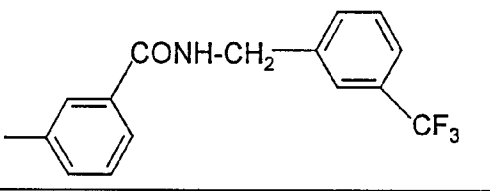
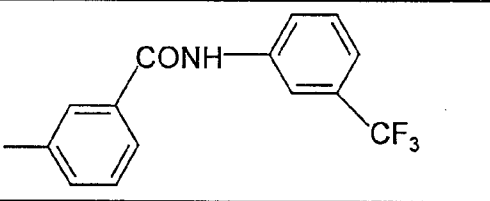
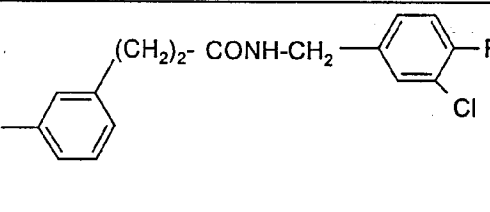
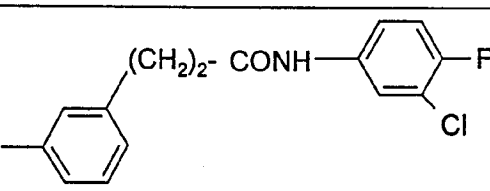
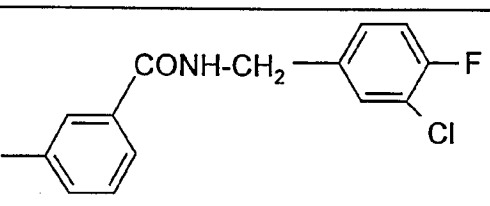
$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I 6a	
	
	
	
	
	
	
	

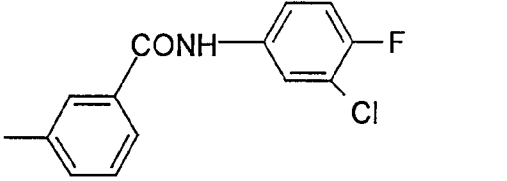
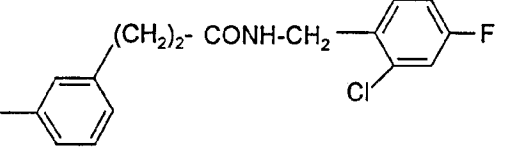
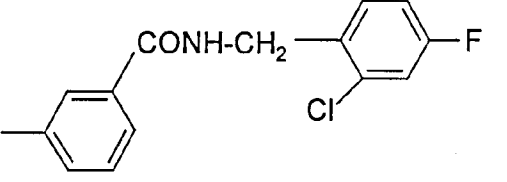
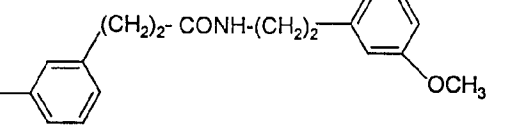
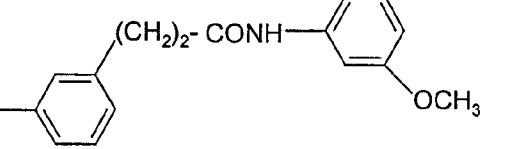
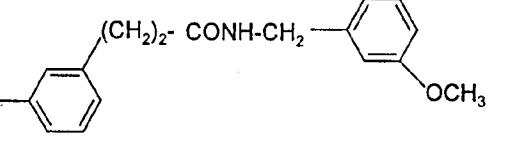
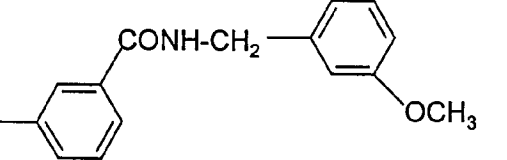
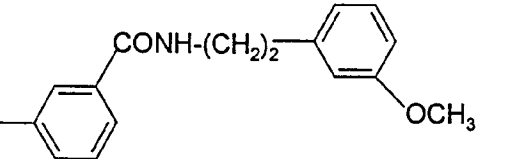
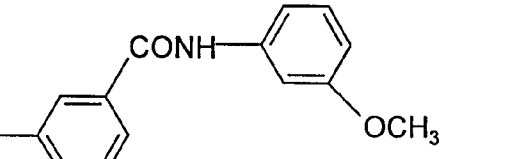
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	
	
	
	
	
	
	
	

$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I 6a	
	
	
	
	
	
	
	

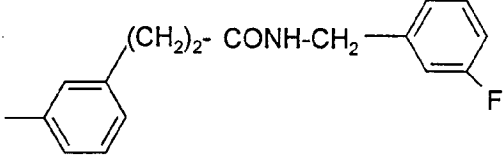
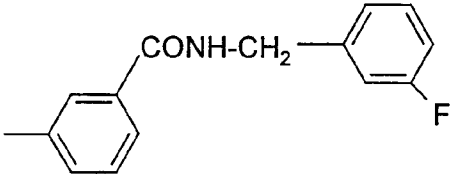
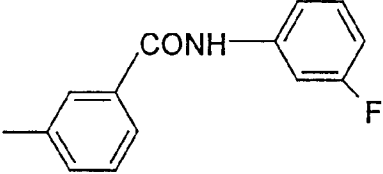
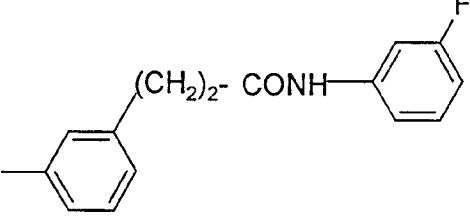
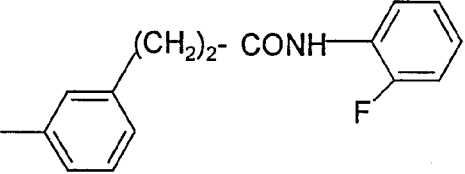
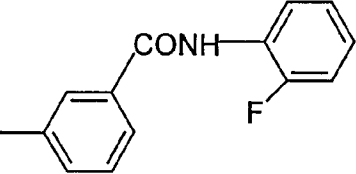
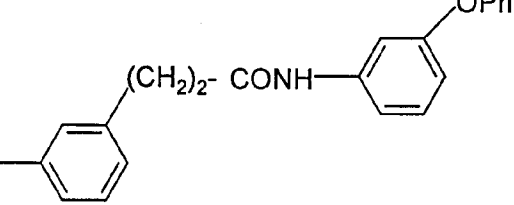
$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I6a	

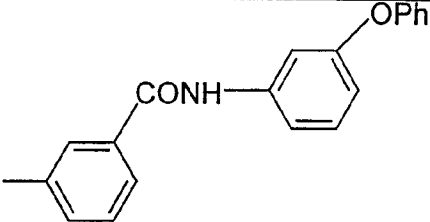
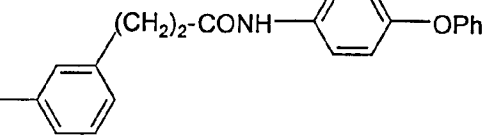
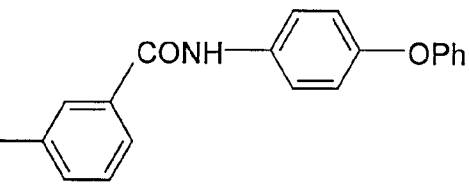
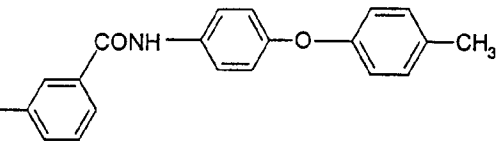
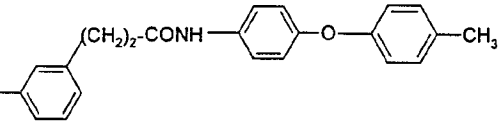
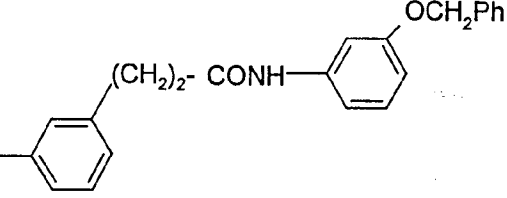
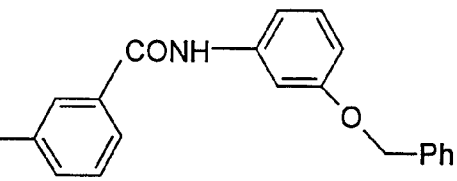
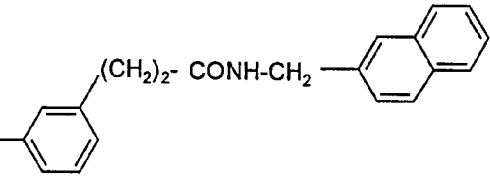
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I 6a	
	
	
	
	
	
	
	

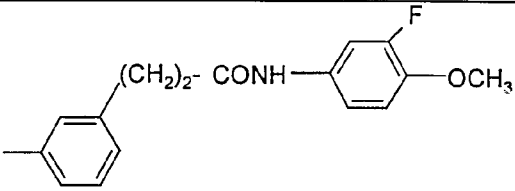
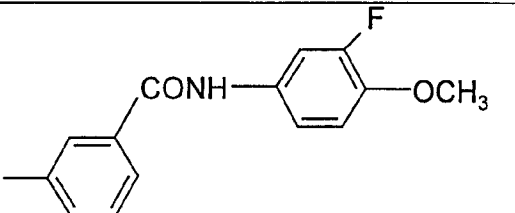
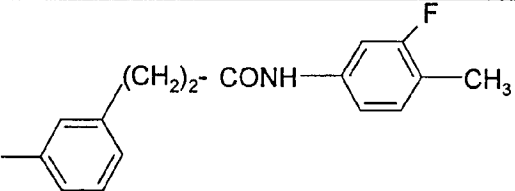
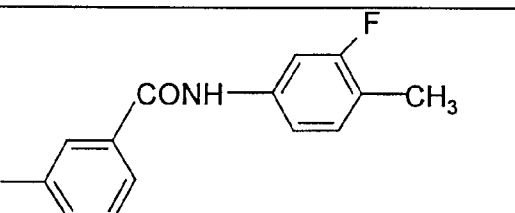
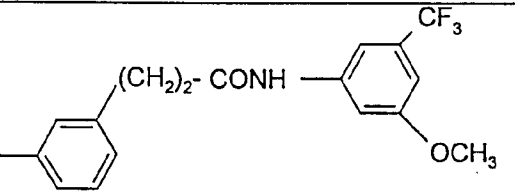
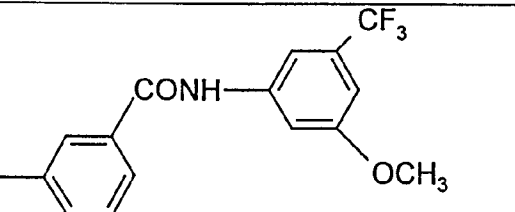
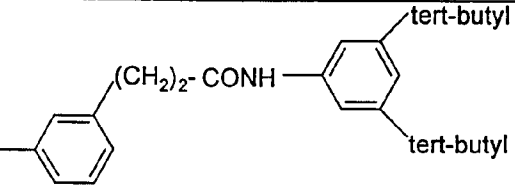
$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I 6a	
	
	
	
	
	
	
	
	

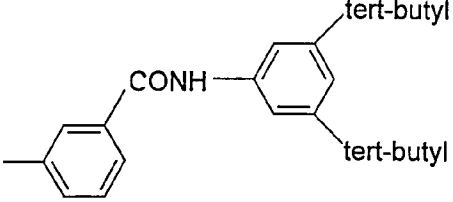
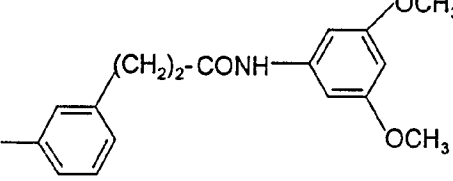
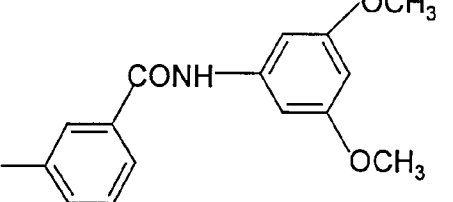
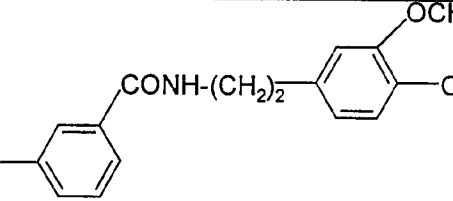
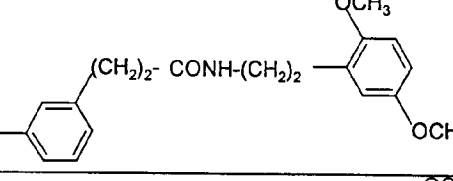
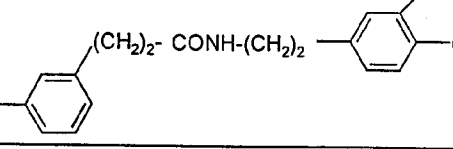
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	
	
	
	
	
	
	
	
	
	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I 6a	
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<chem>*c1ccc(cc1)CCNCc2ccc(OC)cc2</chem>	
<chem>*c1ccc(cc1)CNCc2ccc(OC)cc2</chem>	
<chem>*c1ccc(cc1)CCNC(CC)c2ccc(OC)cc2</chem>	
<chem>*c1ccc(cc1)CCNC(CC)Cc2ccc(F)cc2</chem>	
<chem>*c1ccc(cc1)CCNC(CC)c2ccc(F)cc2</chem>	

$\text{Ar}' - (\text{CH}_2)_n - \text{CONH} - (\text{CH}_2)_i - \text{Ar}$ in I6a	
	
	
	
	
	
	
	

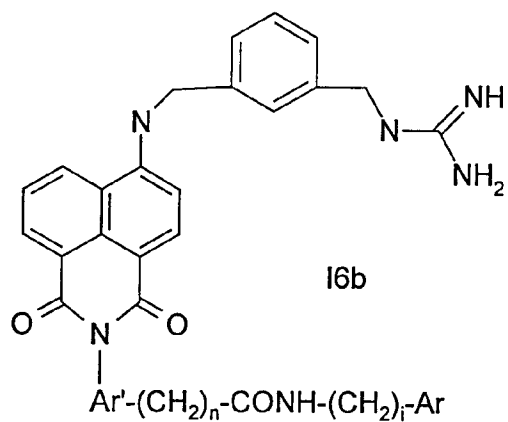
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	
	
	
	
	
	
	
	
	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I 6a	
	
	
	
	
	
	
	

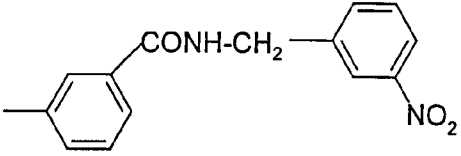
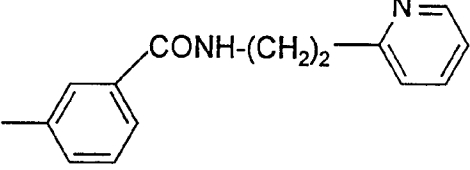
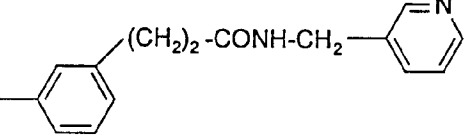
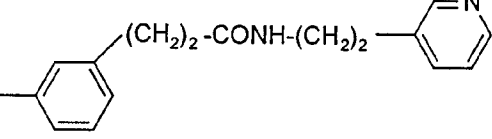
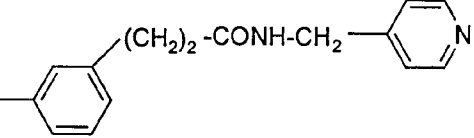
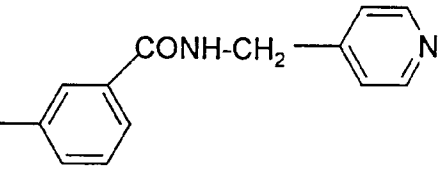
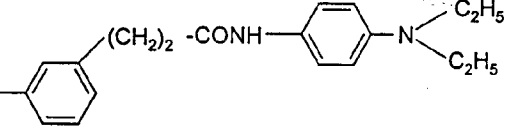
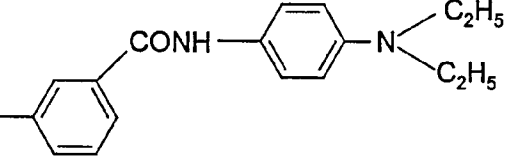
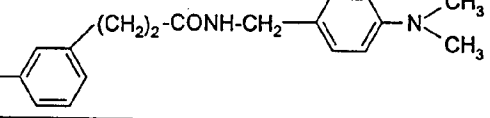
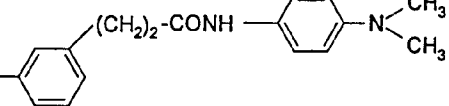
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6a	
	
	
	
	
	
	

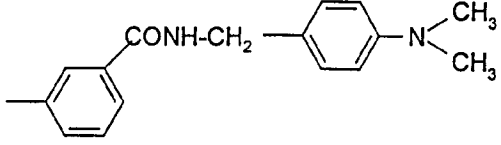
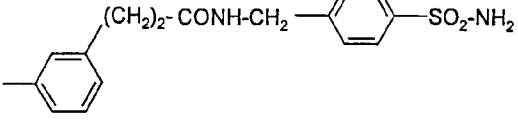
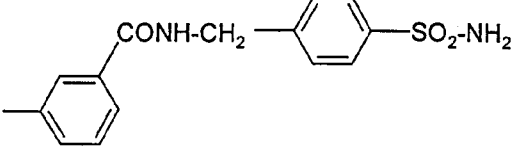
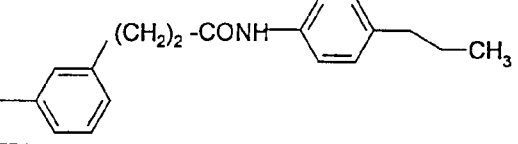
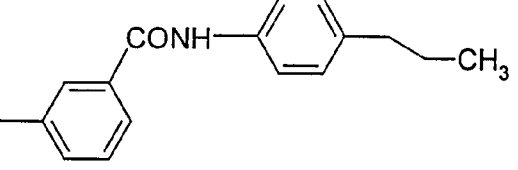
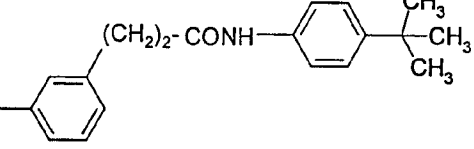
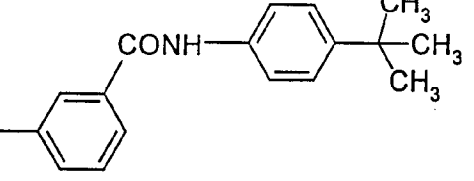
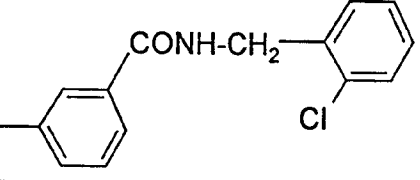
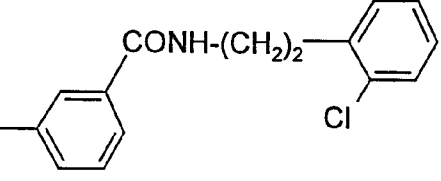
Analogously to example 32, the compounds of the formula I6a as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate.

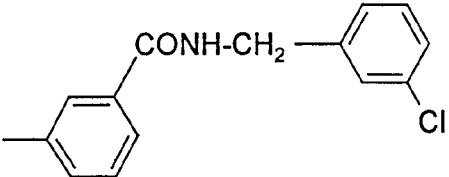
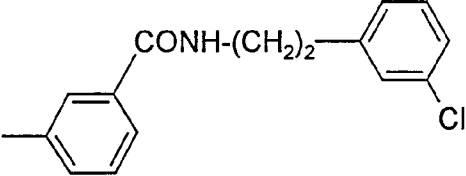
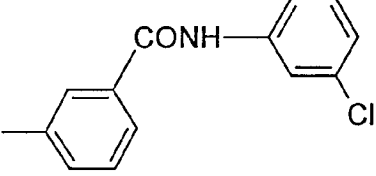
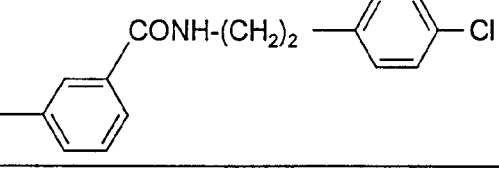
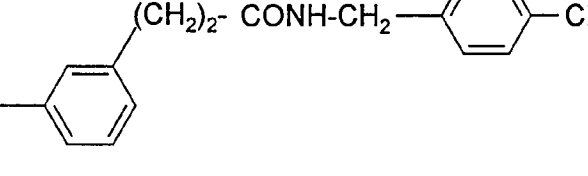
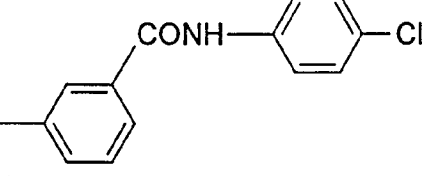
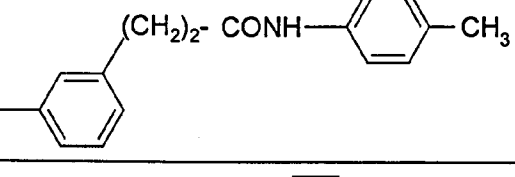
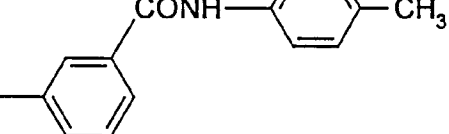
- 5 After removing of the protection group, the following compounds of the formula I6b are obtained:

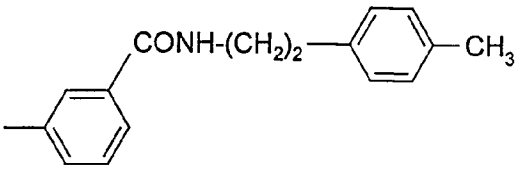
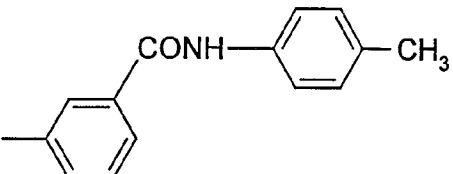
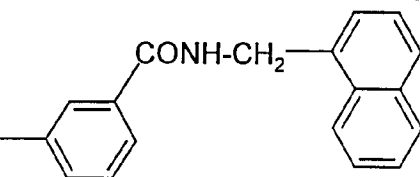
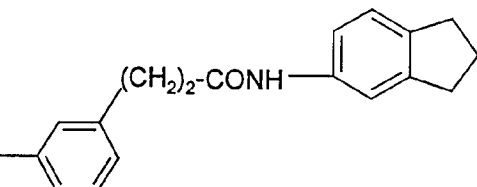
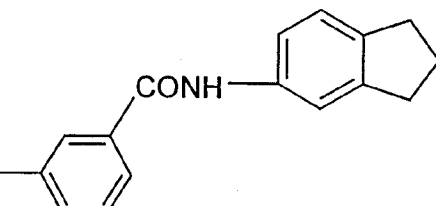
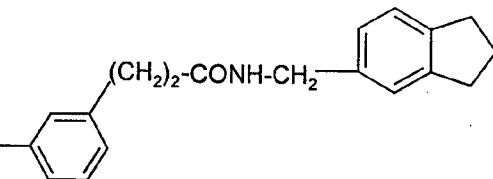
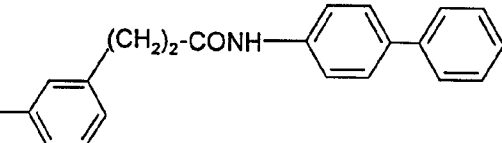
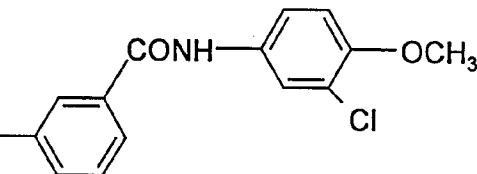


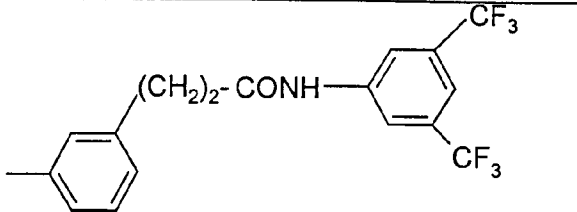
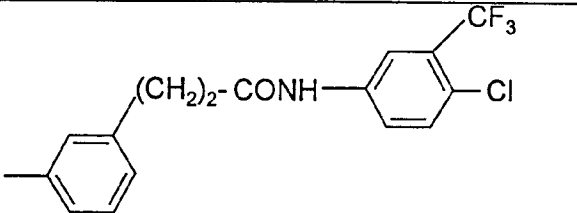
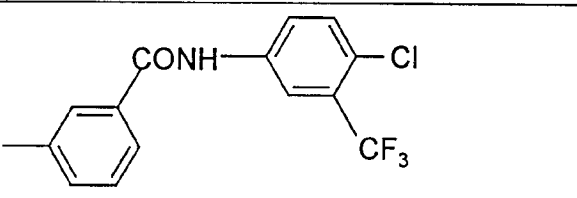
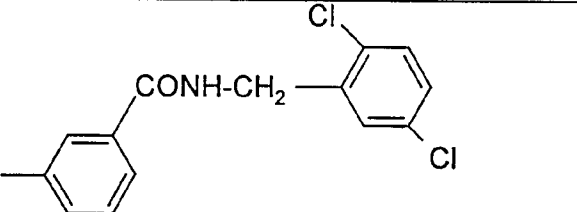
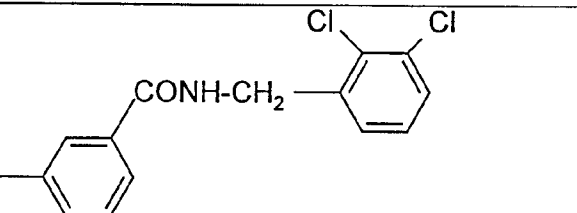
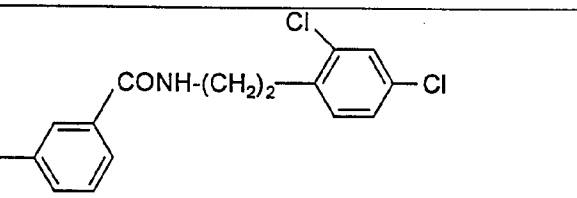
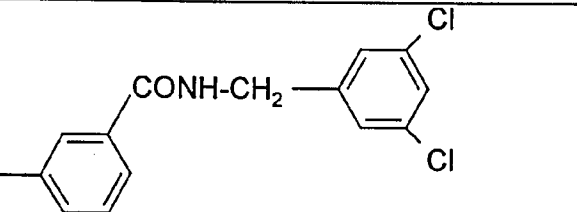
$\text{Ar}'-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in 16b	

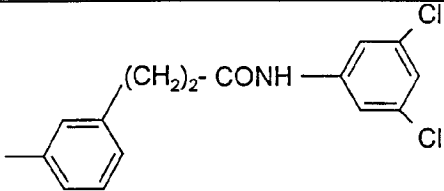
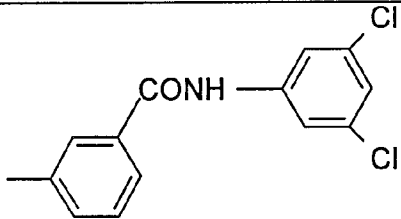
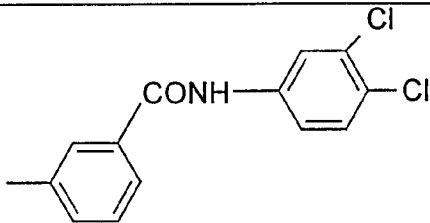
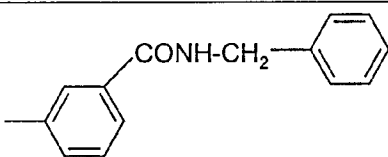
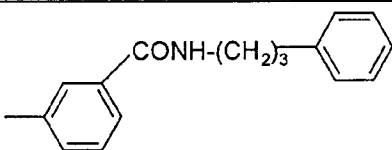
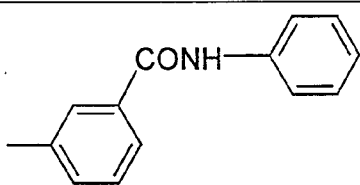
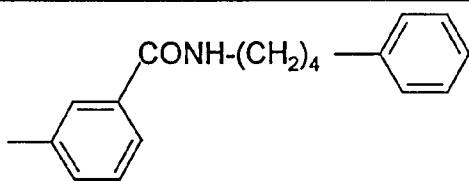
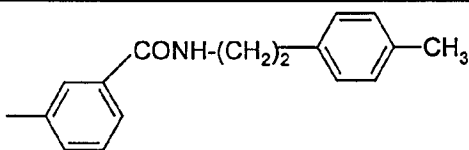
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6b	
	
	
	
	
	
	
	
	
	
	

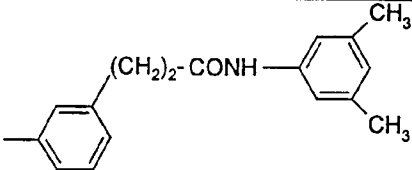
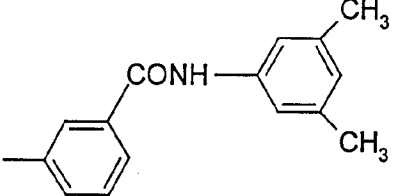
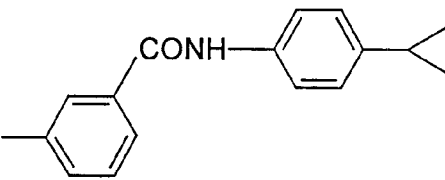
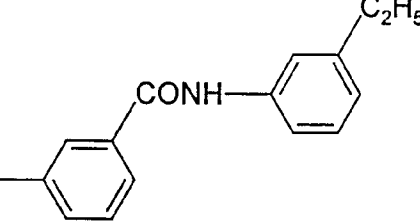
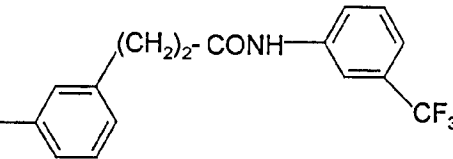
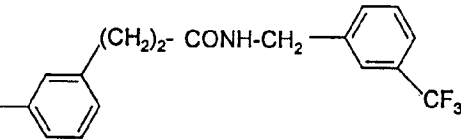
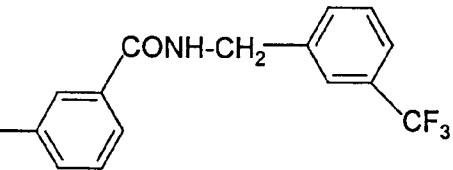
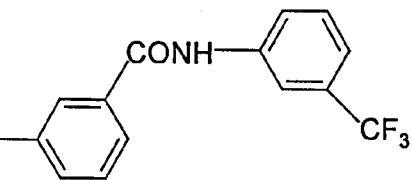
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6b	
	
	
	
	
	
	
	
	
	

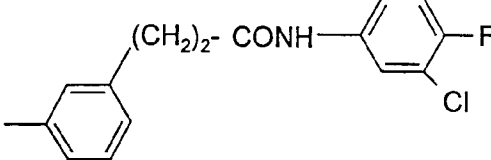
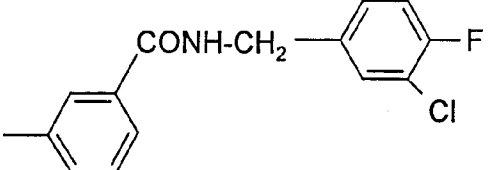
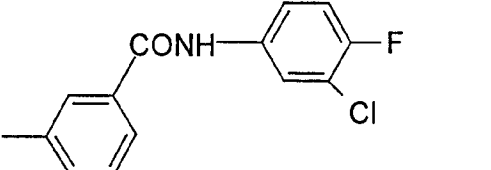
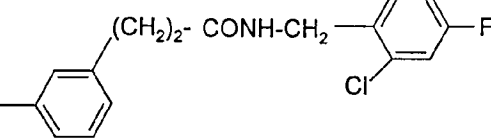
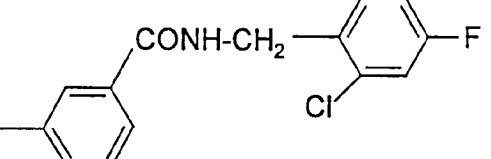
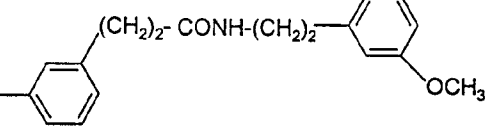
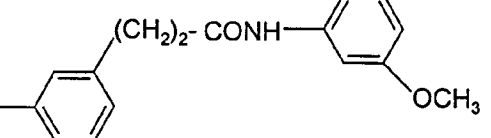
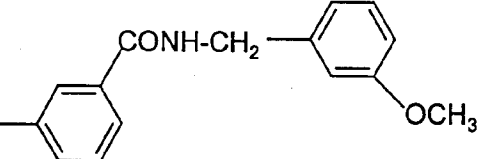
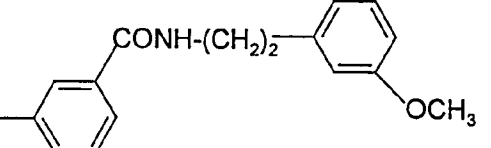
Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in I6b	
	
	
	
	
	
	
	
	

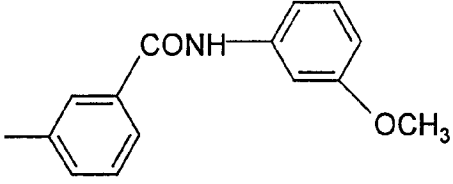
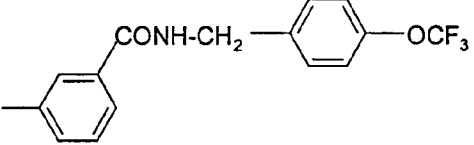
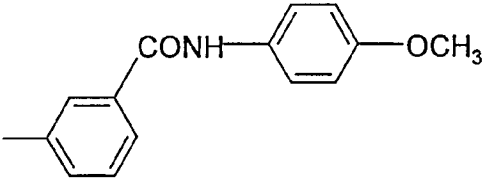
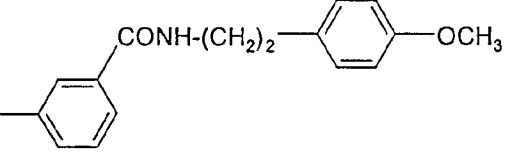
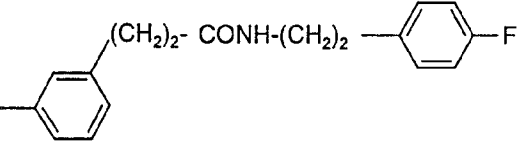
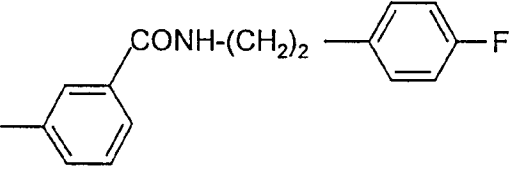
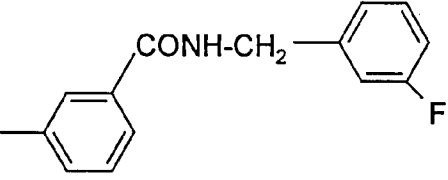
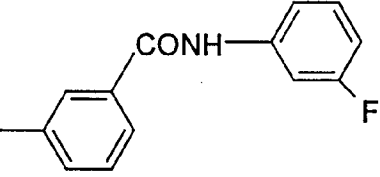
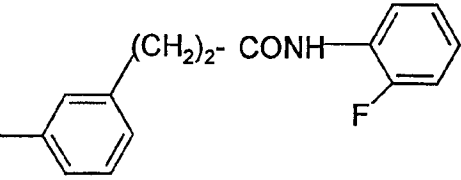
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6b	
	
	
	
	
	
	
	
	

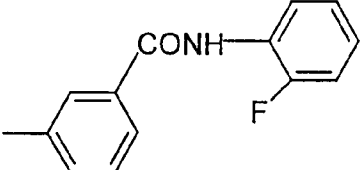
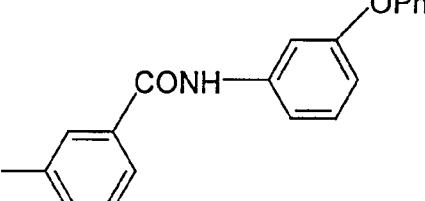
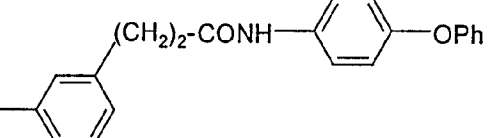
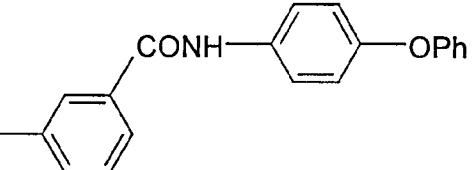
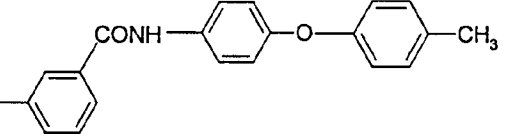
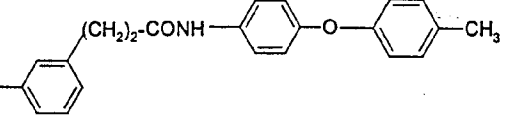
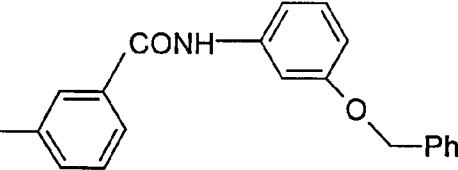
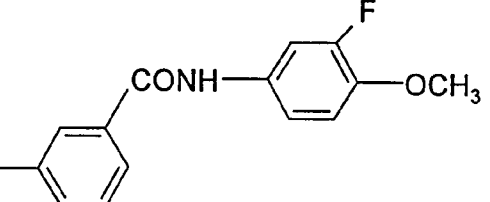
Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6b	
	
	
	
	
	
	
	

Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in I6b	
	
	
	
	
	
	
	
	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I 6b	
	
	
	
	
	
	
	
	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Ar in I6b	
	
	
	
	
	
	
	
	
	

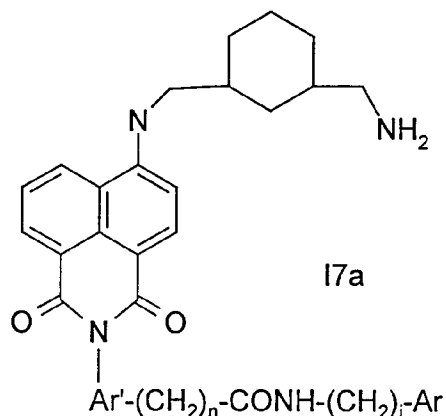
Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in I6b	
	
	
	
	
	
	
	
	
	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>4</sub> - Ar in I6b	
	
	
	
	
	
	
	
	

Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Ar in I6b	

Example 77:

Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N-Ar}'-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$  and 3-aminomethyl-cyclohexylmethyl-amine. The following compounds of the formula I7a are obtained:



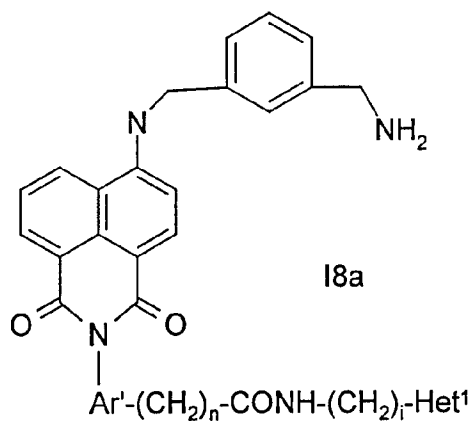
$\text{Ar}'-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Ar}$ in I7a	

10 Example 78:

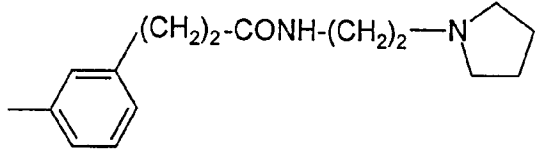
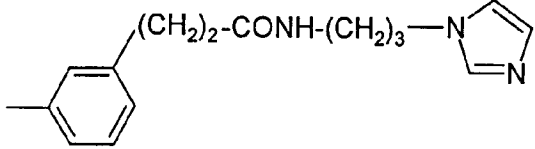
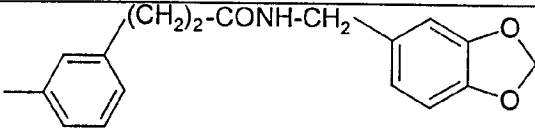
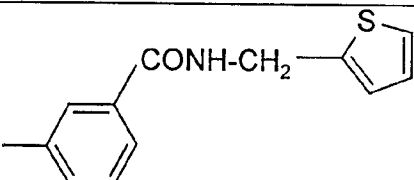
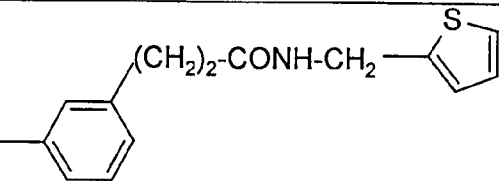
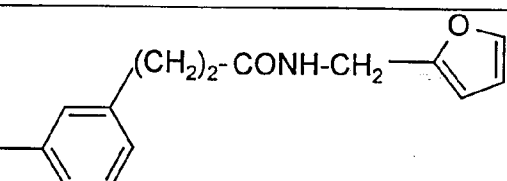
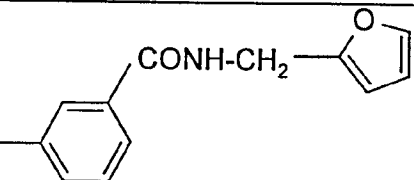
Analogously to Example 2, 6-chlorobenzo-[de]isochromene-1,3-dione is reacted with  $\text{H}_2\text{N-Ar}'-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Het}^1$  and 3-aminomethyl-benzylamine. The following compounds of the formula I8a

15 are obtained:

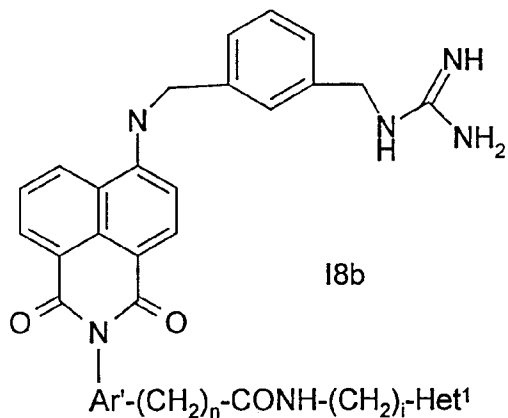
- 236 -



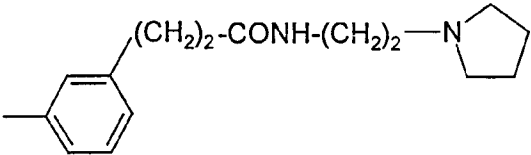
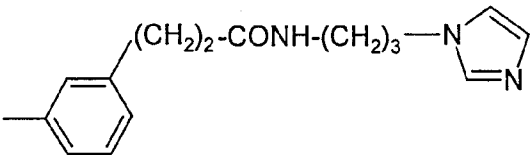
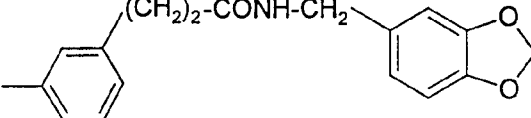
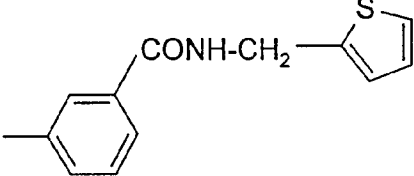
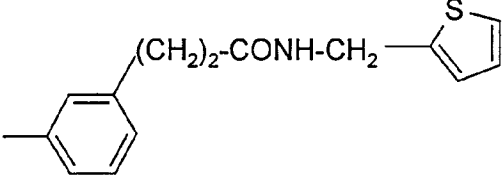
$\text{Ar}'-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Het}^1$ in 18a	

Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -Het <sup>1</sup> I8a	in
	
	
	
	
	
	
	

Analogously to example 32, the compounds of the formula I8a as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate. After removing of the protection group, the following compounds of the formula I8b are obtained:

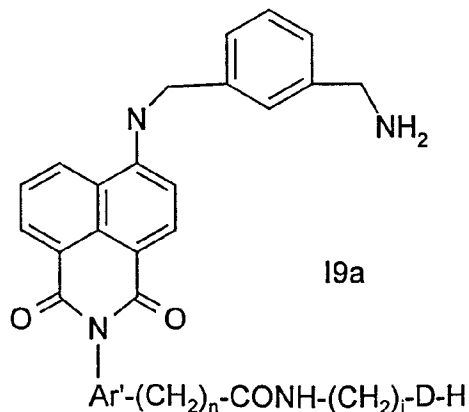


$\text{Ar}'-(\text{CH}_2)_n-\text{CONH}-(\text{CH}_2)_i-\text{Het}^1$ in 18b	

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - Het <sup>1</sup> in I8b	
	
	
	
	
	

Example 79:

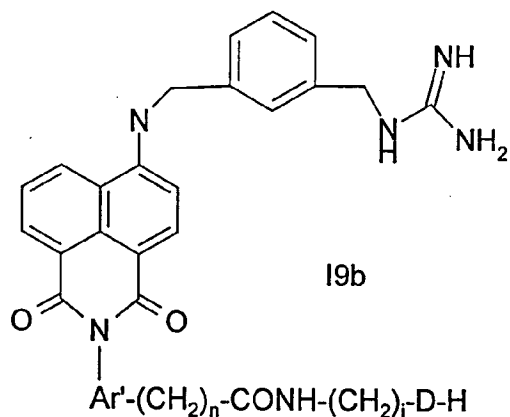
Analogously to Example 2, 6-chlorobenzo-  
 5 [de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-Ar'-(CH<sub>2</sub>)<sub>n</sub>-CONH-(CH<sub>2</sub>)<sub>i</sub>-D-H and 3-aminomethyl-benzylamine. The following compounds of the formula I9a are obtained:



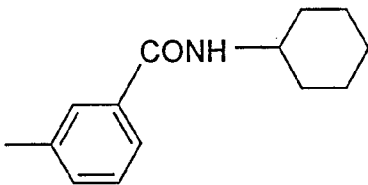
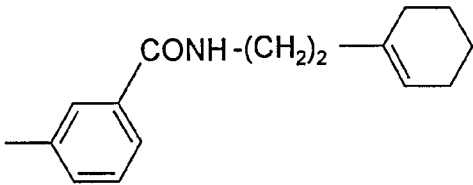
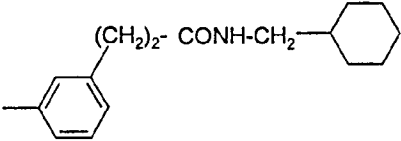
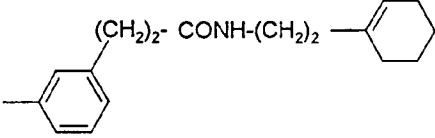
Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -D-H I9a	in

Analogously to example 32, the compounds of the formula I9a as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate.

- 5 After removing of the protection group, the following compounds of the formula I9b are obtained:

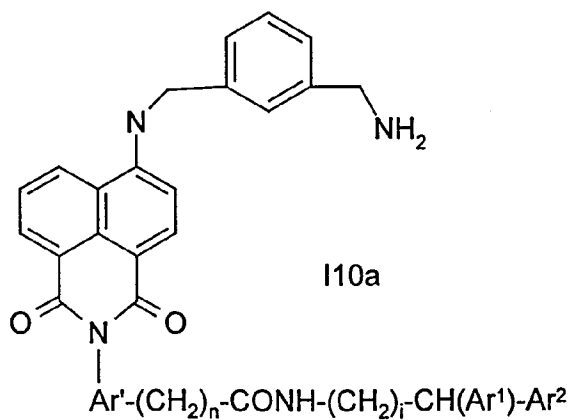


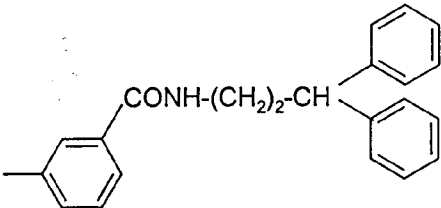
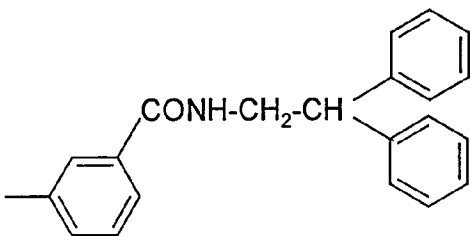
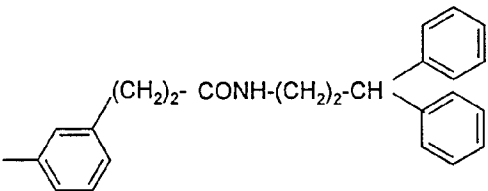
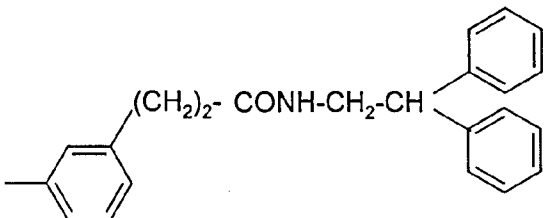
Ar'-(CH <sub>2</sub> ) <sub>n</sub> -CONH-(CH <sub>2</sub> ) <sub>i</sub> -D-H I9b	in

Ar' - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - D - H I9b	in	
		
		
		
		

Example 80:

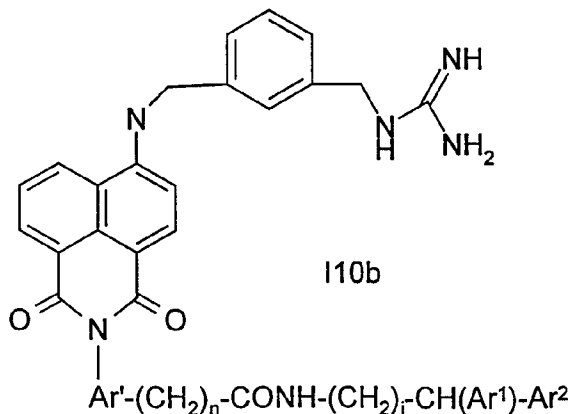
Analogously to Example 2, 6-chlorobenzo-  
 5 [de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-Ar'-(CH<sub>2</sub>)<sub>n</sub>-CONH-(CH<sub>2</sub>)<sub>i</sub>-CH(Ar<sup>1</sup>)-Ar<sup>2</sup> and 3-aminomethylbenzylamine. The following compounds of the formula I10a are obtained:

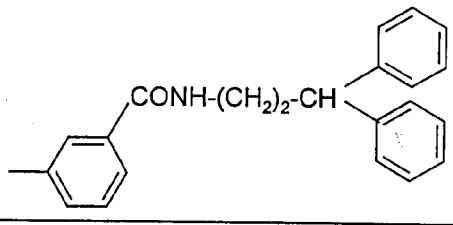
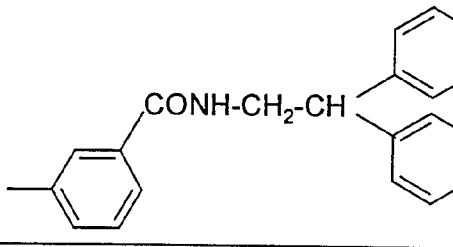
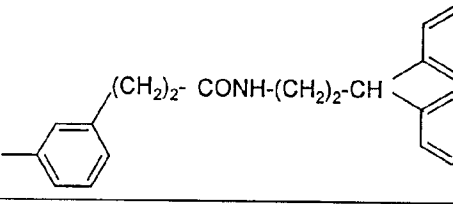
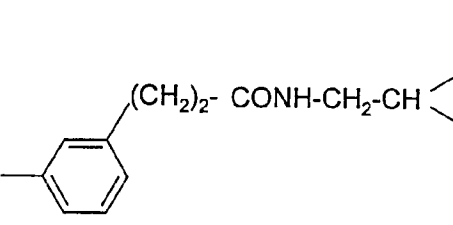


Ar <sup>1</sup> - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - CH (Ar <sup>1</sup> ) - Ar <sup>2</sup> in I10a	
	
	
	
	

Analogously to example 32, the compounds of the formula I10a as indicated above are reacted with tert-butyl (tert-butoxycarbonyliminopyrazol-1-yl-methyl)carbamate.

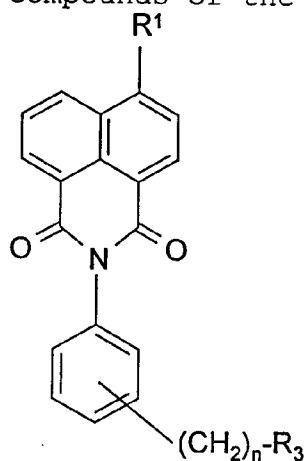
- 5 After removing of the protection group, the following compounds of the formula I10b are obtained:



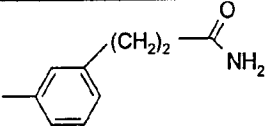
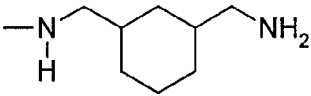
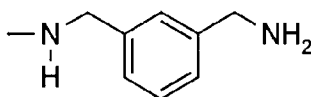
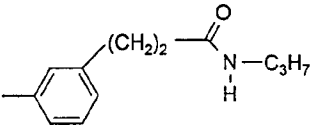
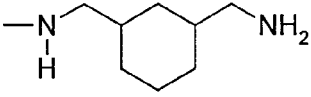
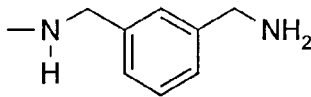
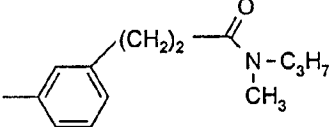
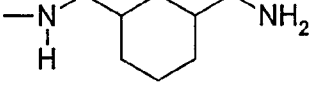
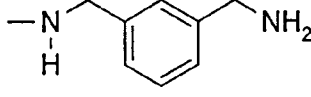
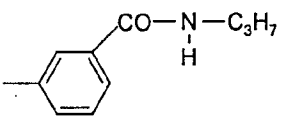
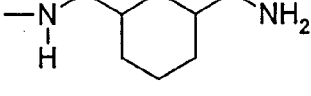
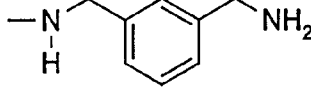
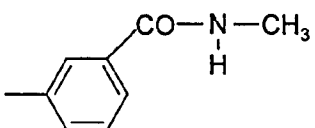
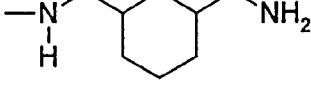
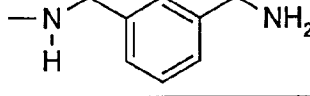
Ar <sup>1</sup> - (CH <sub>2</sub> ) <sub>n</sub> - CONH - (CH <sub>2</sub> ) <sub>i</sub> - CH (Ar <sup>1</sup> ) - Ar <sup>2</sup> in I10b	
	
	
	
	

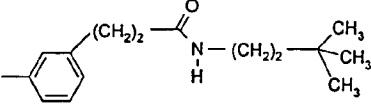
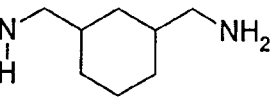
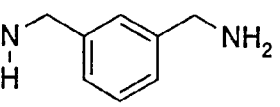
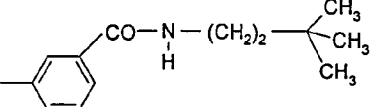
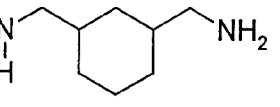
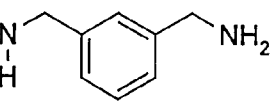
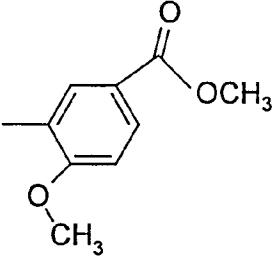
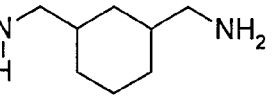
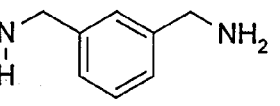
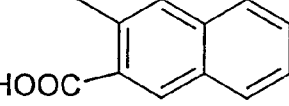
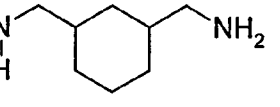
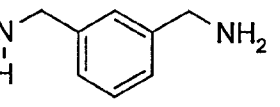
Example 81:

Analogously to Example 2, 6-nitrobenzo[de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup> and then with R<sup>1</sup>-H. The following compounds of the formula I11a are obtained:

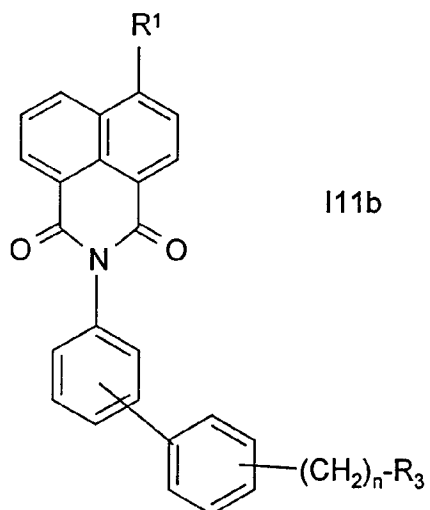


I11a

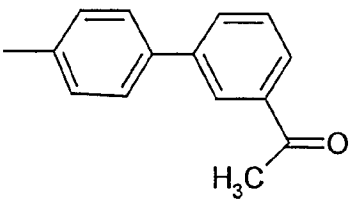
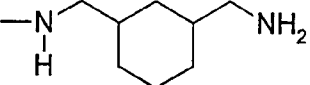
$-\text{C}_6\text{H}_4-(\text{CH}_2)_n-\text{R}^3$	$\text{R}^1$ in $\text{R}^1\text{-H}$ and $\text{I11a}$	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$  	
	 	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	

$-\text{C}_6\text{H}_4-(\text{CH}_2)_n-\text{R}^3$	$\text{R}^1$ in $\text{R}^1\text{-H}$ and $\text{I11a}$	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$  	

Analogously to Example 11, 6-nitro-2-(3-iodophenyl)benzo[de]isoquinoline-1,3-dione or 6-nitro-2-(4-iodophenyl)benzo[de]isoquinoline-1,3-dione is reacted with  $\text{H}_2\text{N}-\text{C}_{12}\text{H}_8-(\text{CH}_2)_n-\text{R}^3$  and then with  $\text{R}^1\text{-H}$ . The following compounds of the formula I11b are obtained:

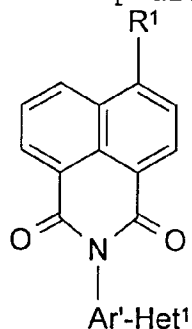


$-\text{C}_{12}\text{H}_8-(\text{CH}_2)_n-\text{R}^3$	$\text{R}^1$ in $\text{R}^1\text{-H}$ and I11b	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	

$-\text{C}_{12}\text{H}_8-(\text{CH}_2)_n-\text{R}^3$	$\text{R}^1$ in $\text{R}^1\text{-H}$ and $\text{I11b}$	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	

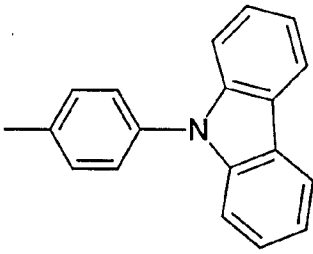
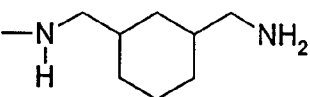
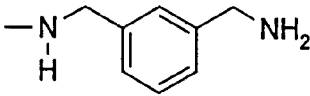
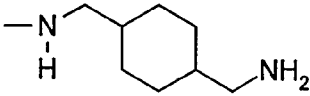
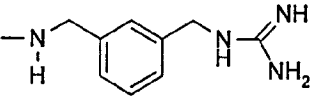
Example 82:

5 Analogously to Example 11, 6-nitrobenzo-  
 [de]isochromene-1,3-dione is reacted with 4-  
 iodophenylamine or 3-iodophenylamine (=  $\text{I-Ar}'\text{-NH}_2$ ),  
 $\text{Het}^1\text{-B(OH)}_2$  and then with  $\text{R}^1\text{-H}$ . The following compounds  
 of the formula Ip are obtained:

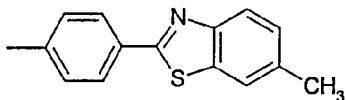
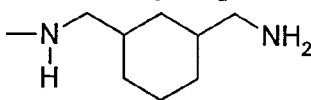
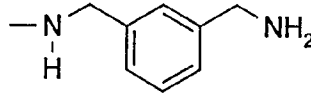
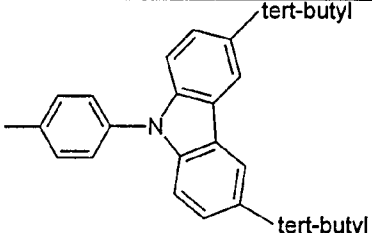
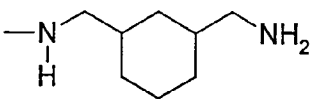
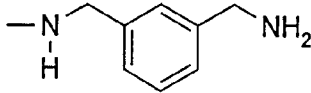
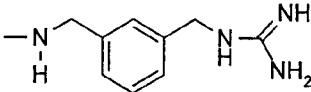


Ip

10

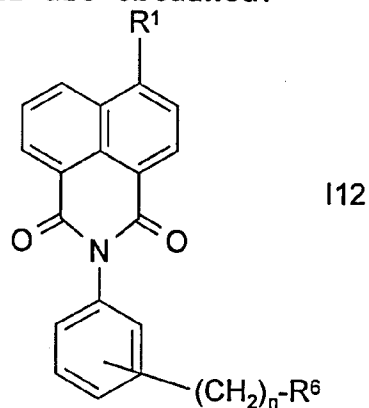
$-\text{Ar}'\text{-Het}^1$	$\text{R}^1$ in $\text{R}^1\text{-H}$ and $\text{Ip}$	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$    	

- 248 -

-Ar'-Het <sup>1</sup>	R <sup>1</sup> in R <sup>1</sup> -H and Ip	
	$\text{-NH-(CH}_2\text{)}_3\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_5\text{-NH}_2$  	
	$\text{-NH-(CH}_2\text{)}_3\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_5\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_7\text{-NH}_2$   	

Example 83:

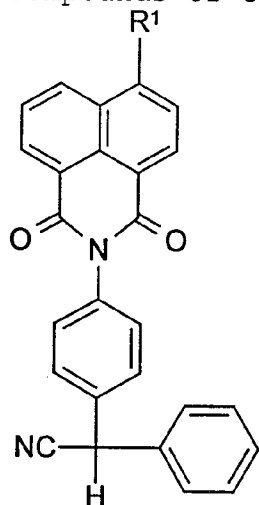
Analogously to Example 2, 6-nitrobenzo-  
 [de]isochromene-1,3-dione is reacted with R<sup>6</sup>-(CH<sub>2</sub>)<sub>n</sub>-Ph-  
 5 NH<sub>2</sub> and then with R<sup>1</sup>-H. The following compounds of the  
 formula I12 are obtained:



$-\text{Ar}'-(\text{CH}_2)_n-\text{R}^6$	$\text{R}^1$ in $\text{R}^1\text{-H}$ and I12	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	
	$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$ $-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$ 	

Example 84:

Analogously to Example 2, 6-nitrobenzo-  
 [de]isochromene-1,3-dione is reacted with (4-amino-  
 5 phenyl)-phenyl-acetonitrile and then with  $\text{R}^1\text{-H}$ . The  
 following compounds of the formula I13 are obtained:



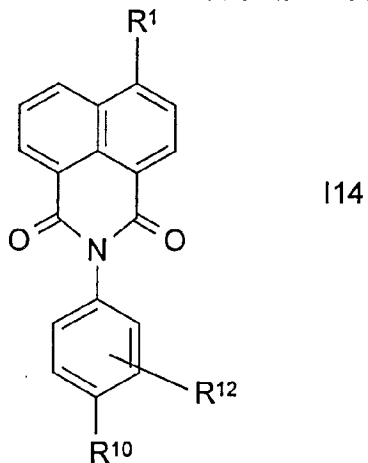
I13

$\text{R}^1$ in $\text{R}^1\text{-H}$ and I13	
$-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$	
$-\text{NH}-(\text{CH}_2)_5-\text{NH}_2$	
$-\text{NH}-(\text{CH}_2)_7-\text{NH}_2$	

R <sup>1</sup> in R <sup>1</sup> -H and I13	

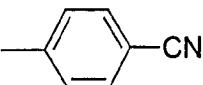
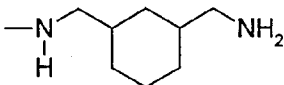
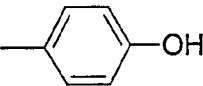
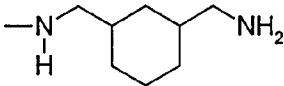
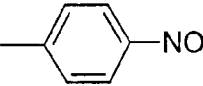
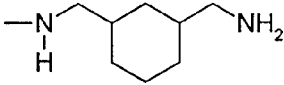
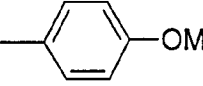
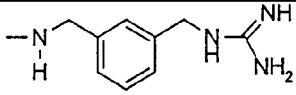
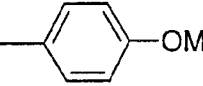
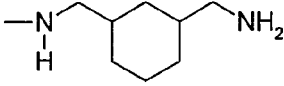
Example 85:

- 5 Analogously to Example 11, 6-nitro-2-(4-iodo-R<sup>12</sup>-phenyl)benzo-[de]isoquinoline-1,3-dione is reacted with R<sup>10</sup>-B-(OH)<sub>2</sub> and with R<sup>1</sup>-H. The following compounds of the formula I14 are obtained:



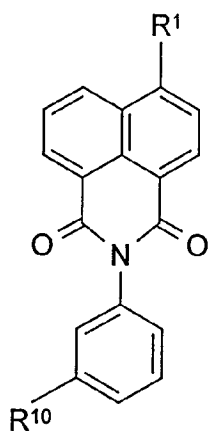
10

R <sup>12</sup>	R <sup>10</sup>	R <sup>1</sup> in R <sup>1</sup> -H and I14	
H		 	

$R^{12}$	$R^{10}$	$R^1$ in $R^1-H$ and I14	
H		$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 	
3-CH <sub>3</sub>		$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 	
H		$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 	
H			
NO <sub>2</sub>		$-NH-(CH_2)_3-NH_2$ $-NH-(CH_2)_5-NH_2$ $-NH-(CH_2)_7-NH_2$ 	

Example 86:

Analogously to Example 11, 6-nitro-2-(3-iodo- $R^{12}$ -phenyl)benzo-[de]isoquinoline-1,3-dione is reacted  
5 with  $R^{10}-B-(OH)_2$  and with  $R^1-H$ . The following compounds of the formula Ibm are obtained:

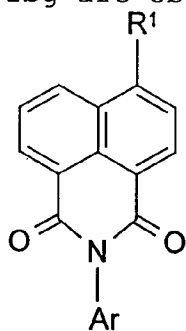


Ibm

R <sup>10</sup>	R <sup>1</sup> in R <sup>1</sup> -H and Ibm	

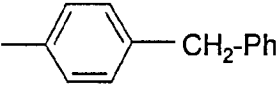
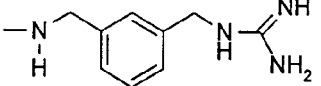
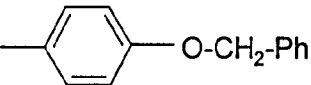
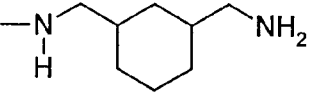
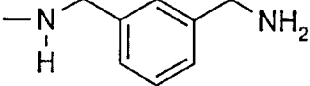
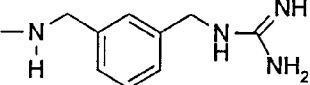
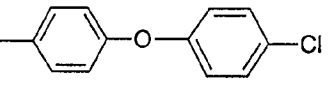
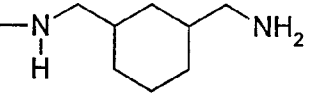
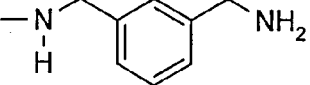
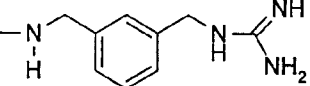
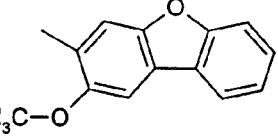
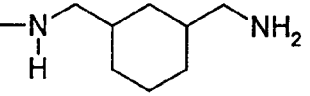
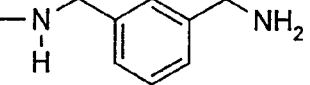
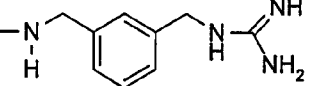
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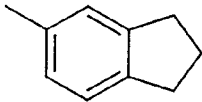
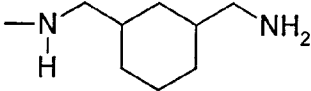
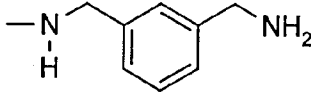
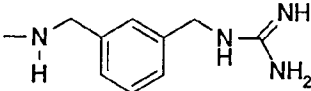
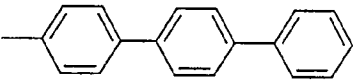
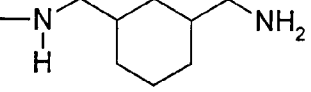
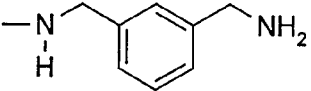
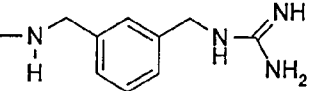
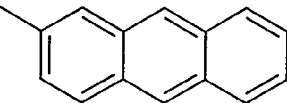
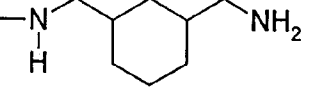
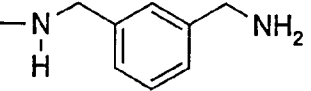
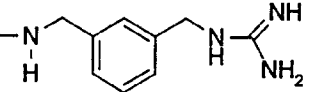
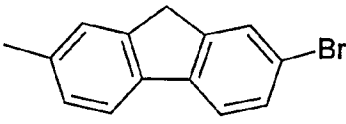
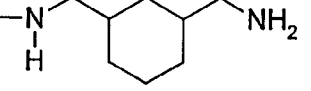
Analogously to Example 2, 6-nitrobenzo-  
 5 [de]isochromene-1,3-dione is reacted with H<sub>2</sub>N-Ar and  
 then with R<sup>1</sup>-H. The following compounds of the formula  
 Ibg are obtained:

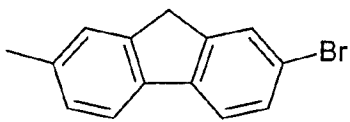
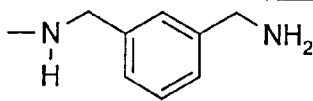
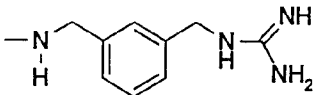
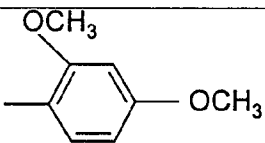
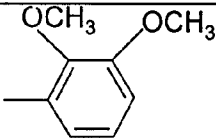
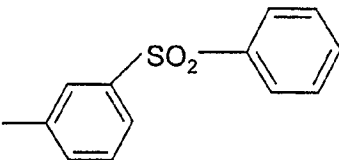
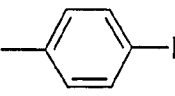
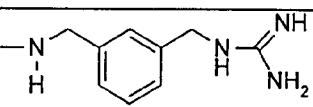
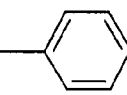
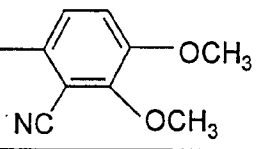
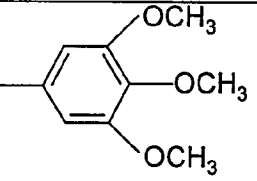
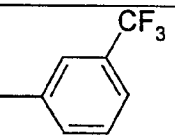
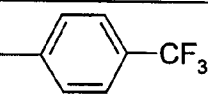


Ibg

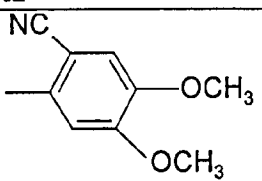
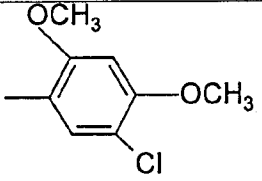
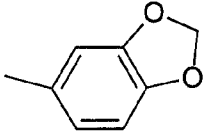
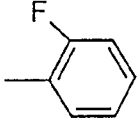
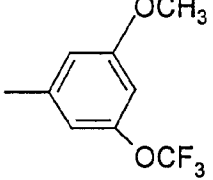
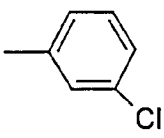
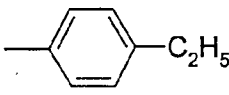
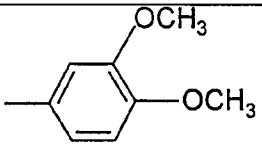
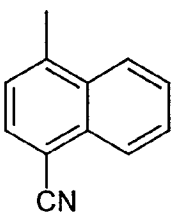
Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	

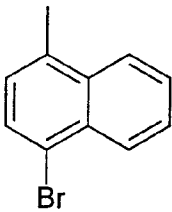
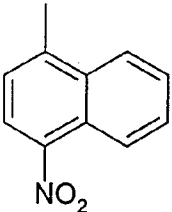
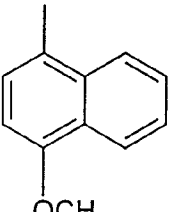
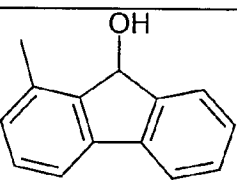
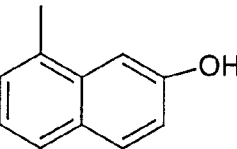
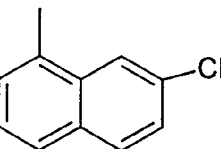
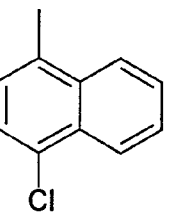
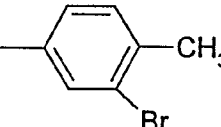
Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	
		
	<p>-NH-(CH<sub>2</sub>)<sub>3</sub>-NH<sub>2</sub>  -NH-(CH<sub>2</sub>)<sub>5</sub>-NH<sub>2</sub>  -NH-(CH<sub>2</sub>)<sub>7</sub>-NH<sub>2</sub>      </p>	
	<p>-NH-(CH<sub>2</sub>)<sub>3</sub>-NH<sub>2</sub>  -NH-(CH<sub>2</sub>)<sub>5</sub>-NH<sub>2</sub>  -NH-(CH<sub>2</sub>)<sub>7</sub>-NH<sub>2</sub>      </p>	
	<p>-NH-(CH<sub>2</sub>)<sub>3</sub>-NH<sub>2</sub>  -NH-(CH<sub>2</sub>)<sub>5</sub>-NH<sub>2</sub>  -NH-(CH<sub>2</sub>)<sub>7</sub>-NH<sub>2</sub>      </p>	

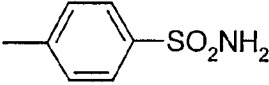
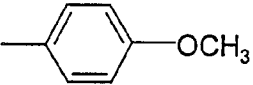
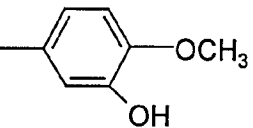
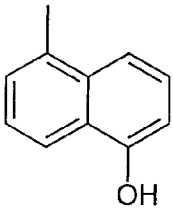
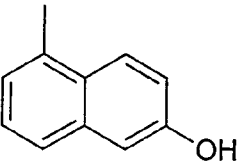
Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	
	$\text{-NH-(CH}_2\text{)}_3\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_5\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_7\text{-NH}_2$   	
	$\text{-NH-(CH}_2\text{)}_3\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_5\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_7\text{-NH}_2$   	
	$\text{-NH-(CH}_2\text{)}_3\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_5\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_7\text{-NH}_2$   	
	$\text{-NH-(CH}_2\text{)}_3\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_5\text{-NH}_2$ $\text{-NH-(CH}_2\text{)}_7\text{-NH}_2$ 	

Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	
	 	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
		
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	

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Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	

Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	

Ar	R <sup>1</sup> in R <sup>1</sup> -H and Ibg	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	
	-NH-(CH <sub>2</sub> ) <sub>3</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>5</sub> -NH <sub>2</sub> -NH-(CH <sub>2</sub> ) <sub>7</sub> -NH <sub>2</sub>	

Example 88:

The compound 3-{4-[6-(3-aminomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(3,3-dimethyl-butyl)-propionamide according to example 81 is reacted with tert-butyl (tert-butoxy-carbonyliminopyrazol-1-ylmethyl)carbamate according to example 32. After removal of the protective group, N-(3,3-Dimethyl-butyl)-3-{4-[6-(3-guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide is obtained.

15 Example 89:

Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with 3-(4-amino-phenyl)-N-(3-dimethylamino-propyl)-propionamide and C-(3-aminomethyl-cyclohexyl)-methylamine. 3-(4-[6-[(3-Aminomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-1H,3H-

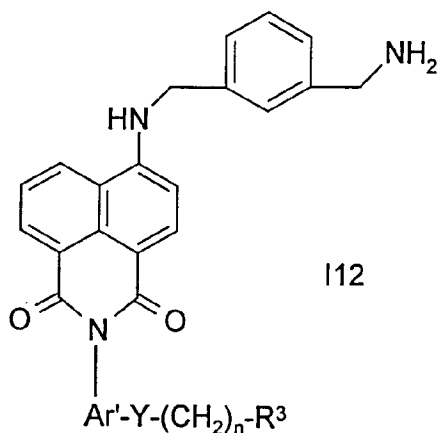
benzo[de]isoquinolin-2-yl}-phenyl)-N-(3-dimethylamino-propyl)-propionamide is obtained.

Example 90:

5 Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with 2-(4-amino-phenylsulfanyl)-N-(3,3-dimethylbutyl)-acetamide and 3-aminomethyl-benzylamine. 2-{4-[6-(3-Aminomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenylsulfanyl}-N-(3,3-dimethyl-butyl)-acetamide is  
10 obtained.

Example 91:

15 Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with  $H_2N-Ar'-Y-(CH_2)_n-R^3$  and 3-aminomethyl-benzylamine. The following compounds of the formula I12 are obtained:



$Ar'-Y-(CH_2)_n-R^3$	

Example 92:

Analogously to Example 2, 6-chlorobenzo[de]isochromene-1,3-dione is reacted with 4-(pyrrolidine-1-sulfonyl)-phenylamine and 3-aminomethylbenzylamine. 6-(3-Aminomethyl-benzylamino)-2-[4-(pyrrolidine-1-sulfonyl)-phenyl]-benzo[de]isoquinoline-1,3-dione is obtained.

10 Example 93:

Equimolar amounts of [6-(3-amino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-4,5-dimethoxybenzonitrile (according to example 87, page 256, table line 1) and methanesulfonic acid are reacted according to known procedures to give the acid addition salt[6-(3-amino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-4,5-dimethoxy-benzonitrile, methane sulfonate.

20

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.80 (dd, J = 1.0 and J = 8.6 Hz, 1H), 8.50 (dd, J = 0.8 and J = 7.4 Hz, 1H), 8.34 (d, J = 8.6 Hz, 1H), 7.94 (t (N-H), J = 5.8 Hz, 1H), 7.76 (dd, J = 7.4 and J = 8.4 Hz, 1H), 7.71 (sbr, 2H (NH<sub>2</sub>)), 7.55 (s, 1H), 7.30 (s, 1H), 6.90 (d, J = 8.8 Hz, 1H), 3.90 (s, 3H), 3.81 (s, 3H), 3.60-3.45 (m, 2H), 2.96 (t, J = 7.6 Hz, 2H), 2.31 (s, 3H), 2.08-1.94 (m, 2H).

30 Abbreviations of the nmr-signals (nmr = nuclear magnetic resonance):

s singlet,  
d doublet,  
dd double doublet,  
35 t triplet,  
sbr broad singlet,  
m multiplet,  
q quadruplet,  
J coupling constant J in Hz

Analogously to Example 93 the following acid addition salts are obtained:

- 5 3-{3-[6-(2-guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl-phenyl]-N-(4-phenyl-butyl)-propionamide (example 40, p.145, table line 2)  
3-{3-[6-(2-guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl-phenyl]-N-(4-phenyl-butyl)-  
10 propionamide, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

- 8.73 (dd, J = 1,0 and J = 8.5 Hz, 1H), 8.46 (dd, J = 1.0 and J = 7.3 Hz, 1H), 8.28 (d, J = 8.5 Hz, 1H), 7.88  
15 (t, J = 5.6 Hz, 1H), 7.75 (dd, J = 7.3 and J = 8.4 Hz, 1H), 7.61 (t, J = 5.8 Hz, 1H), 7.40-7.36 (m, 1H), 7.28-7.23 (m, 3H), 7.16-7.10 (m, 4H), 6.89 (d, J = 8.7 Hz, 1H), 3.61-3.50 (m, 4H), 3.06 (q, J = 7.0 Hz, 2H), 2.89-2.85 (m, 2H), 2.53 (d, J = 7.6 Hz, 1H), 2.39 (t, J =  
20 8.5 Hz, 2H), 2.36 (s, 3H), 1.56-1.49 (m, 2H), 1.41-1.34 (m, 2H).

- N-[2-(4-chloro-phenyl)-ethyl]-3-[3-(6-{3-[(3-guanidino-propyl)-methyl-amino]-propylamino}-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-phenyl]-propionamide  
25 (example 37, p. 135, table line 7)

- N-[2-(4-chloro-phenyl)-ethyl]-3-[3-(6-{3-[(3-guanidino-propyl)-methyl-amino]-propylamino}-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-phenyl]-propionamide,  
30 methane sulfonate

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

- 10.49 (sbr, 1H), 8.85 (d, J = 8.5 Hz, 1H), 8.44 (dd, J = 0.9 and J = 7.3 Hz, 1H), 8.27 (d, J = 8.5 Hz, 1H),  
35 8.01 (sbr, 1H(NH)), 7.94 (t, J = 5.6 Hz, 1H(NH)), 7.90 (t, J 5.9 Hz, 1H(NH)), 7.73 (dd, J = 7.4 and J = 8.4 Hz, 1H), 7.42-7.38 (m, 1H), 7.31 (d, J = 8.4 Hz, 2H), 7.26 (d, J = 7.7 Hz, 1H), 7.18 (d, J = 8.4 Hz, 2H), 7.14-7.11 (m, 2H), 6.88 (d, J = 8.7 Hz, 1H), 3.65-3.45

(m, 3H), 3.31-3.15 (m, 6H), 3.11-3.04 (m, 1H), 2.85 (t, J = 7.3 Hz, 2H), 2.77 (d, J = 4.9 Hz, 3H), 2.67 (t, J = 7.3 Hz, 2H), 2.39 (t, J = 5.7 Hz, 1H), 2.35 (s, 3H), 2.21-2.14 (m, 2H), 1.96-1.89 (m, 2H).

5

N-[2-(4-chloro-phenyl)-ethyl]-3-{3-[6-(2-guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide (example 37, p. 135, table line 2)

10 N-[2-(4-chloro-phenyl)-ethyl]-3-{3-[6-(2-guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

15 8.74 (dd, J = 1.0 and J = 8.6 Hz, 1H), 8.44 (dd, J = 1.0 and J = 7.3 Hz, 1H), 8.27 (d, J = 8.5 Hz, 1H), 7.94 (t, J = 5.7 Hz, 1H(NH)), 7.80 (t, J = 5.2 Hz, 1H(NH)), 7.76 (t, J = 5.1 Hz, 1H(NH)), 7.74 (dd, J = 7.3 and J = 8.5 Hz, 1H), 7.40 (t, J = 7.9 Hz, 1H), 7.31 (d, J = 8.4 Hz, 2H), 7.26 (d, J = 7.8 Hz, 1H), 7.18 (d, J = 8.4 Hz, 2H), 7.14-7.11 (m, 2H), 6.89 (d, J = 8.7 Hz, 1H), 3.61-3.56 (m, 2H), 3.55-3.50 (m, 2H), 3.28-3.23 (m, 2H), 2.86 (t, J = 8.2 Hz, 2H), 2.67 (t, J = 7.1 Hz, 2H), 2.40 (t, J = 7.3 Hz, 2H), 2.35 (s, 3H).

25

N-[2-(4-chloro-phenyl)-ethyl]-3-{3-[6-(3-guanidino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide (example 37, p. 135, table line 6)

30 N-[2-(4-chloro-phenyl)-ethyl]-3-{3-[6-(3-guanidino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide, methane sulfonate;

35 <sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.76 (d, J = 8.5 Hz, 1H), 8.44 (d, J = 7.3 Hz, 1H), 8.27 (d, J = 8.5 Hz, 1H), 7.93 (t, J = 5.6, 1H(NH)), 7.73 (t, J = 7.5 Hz, 1H), 7.65 (t, J = 5.6 Hz, 1H), 7.40 (t, J = 8.0 Hz, 1H), 7.31 (d, J = 8.4 Hz, 2H),

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7.18 (d, J = 8.4 Hz, 2H), 7.14-7.11 (m, 2H), 6.84 (d, J = 8.7 Hz, 1H), 3.45 (t, J = 6.3 Hz, 2H), 3.31-3.22 (m, 4H), 2.86 (t, J = 8.0 Hz, 2H), 2.66 (t, J = 7.1 Hz, 2H), 2.41 (d, J = 8.2 Hz, 2H), 2.37 (s, 3H), 1.99-1.91 (m, 2H).

6-(3-amino-propylamino)-2-(2,3-dimethoxyphenyl)-benzo[de]isoquinoline-1,3-dione (example 87, p. 255, table line 3)

6-(3-amino-propylamino)-2-(2,3-dimethoxyphenyl)-benzo[de]isoquinoline-1,3-dione, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.75 (dd, J = 0.9 and J = 8.4 Hz, 1H), 8.46 (dd, J = 0.8 and J = 7.3 Hz, 1H), 8.30 (d, J = 8.5 Hz, 1H), 7.86 (sbr, 1H(NH)), 7.75 (dd, J = 7.3 and J = 8.4 Hz, 1H), 7.65 (sbr, 2H(NH)), 7.17-7.15 (m, 2H), 6.89-6.85 (m, 2H), 3.89 (s, 3H), 3.60 (s, 3H), 3.51 (t, J = 7.0 Hz, 2H), 2.97 (t, J = 7.8 Hz, 2H), 2.31 (s, 3H), 2.03-1.96 (m, 2H).

6-(3-amino-propylamino)-2-(4'-methoxy-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione (example 12, p. 98, table line 3)

6-(3-amino-propylamino)-2-(4'-methoxy-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.75 (d, J = 8.5 Hz, 1H), 8.48 (d, J = 6.6 Hz, 1H), 8.31 (d, J = 8.5 Hz, 1H), 7.84 (sbr, 1H(NH)), 7.77-7.68 (m, 7H(2xNH)), 7.36 (d, J = 8.4 Hz, 2H), 7.07 (d, J = 8.8 Hz, 2H), 6.88 (d, J = 8.7 Hz, 1H), 3.83 (s, 3H), 3.54-3.48 (m, 2H), 2.98 (t, J = 7.8 Hz, 2H), 2.31 (s, 3H), 2.04-1.96 (m, 2H).

6-(3-aminopropylamino)-2-(4-carbazol-9-yl-phenyl)-benzo[de]isoquinoline-1,3-dione (example 82, p. 247, table line 1)

6-(3-aminopropylamino)-2-(4-carbazol-9-yl-phenyl)-  
benzo[de]isoquinoline-1,3-dione, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

5 8.77 (dd, J = 0.9 and J = 8.6 Hz, 1H), 8.57 (dd, J =  
0.8 and J = 7.3 Hz, 1H), 8.36 (d, J = 8.5 Hz, 1H), 8.29  
(d, J = 7.8 Hz, 2H), 7.87 (t, J = 5.7 Hz, 1H(NH)),  
7.81-7.77 (m, 3H), 7.72 (sbr, 2H(NH)), 7.64 (d, J = 8.6  
Hz, 2H), 7.53-7.47 (m, 4H), 7.36-7.32 (m, 2H), 6.91 (d,  
10 J = 8.7 Hz, 1H), 3.57 (q, J = 5.9 Hz, 2H), 3.03-2.95  
(m, 2H), 2.31 (s, 3H), 2.05-1.98 (m, 2H).

N-(3-{[2-(4'-methoxy-biphenyl-4-yl)-1,3-dioxo-2,3-  
dihydro-1H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(4-  
15 phenyl-butyl)-propionamide (example 85, p. 251, table  
line 4)

N-(3-{[2-(4'-methoxy-biphenyl-4-yl)-1,3-dioxo-2,3-  
dihydro-1H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(4-  
phenyl-butyl)-propionamide, methane sulfonate;

20

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.83 (d, J = 7.8 Hz, 1H), 8.56 (t, J = 6.5 Hz, 1H(NH)),  
8.49 (dd, J = 0.8 and J = 7.3 Hz, 1H), 8.20 (d, J = 8.5  
Hz, 1H), 7.84 (t, J = 5.8 Hz, 1H(NH)), 7.78 (dd, J =  
25 7.4 and J = 8.4 Hz, 1H), 7.72 (d, J = 8.5 Hz, 2H), 7.68  
(d, J = 8.8 Hz, 2H), 7.40-7.36 (m, 4H), 7.34 (d, J =  
8.5 Hz, 2H), 7.22-7.19 (m, 1H), 7.07 (d, J = 8.8 Hz,  
1H), 6.70 (d, J = 8.7 Hz, 1H), 4.70 (d, J = 5.9 Hz,  
2H), 4.36 (d, J = 5.9 Hz, 2H), 3.82 (s, 3H), 2.30 (s,  
30 3H).

6-(3-aminopropylamino)-2-(7-hydroxy-naphthalen-1-yl)-  
benzo[de]isoquinoline-1,3-dione (example 87, p. 257,  
table line 5)

35 6-(3-aminopropylamino)-2-(7-hydroxy-naphthalen-1-  
yl)-benzo[de]isoquinoline-1,3-dione, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

9.61 (s, 1H(OH)), 8.79 (dd, J = 0.9 and J = 8.6 Hz, 1H), 8.50 (dd, J = 0.8 and J = 7.3 Hz, 1H), 8.33 (d, J = 8.5 Hz, 1H), 7.93-7.87 (m, 2H), 7.79 (dd, J = 7.4 and / = 8.4 Hz, 1H), 7.74 (sbr, 3H(NH)), 7.43-7.37 (m, 2H), 7.10 (dd, J = 2.4 and J = 8.9 Hz, 1H), 6.91 (d, J = 8.7 Hz, 1H), 6.75 (d, J = 2.4 Hz, 1H), 3.53 (q, J = 6.3 Hz, 2H), 3.02-2.95 (m, 2H), 2.31 (s, 3H), 2.05-1.98 (m, 2H).

10

N-[2-(4-chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide (example 37, p. 135, table line 5)

15

N-[2-(4-chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide, methane sulfonate;

20 <sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.82 (dd, J = 0.8 and J = 8.5 Hz, 1H), 8.55 (sbr, 1H(NH)), 8.45 (dd, J = 0.8 and J = 7.3 Hz, 1H), 8.15 (d, J = 8.5 Hz, 1H), 7.91 (t, J = 5.7 Hz, 1H(NH)), 7.85 (t, J = 6.1 Hz, 1H(NH)), 7.76 (dd, J = 7.4 and J = 8.4 Hz, 1H), 7.44 (d, J = 8.2 Hz, 2H), 7.39 (t, J = 8.1 Hz, 1H), 7.32-7.23 (m, 5H), 7.17 (d, J = 8.4 Hz, 2H), 7.12-7.09 (m, 2H), 6.58 (d, J = 8.7 Hz, 1H), 4.69 (d, J = 4.6 Hz, 2H), 4.33 (d, J = 6.1 Hz, 2H), 3.24 (q, J = 7.4 Hz, 2H), 2.84 (t, J = 8.3 Hz, 2H), 2.66 (t, J = 7.1 Hz, 2H), 2.39 (t, J = 8.0 Hz, 2H), 2.34 (s, 3H).

30

N-[2-(3-chloro-phenyl)-ethyl]-3-(3-{6-[(4-guanidinomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl}-phenyl)-propionamide (example 37, p. 134, table line 5)

35

N-[2-(3-chloro-phenyl)-ethyl]-3-(3-{6-[(4-guanidinomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl}-phenyl)-propionamide, methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.79 (d, J = 8.5 Hz, 1H), 8.43 (d, J = 7.3 Hz, 1H),  
 8.24 (dd, J = 3.1 and J = 8.6 Hz, 1H), 7.94 (t, J = 5.7  
 5 Hz, 1H(NH)), 7.84 (sbr, 1H(NH)), 7.78-7.69 (m, 1H),  
 7.40 (t, J = 8.0 Hz, 1H), 7.30-7.22 (m, 4H), 7.14-7.10  
 (m, 3H), 6.82 (dd, J = 3.3 and J = 8.8 Hz, 1H), 3.27  
 (q, J = 6.8 Hz, 2H), 3.11 (t, J = 6.5 Hz, 2H), 2.85 (t,  
 J = 7.3 Hz, 2H), 2.69 (t, J = 7.3 Hz, 2H), 2.39 (t, J =  
 10 7.4 Hz, 2H), 2.34 (s, 3H), 1.94-1.74 (m, 2H), 1.60-1.40  
 (m, 4H), 1.05-0.90 (m, 2H); (cis isomer:trans isomer =  
 2:1).

N-[2-(4-chloro-phenyl)-ethyl]-3-(3-{6-[(4-  
 15 guanidinomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-  
 1H,3H-benzo[de]isoquinolin-2-yl}-phenyl)-propionamide  
 (example 37, p. 135, table line 8)

N-[2-(4-chloro-phenyl)-ethyl]-3-(3-{6-[(4-  
 guanidinomethyl-cyclohexylmethyl)-amino]-1,3-dioxo-  
 20 1H,3H-benzo[de]isoquinolin-2-yl}-phenyl)-propionamide,  
 methane sulfonate;

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.79 (dd, J = 1.7 and J = 7.8 Hz, 1H), 8.43 (d, J = 7.3  
 25 Hz, 1H), 8.24 (dd, J = 3.2 and J = 8.6 Hz, 1H), 7.92  
 (t, J = 5.7 Hz, 1H(NH)), 7.83 (sbr, 1H(NH)), 7.73-7.69  
 (m, 1H), 7.41-7.37 (m, 1H), 7.30 (d, J = 8.4 Hz, 2H),  
 7.25 (d, J = 7.8 Hz, 1H), 7.17 (d, J = 8.4 Hz, 2H),  
 7.13-7.10 (m, 2H), 6.81 (dd, J = 2.9 and J = 8.8 Hz,  
 30 1H), 3.25 (q, J = 7.3 hz, 2H), 3.11 (t, J = 6.4 Hz,  
 2H), 2.85 (t, J = 8.2 Hz, 2H), 2.66 (t, J = 7.2 Hz,  
 2H), 2.39 (t, J = 7.4 Hz, 2H), 2.33 (s, 3H), 1.95-1.75  
 (m, 2H), 1.60-1.40 (m, 4H), 1.05-0.90 (m, 2H), ); (cis  
 isomer:trans isomer = 2:1).

35

3-{3-[6-(4-guanidinomethyl-benzylamino)-1,3-dioxo-  
 1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-[2-(4-  
 sulfamoyl-phenyl)-ethyl]-propionamide (example 35, p.  
 129, table line 5)

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3-{3-[6-(4-guanidinomethyl-benzylamino)-1,3-dioxo-  
1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-[2-(4-  
sulfamoyl-phenyl)-ethyl]-propionamide, methane  
sulfonate;

5

<sup>1</sup>H-nmr (DMSO-d<sub>6</sub>):

8.88 (d, J = 8.5 Hz, 1H), 8.66 (sbr, 1H(NH)), 8.50 (dd,  
J = 0.8 and J = 7.3 Hz, 1H), 8.20 (d, J = 8.5 Hz, 1H),  
7.93 (t, J = 6.0 Hz, 1H(NH)), 7.77 (d, J = 8.3 Hz, 1H),  
10 7.49 (d, J = 8.2 Hz, 2H), 7.40 (d, J = 8.4 Hz, 2H),  
7.35-7.30 (m, 4H), 7.19-7.14 (m, 3H), 6.73 (d, J = 8.7  
Hz, 1H), 4.71 (d, J = 6.1 Hz, 2H), 4.39 (d, J = 6.1 Hz,  
2H), 3.34 (q, J = 7.4 Hz, 2H), 2.90 (t, J = 8.6 Hz,  
2H), 2.81 (t, J = 7.2 Hz, 2H), 2.45 (t, J = 8.3 Hz,  
15 2H), 2.38 (s, 3H).

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The following examples relate to pharmaceutical preparations:

**Example A: Injection vials**

5           A solution of 100 g of an active compound of the formula I and 5 g of disodium hydrogenphosphate is adjusted to pH 6.5 in 3 l of double-distilled water using 2N hydrochloric acid, sterile-filtered, dispensed into injection vials, lyophilized under sterile  
10 conditions and aseptically sealed. Each injection vial contains 5 mg of active compound.

**Example B: Suppositories**

15           A mixture of 20 g of an active compound of the formula I is melted with 100 g of soya lecithin and 1400 g of cocoa butter, poured into moulds and allowed to cool. Each suppository contains 20 mg of active compound.

**Example C: Solution**

20           A solution is prepared from 1 g of an active compound of the formula I, 9.38 g of  $\text{NaH}_2\text{PO}_4 \cdot 2\text{H}_2\text{O}$ , 28.48 g of  $\text{Na}_2\text{HPO}_4 \cdot 12\text{H}_2\text{O}$  and 0.1 g of benzalkonium chloride in 940 ml of double-distilled water. The  
25 mixture is adjusted to pH 6.8, made up to 1 l and sterilized by irradiation. This solution can be used in the form of eye drops.

**Example D: Ointment**

30           500 mg of an active compound of the formula I is mixed with 99.5 g of petroleum jelly under aseptic conditions.

**Example E: Tablets**

35           A mixture of 1 kg of active compound of the formula I, 4 kg of lactose, 1.2 kg of potato starch, 0.2 g of talc and 0.1 kg of magnesium stearate is compressed in a customary manner to give tablets such that each tablet contains 10 mg of active compound.

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**Example F: Coated tablets**

Analogously to Example E, tablets are pressed which are then coated with a coating of sucrose, potato starch, talc, tragacanth and colourant in a customary manner.

**Example G: Capsules**

2 kg of active compound of the formula I are dispensed into hard gelatin capsules in a customary manner such that each capsule contains 20 mg of the active compound.

**Example H: Ampoules**

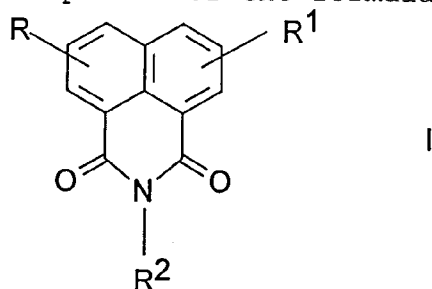
A solution of 1 kg of active compound of the formula I in 60 ml of double-distilled water is sterile-filtered, dispensed into ampoules, lyophilized under sterile conditions and aseptically sealed. Each ampoule contains 10 mg of active compound.

The preceding examples can be repeated with similar success by substituting the generically or specifically described reactants and/or operating conditions of this invention for those used in the preceding examples.

From the foregoing description, one skilled in the art can easily ascertain the essential characteristics of this invention and, without departing from the spirit and scope thereof, can make various changes and modifications of the invention to adapt it to various usages and conditions.

What is claimed is:

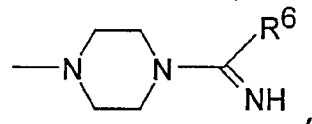
1. Compounds of the formula I



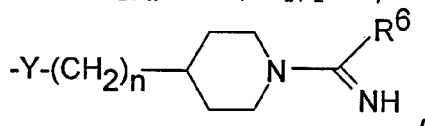
5 in which

R is H or NO<sub>2</sub>,

R<sup>1</sup> is -Het, -Het-SO<sub>2</sub>-Ar, -Het-R<sup>5</sup>, -Het-(CH<sub>2</sub>)<sub>n</sub>-Ar,  
NO<sub>2</sub>, -N=CH-Ar, NHAlk, NAAalk, NHA', NA'<sub>2</sub>,



10 -Y-D-H, -Y-Ar'-R<sup>3</sup>, -Y-(CH<sub>2</sub>)<sub>o</sub>-R<sup>3</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-(CHR<sup>4</sup>)-R<sup>5</sup>, -Y-C[(CH<sub>2</sub>)<sub>o</sub>-OH]<sub>3</sub>, -Y-(CH<sub>2</sub>)<sub>m</sub>-NA<sub>2</sub>,  
-Y-(CH<sub>2</sub>)<sub>m</sub>-NHA', -Y-(CH<sub>2</sub>)<sub>o</sub>-OH, -Y-(CH<sub>2</sub>)<sub>k</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-Het, -Y-(CH<sub>2</sub>)<sub>n</sub>-Ar,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-Ar'-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-D-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>,  
15 -Y-(CH<sub>2</sub>)<sub>n</sub>-Het-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-NA-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-NH-(CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-D-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-Ar'-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>, -Y-(CH<sub>2</sub>)<sub>n</sub>-NH-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,  
-Y-(CH<sub>2</sub>)<sub>n</sub>-NA-(CH<sub>2</sub>)<sub>i</sub>-R<sup>8</sup>,



20 -Y-[X-O]<sub>t</sub>-[X<sup>1</sup>-O]<sub>u</sub>-X<sup>2</sup>-R<sup>6</sup> or -Y-[X-NH]<sub>u</sub>-X<sup>1</sup>-OH,  
R<sup>2</sup> is -Ar, -Ar'-D-H, -Het<sup>1</sup>, -Het<sup>1</sup>-Ar, -Ar'-Het<sup>1</sup>,  
-Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup>, -Ar'-Y-(CH<sub>2</sub>)<sub>n</sub>-R<sup>3</sup>, -Ar'-Y-C(A)<sub>2</sub>-R<sup>3</sup>, -  
Het<sup>1</sup>-R<sup>3</sup>, -Ar'-Het<sup>1</sup>-R<sup>3</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-R<sup>6</sup>, -Ar'-SO<sub>2</sub>-Het,  
-Ar'-NH-SO<sub>2</sub>-Het, Ar'-SO<sub>2</sub>-R<sup>7</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-  
25 (CH<sub>2</sub>)<sub>i</sub>-R<sup>6</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-R<sup>11</sup>, -Ar'-  
(CH<sub>2</sub>)<sub>n</sub>-CO-Het, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-D-H, -Ar'-  
(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-Ar, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-  
(CH<sub>2</sub>)<sub>i</sub>-Het<sup>1</sup>, -Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CH(CN))-(CH<sub>2</sub>)<sub>i</sub>-Ar,  
-Ar'-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-CH(Ar<sup>1</sup>)-Ar<sup>2</sup>, -Ar'-S-  
30 (CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-(CH<sub>2</sub>)<sub>i</sub>-Ar, -Ar'-S-(CH<sub>2</sub>)<sub>n</sub>-(CO-NH)-

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- $(CH_2)_i-R^{11}$ ,  $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-Het^1$ ,  
 $-Ar'-S-(CH_2)_n-(CO-NH)-(CH_2)_i-CH(Ar^1)-Ar^2$  or  $-Ar'-S-$   
 $(CH_2)_n-(CO-NH)-(CH_2)_i-D-H$ ,  
 5  $R^3$  is C(O)A, CONH<sub>2</sub>, CONHA, CONA<sub>2</sub>, COOH or COOA,  
 $R^4$  is Ph or OH,  
 $R^5$  is CH<sub>3</sub>, CH<sub>2</sub>Cl, CF<sub>3</sub> or Ph,  
 $R^6$  is NH<sub>2</sub>, NHA, NA<sub>2</sub>, NH(D-H) or NH-C(O)A,  
 $R^7$  is NA(D-H), NHA, NH(D-H) or NA<sub>2</sub>,  
 $R^8$  is -NH-(C=NH)-NH<sub>2</sub>, -NH-(C=NH)-NHA, -NH-(C=NH)-NA<sub>2</sub>,  
 10 -NA-(C=NH)-NH<sub>2</sub>, -NA-(C=NH)-NHA or -NA-(C=NH)-NA<sub>2</sub>,  
 $R^{11}$  is -CH(A)-Ph,  
 $Ar'$  is phenylene, biphenylene, naphthylene or pyrazol-  
 4-yl, which is unsubstituted or mono-, di- or  
 trisubstituted by A, OH, OA, OCF<sub>3</sub>, Hal, CN, NH<sub>2</sub>,  
 15 NHA, NA<sub>2</sub>, NO<sub>2</sub>, CF<sub>3</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>Ph, SO<sub>2</sub>NAH, SO<sub>2</sub>NA<sub>2</sub>,  
 $Ar$ ,  $Ar^1$  and  $Ar^2$   
 are each independently phenyl, biphenyl, stilbyl,  
 pyridyl, pyrimidyl, quinolyl, 1-imidazolyl,  
 pyrazolyl, indanyl, benzo[1,3]dioxol-5-yl,  
 20 dibenzofuranyl, 9-H-fluorenyl, 9-H-carbazolyl,  
 [1,1',4',1'']terphenyl, anthracenyl, naphthalen-1-  
 yl, naphthalen-2-yl or fluoren-9-on-2-yl, which is  
 unsubstituted or mono-, di- or trisubstituted by  
 A, OH, OA, OCF<sub>3</sub>, O-Ph, O-Ph-CH<sub>3</sub>, CH<sub>2</sub>-Ph, O-CH<sub>2</sub>-Ph,  
 25 Hal, CN, NH<sub>2</sub>, NHA, NA<sub>2</sub>, NO<sub>2</sub>, CF<sub>3</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>Ph,  
 SO<sub>2</sub>NAH, SO<sub>2</sub>NA<sub>2</sub> or R<sup>8</sup>,  
 $Het$  is a saturated, partially or completely unsatura-  
 ted mono-, bi- or tricyclic heterocyclic radical  
 having 5 to 13 ring members, where 1 or 2 N and/or  
 30 1 or 2 S or O atoms can be present and the  
 heterocyclic radical can be mono- or disubstituted  
 by CN, Hal, OH, OA, CF<sub>3</sub>, A, NO<sub>2</sub>, oxo or R<sup>5</sup>, where  
 pyrazole is not bonded via N,  
 $Het^1$  is an unsaturated mono-, bi- or tricyclic  
 35 heterocyclic radical having 5 to 13 ring members,  
 where 1 or 2 N and/or 1 or 2 S or O atoms can be  
 present and/or can be mono- or disubstituted by  
 Hal, A, OH, OA, oxo or CF<sub>3</sub> or piperidine,  
 morpholine, pyrrolidine or pyrrolidin-2-one,

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- A is unbranched or branched alkyl having 1-8 C atoms,  
A' is unbranched or branched alkyl having 2-6 C atoms,  
5 Alk is unbranched alkyl having 4-8 C atoms,  
D is cycloalkylene having 4-7 C atoms or cyclohexen-1-yl,  
Hal is F, Cl, Br or I,  
X,  
10  $X^1, X^2$  in each case independently of one another are  
alkylene having 1 to 12 C atoms,  
Y is O, S, NH or NA,  
i is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12,  
k is 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12,  
15 m is 0, 1 or 2,  
n is 0, 1, 2, 3 or 4,  
o is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10,  
t is 0, 1 or 2,  
u is 1 or 2,  
20 where if  $R^2$  is 4-chlorophenyl,  $R^1$  is not  $-NH-CH_2-CH_2-OH$ ,  
and their pharmaceutically tolerable salts and  
solvates.
2. Compounds of the formula I according to Claim 1
- 25 a) 6-benzylamino-2-(2,5-dichlorophenyl)-3a,9b-dihydro-1H,3H-benzo[de]isoquinoline-1,3-dione;  
b) 3-{3-[6-(2-guanidinopropylamino)-1,3-dioxo-3a,9b-dihydro-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(2-p-tolylethyl)propionamide;  
c) 3-{3-[6-(2-guanidinomethylcyclohexylmethyl)amino]-1,3-dioxo-3a,9b-dihydro-1H,3H-benzo[de]isoquinolin-2-yl]phenyl}-N-(2-p-tolylethyl)propionamide;  
30 d) 3-{3-[6-(4-Guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-[2-(4-sulfamoyl-phenyl)-ethyl]-propionamide;  
35 e) N-[2-(4-Chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-benzylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;

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- 5 f) 6-(3-Amino-propylamino)-2-(3,4,5-trimethoxy-phenyl)-benzo[de]isoquinoline-1,3-dione;
- g) 6-(3-Amino-propylamino)-2-(7-hydroxy-naphthalen-1-yl)-benzo[de]isoquinoline-1,3-dione;
- h) 6-[(3-Amino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-4,5-dimethoxy-benzonitrile;
- 10 i) 6-(3-Amino-propylamino)-2-(2,3-dimethoxy-phenyl)-benzo[de]isoquinoline-1,3-dione;
- j) N-[2-(3-Chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-cyclohexylmethyl-amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 15 k) N-[2-(4-Chloro-phenyl)-ethyl]-3-{3-[6-(4-guanidinomethyl-cyclohexylmethyl-amino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 20 l) 6-(3-Amino-propylamino)-2-(4'-methoxy-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione;
- m) 6-(3-Amino-propylamino)-2-(4-carbazol-9-yl-phenyl)-benzo[de]isoquinoline-1,3-dione;
- n) 6-(3-Amino-propylamino)-2-(4'-hydroxy-2-methyl-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione;
- 25 o) N-(3-{[2-(4'Methoxy-biphenyl-4-yl)-1,3-dioxo-2,3-dihydro-1H-benzo[de]isoquinolin-6-ylamino]-methyl}-benzyl)-guanidine;
- p) 3-{3-[6-(2-Guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-N-(4-phenyl-butyl)-propionamide;
- 30 q) N-(2-(4-Chloro-phenyl)-ethyl)-3-{3-[6-(2-guanidino-ethylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 35 r) N-(2-(4-Chloro-phenyl)-ethyl)-3-{3-[6-(3-guanidino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;

- s) N-(2-(4-Chloro-phenyl)-ethyl)-3-[3-(6-{3-[(3-guanidino-propyl)-methyl-amino]-propylamino}-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-phenyl]-propionamide;
- 5 t) N-(2-(3-Chloro-phenyl)-ethyl)-3-{3-[6-(3-guanidino-propylamino)-1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl]-phenyl}-propionamide;
- 10 u) 6-(3-Amino-propylamino)-2-(4'-methoxy-biphenyl-4-yl)-benzo[de]isoquinoline-1,3-dione;
- v) N-[3-({2-[4-(3,6-Di-tert-butyl-carbazol-9-yl)-phenyl]-1,3-dioxo-2,3-dihydro-1H-benzo[de]isoquinolin-6-ylamino)-methyl)-benzyl]-guanidine;
- 15 w) 6-(3-Amino-propylamino)-2-(4-carbazol-9-yl-phenyl)-benzo[de]isoquinoline-1,3-dione.

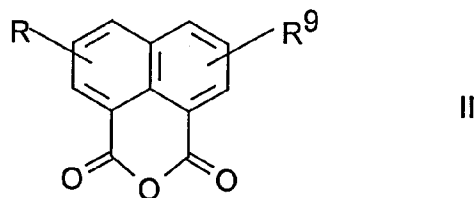
and their physiologically acceptable salts or solvates.

3. Process for the preparation of the compounds of the formula I according to Claim 1 and their salts or solvates, characterized in that
- 20

- a) a compound of the formula I is liberated from one of its functional derivatives by treating with a solvolysing or hydrogenolysing agent,

or

- 25 b) a compound of the formula II



in which

$R^9$  is Cl, Br,  $\text{NO}_2$  or  $R^1$ , where

R has the meaning indicated in Claim 1

- 30 is reacted with a compound of the formula III



in which  $R^2$  has the meaning indicated in Claim 1,

and, if necessary, the radical  $R^9$  is converted into a radical  $R^1$ ,

35 or

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(c) a radical R and/or R<sup>2</sup> and/or R<sup>9</sup> is converted into another radical R and/or R<sup>2</sup> and/or R<sup>9</sup> by, for example

- converting an amino group into a guanidino group by reaction with an amidinating agent,
- reacting an aryl bromide or iodide to give the corresponding coupling products by means of a Suzuki coupling with boronic acids,
- reducing a nitro group, sulfonyl group or sulfoxyl group,
- etherifying an OH group or subjecting an OA group to ether cleavage,
- alkylating a primary or secondary amino group,
- partially or completely hydrolysing a CN group,
- cleaving an ester group or esterifying a carboxylic acid radical,
- or carrying out a nucleophilic or electrophilic substitution,

and/or

(d) a base or acid of the formula I is converted into one of its salts or solvates.

4. A pharmaceutical composition comprising an effective amount of a compound of formula I of claim 1 or a physiologically acceptable salt or solvate thereof, and a pharmaceutically acceptable excipient.

5. A pharmaceutical composition of claim 4 which is effective as a glycoprotein IbIX antagonist.

6. A pharmaceutical composition of claim 5, wherein said glycoprotein IbIX antagonist is effective for the control of thrombotic disorders and sequelae deriving therefrom.

7. A compound of formula I of claim 1, or a physiologically acceptable salt or solvate thereof as a medicament.

8. A compound of formula I of claim 1, or a physiologically acceptable salt or solvate thereof as a glycoprotein IbIX antagonist.

9. Use of compounds of the formula I according to Claim 1 and/or their physiologically acceptable salts

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or solvates for the production of a medicament for the control of thrombotic disorders and sequelae deriving therefrom or for use as anti-adhesive substances.

10. Use of compounds of the formula I according to  
5 Claim 1 and/or their physiologically acceptable salts or solvates in the treatment of illnesses, such as for the prophylaxis and/or therapy of thrombotic disorders, as well as sequelae such as, for example, myocardial infarct, arteriosclerosis, angina pectoris, acute  
10 coronary syndromes, peripheral circulatory disorders, stroke, transient ischaemic attacks, reocclusion/restenosis after angioplasty/stent implantations or as anti-adhesive substances for implants, catheters or heart pacemakers.